

STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 139904

TO: Kahsay Habte
Location: 5c15/5c18
Art Unit: 1624
Friday, December 10, 2004

Case Serial Number: 10/634531

From: Noble Jarrell
Location: Biotech-Chem Library
Rem 1B71
Phone: 272-2556

Noble.jarrell@uspto.gov

Search Notes

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	10492	546/268.7, 546/269.1, 546/269.7, 546/272.4, 546/272.7, 546/275.4, 546/276.4, 546/280.4, 546/290, 546/304, 546/329, 544/333, 514/269, 514/340, 514/341, 514/342, 514/342, 514/343, 514/357	USPAT	OR	OFF	2004/12/10 15:24
L2	2619	matrix? or MMP\$	USPAT	OR	OFF	2004/12/10 15:25
L3	201	I1 and I2	USPAT	OR	OFF	2004/12/10 15:25

 **PALM INTRANET**Day : Friday
Date: 12/10/2004

Time: 15:26:19

Inventor Information for 10/634531

Inventor Name	City	State/Country
JOHNSON, ADAM RICHARD	ANN ARBOR	MICHIGAN

Appln Info	Contents	Petition Info	Atty/Agent Info	Continuity Data	Foreign Data
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Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

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=> b reg

FILE 'REGISTRY' ENTERED AT 09:15:21 ON 10 DEC 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4
DICTIONARY FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

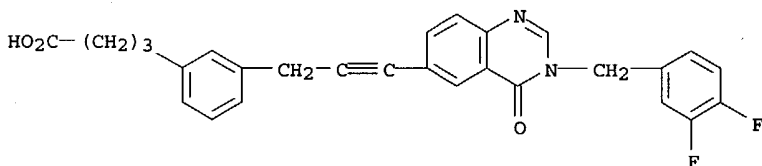
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d ide l14

L14 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 658679-95-9 REGISTRY
CN Benzenebutanoic acid, 3-[3-[3-[(3,4-difluorophenyl)methyl]-3,4-dihydro-4-
oxo-6-quinazolinyl]-2-propynyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H22 F2 N2 O3
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)

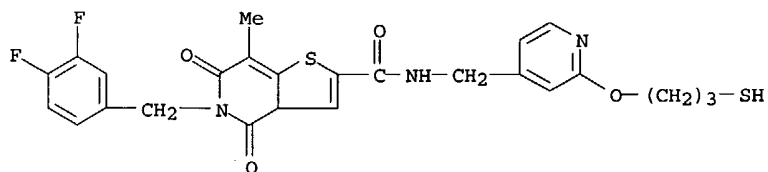


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d ide l16

L16 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 658679-96-0 REGISTRY
CN Thieno[3,2-c]pyridine-2-carboxamide, 5-[(3,4-difluorophenyl)methyl]-
3a,4,5,6-tetrahydro-N-[[2-(3-mercaptopropoxy)-4-pyridinyl]methyl]-7-methyl-
4,6-dioxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H23 F2 N3 O4 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)

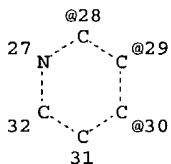
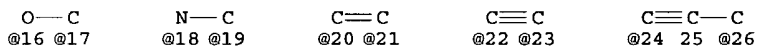
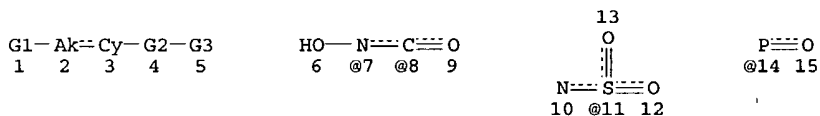


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L3 SCR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2043 OR 2054
L4 SCR 1839
L8 1065213 SEA FILE=REGISTRY ABB=ON PLU=ON NR>=2 AND 46.156.30/RID
L23 STR



VAR G1=CO2H/7/8/SH/11/14
VAR G2=16-3 17-5/17-3 16-5/18-3 19-5/19-3 18-5/20-3 21-5/22-3 23-5/24-3 2
6-5/26-3 24-5
VAR G3=28/29/30
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 27
NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE
L25 707 SEA FILE=REGISTRY SUB=L8 SSS FUL L23 AND L4 NOT L3
L26 602 SEA FILE=REGISTRY ABB=ON PLU=ON L25/COM

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(FILE 'HOME' ENTERED AT 08:11:03 ON 10 DEC 2004)

FILE 'REGISTRY' ENTERED AT 08:11:14 ON 10 DEC 2004

L1 STR
L2 4 L1
L3 SCR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2043 OR 2054
L4 SCR 1839
L5 2 L1 AND L4 NOT L3

FILE 'LREGISTRY' ENTERED AT 08:28:32 ON 10 DEC 2004

L6 STR
L7 50 L6

FILE 'REGISTRY' ENTERED AT 08:29:40 ON 10 DEC 2004

Search done by Noble Jarrell

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L8      1065213 NR>=2 AND 46.156.30/RID
L9      9 L1 SAM SUB=L8
L10     QUE (PMS OR MAN OR IDS)/CI OR UNSPECIFIED OR COMPD OR COMPOUND
L11     26 C28H22F2N2O3 NOT L10
L12     25 L11 AND 46.150.18/RID
        E NCNC3-C6/ES
L13     5 NCNC3-C6/ES AND L12
        SEL RN 1
L14     1 E1 AND L13
        E SC4-NC5/ES
L15     3 C25H23F2N3O4S2 NOT L10
        SEL RN 1
L16     1 E1 AND L15

FILE 'HCAPLUS' ENTERED AT 09:15:34 ON 10 DEC 2004
L17     1 L14 OR L16

FILE 'REGISTRY' ENTERED AT 09:28:22 ON 10 DEC 2004
L18     STR L1
L19     SCR 1840
L20     6 L18 AND L19 NOT L3 SAM SUB=L8
L21     STR L1
L22     0 L21 AND L19 NOT L3 SAM SUB=L8
L23     STR L1
L24     1 L23 AND L4 NOT L3 SAM SUB=L8
L25     707 L23 AND L4 NOT L3 FULL SUB=L8
L26     602 L25/COM
        SAVE TEMP HAB531F0/A L26

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L27     242 L26

FILE 'HCAOLD' ENTERED AT 11:28:21 ON 10 DEC 2004
L28     2 L26
        SEL AN
        EDIT E2-E3 /AN /OREF

FILE 'HCAPLUS' ENTERED AT 11:29:05 ON 10 DEC 2004
L29     3 E2-3
L30     244 L27 OR L29
        E JOHNSON A/AU
L31     457 E3,E53-54
        E JOHNSON ADAM/AU
L32     39 E3,E5,E7
L33     5628 (WARNER (1A) LAMBERT)/CS,PA
L34     0 L30 AND L31-32
L35     1 US20040063673/PN

FILE 'REGISTRY' ENTERED AT 11:31:50 ON 10 DEC 2004

FILE 'HCAPLUS' ENTERED AT 11:32:04 ON 10 DEC 2004
L36     TRA L35 1- RN :      3 TERMS

FILE 'REGISTRY' ENTERED AT 11:32:05 ON 10 DEC 2004
L37     3 SEA L36
L38     0 L37 AND L25

FILE 'HCAPLUS' ENTERED AT 11:37:46 ON 10 DEC 2004
L39     228 L30 AND (PY<=2002 OR PRY<=2002 OR AY<=2002 OR PD<20020813 OR AD
L40     1 L30 AND L33
L41     227 L39 NOT L40
L42     165 L41 AND P/DT
L43     24 L42 AND US/PC.B

=> b hcap
FILE 'HCAPLUS' ENTERED AT 11:43:16 ON 10 DEC 2004
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FILE COVERS 1907 - 10 Dec 2004 VOL 141 ISS 25
FILE LAST UPDATED: 9 Dec 2004 (20041209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitr 117

L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:142965 HCAPLUS
DN 140:175188
ED Entered STN: 22 Feb 2004
TI Cyclic compounds containing zinc binding groups as matrix metalloproteinase inhibitors
IN Johnson, Adam Richard
PA Warner-Lambert Company Llc, USA
SO PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-517
ICS C07D239-91; C07D495-04; A61K031-4365; A61P029-00
CC 1-12 (Pharmacology)
Section cross-reference(s): 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014384	A2	20040219	WO 2003-IB3518	20030804
WO 2004014384	A3	20040722		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004063673	A1	20040401	US 2003-634531	20030805
PRAI US 2002-403255P	P	20020813		

CLASS

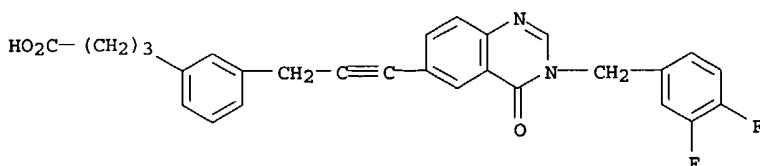
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004014384	ICM	A61K031-517
	ICS	C07D239-91; C07D495-04; A61K031-4365; A61P029-00

OS MARPAT 140:175188

AB This invention provides compds. defined by Formula (I) ((Z-L-R1-Q-D-(V1)m-R2) or a pharmaceutically acceptable salt thereof, wherein Z = HO2C, HO(H)N(O)C, H(O)C-N(OH), CH3(O)C-N(OH), CH3(H)N(O)C-N(OH), heterocyclic, etc.; L = substituted C3-C5 alkylenyl or heteroalkylenyl; R1 = C5 or C6 cycloalkylenyl-(C1-C5 alkylenyl), substituted C5 or C6 cycloalkylenyl-(C1-C8 alkylenyl), 5- or 6-membered heterocycloalkylenyl-(C1-C8 alkylenyl), substituted 5- or 6-membered heterocycloalkylenyl-(C1-C8 alkylenyl), phenylenyl-(C1-C8 alkylenyl), etc.; D = cyclic diradical group; Q, when bonded to a nitrogen atom in group D, = OC(O), CH(R6)C(O), OC(NR6), CH(R6)C(NR6), N(R6)C(O), N(R6)C(S), N(R6)C(NR6), SC(O), (R6)-heterocycle, etc.; each R6 independently is H, C1-C6 alkyl, C3-C6 cycloalkyl, 3- to 6-membered heterocycloalkyl, etc.; V1 is a 5-membered heteroarylenyl containing carbon atoms and from 1 to 4 heteroatoms; and R2 = H, C1-C6 alkyl, phenyl-(C1-C8 alkylenyl), substituted phenyl-(C1-C5 alkylenyl), naphthyl-(C1-C8 alkylenyl), substituted naphthyl-(C1-C8 alkylenyl), 5- or 6-membered heteroaryl-(C1-C5 alkylenyl), etc.). The invention also provides pharmaceutical compns. comprising a compound of Formula I, or a pharmaceutically acceptable salt thereof, as defined in the specification, together with a pharmaceutically acceptable carrier, diluent, or excipient. The invention also provides methods of inhibiting an MMP-13 enzyme in an animal, comprising administering to the animal a compound of Formula I, or a pharmaceutically acceptable salt thereof. The invention

also provides methods of treating a disease mediated by an MMP-13 enzyme in a patient, comprising administering to the patient a compound of Formula I, or a pharmaceutically acceptable salt thereof, either alone or in a pharmaceutical composition. The invention also provides methods of treating diseases such as heart disease, multiple sclerosis, osteo- and rheumatoid arthritis, arthritis other than osteo- or rheumatoid arthritis, cardiac insufficiency, inflammatory bowel disease, heart failure, age-related macular degeneration, chronic obstructive pulmonary disease, asthma, periodontal diseases, psoriasis, atherosclerosis, and osteoporosis in a patient, comprising administering to the patient a compound of Formula I, or a pharmaceutically acceptable salt thereof, either alone or in a pharmaceutical composition. The invention also provides combinations, comprising a compound of Formula I, or a pharmaceutically acceptable salt thereof, together with another pharmaceutically active component as described in the specification.

- ST cyclic compd zinc binding group matrix metalloproteinase inhibitor;
arthritis treatment cyclic compd metalloproteinase inhibitor
- IT Drug delivery systems
(capsules; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(carriers; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Antiarthritics
Antirheumatic agents
Human
Osteoarthritis
Rheumatoid arthritis
(cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(diluent; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(excipients; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(injections; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(ointments; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(suppositories; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT Drug delivery systems
(tablets; cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT 175449-82-8, Matrix metalloproteinase 13
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT 658679-95-9 658679-96-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- IT 658679-95-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic compds. containing zinc binding groups as matrix metalloproteinase inhibitors for treatment diseases such as arthritis)
- RN 658679-95-9 HCAPLUS
- CN Benzenebutanoic acid, 3-[3-[3-[(3,4-difluorophenyl)methyl]-3,4-dihydro-4-oxo-6-quinazolinyl]-2-propynyl]- (9CI) (CA INDEX NAME)



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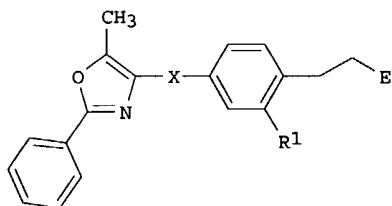
L40 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:818284 HCAPLUS
 DN 139:307754
 ED Entered STN: 17 Oct 2003
 TI Preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic agents
 IN Fakhoury, Stephen Alan; Lee, Helen Tsenwhei; Schaum, Robert Philipp; Sexton, Karen Elaine
 PA Warner-Lambert Company LLC, USA
 SO PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM A61K031-422
 ICS C07D413-12; C07D263-32; A61P003-10
 CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084535	A1	20031016	WO 2003-IB1132	20030325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GE, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003225083	A1	20031204	US 2003-390465	20030317
US 6716842	B2	20040406		
PRAI US 2002-370455P	P	20020405		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003084535	ICM	A61K031-422
	ICS	C07D413-12; C07D263-32; A61P003-10
US 2003225083	ECLA	C07D263/32; C07D413/12+263B+213
OS MARPAT 139:307754		

GI



I

AB 5-Methyl-2-phenyloxazole-moiety-containing antidiabetic agents [I; E = COR6; R6 = alkyl, OH, alkoxy, (un)substituted amino, (un)substituted heteroaryl; R1 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted aryl, halogen, NO2, NO, CN, etc.; X = 2-5-atom bridge] and their pharmaceutically acceptable salts, lower blood glucose levels and are useful for treating diseases in mammals such as non-insulin-dependent diabetes mellitus (i.e., adult-onset diabetes mellitus) and I-containing pharmaceutical formulation are presented. Thus, Et 3-[2-hydroxy-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]propionate was etherified with 2-(bromomethyl)pyridine hydrobromide and then the ether was saponified to give 3-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-(pyridin-2-ylmethoxy)phenyl]propionic acid.

Search done by Noble Jarrell

ST methylphenyloxazolylethoxyppyridinylmethoxyphenylpropionic acid prepn
antidiabetic agent; methylphenyloxazole moiety contg antidiabetic agent
prepn

IT Anticholesteremic agents
(5-methyl-2-phenyloxazole moiety-containing compds.)

IT Carboxylic acids, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(5-methyl-2-phenyloxazole moiety-containing; preparation of 5-methyl-2-
phenyloxazole moiety-containing antidiabetic agents)

IT Antiarteriosclerotics
(antiatherosclerotics; 5-methyl-2-phenyloxazole moiety-containing compds.)

IT Carboxylic acids, preparation
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(esters, 5-methyl-2-phenyloxazole moiety-containing; preparation of
5-methyl-2-phenyloxazole moiety-containing antidiabetic agents)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BUU (Biological use,
unclassified); BIOL (Biological study); USES (Uses)
(hyperlipidemia; preparation of 5-methyl-2-phenyloxazole moiety-containing
antidiabetic agents for the treatment of)

IT Etherification
Hydrogenation
Saponification
(in the preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic
agents)

IT Drug delivery systems
(injections; preparation of 5-methyl-2-phenyloxazole moiety-containing
antidiabetic agents for use in)

IT Diabetes mellitus
(non-insulin-dependent; preparation of 5-methyl-2-phenyloxazole
moiety-containing antidiabetic agents for the treatment of)

IT Antidiabetic agents
(preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic agents)

IT Atherosclerosis
Hypercholesterolemia
Hyperglycemia
(preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic agents
for the treatment of)

IT Drug delivery systems
(solns., oral; preparation of 5-methyl-2-phenyloxazole moiety-containing
antidiabetic agents for use in)

IT Drug delivery systems
(tablets; preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic
agents for use in)

IT 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BUU (Biological use,
unclassified); BIOL (Biological study); USES (Uses)
(hyperinsulinemia; preparation of 5-methyl-2-phenyloxazole moiety-containing
antidiabetic agents for the treatment of)

IT 93-35-6, 7-Hydroxycoumarin 1333-74-0, Hydrogen, reactions 31106-82-8,
2-(Bromomethyl)pyridine hydrobromide 103788-65-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic
agents)

IT 603-35-0, Triphenylphosphine, reactions 1310-65-2, Lithium hydroxide
1972-28-7, Diethyl azodicarboxylate 7646-69-7, Sodium hydride
RL: RGT (Reagent); RACT (Reactant or reagent)
(in the preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic
agents)

IT 185842-05-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic agents)

IT 612508-97-1P 612509-00-9P 612509-02-1P 612509-05-4P
612509-07-6P 612509-11-2P 612509-15-6P 612509-17-8P
612509-19-0P 612509-20-3P 612509-22-5P 612509-24-7P 612509-26-9P
612509-28-1P 612509-30-5P 612509-32-7P 612509-34-9P 612509-36-1P
612509-38-3P 612509-40-7P 612509-42-9P 612509-44-1P 612509-46-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic agents)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Gonzalez-Garcia, M; WO 02100403 A 2002 HCAPLUS
- (2) Japan Tobacco Inc; EP 0930299 A 1999 HCAPLUS
- (3) Lawrence, S; WO 0100603 A 2001 HCAPLUS
- (4) Momose, Y; CHEMICAL AND PHARMACEUTICAL BULLETIN 2002, V50(1), P100 HCAPLUS
- (5) Momose, Y; JOURNAL OF MEDICINAL CHEMISTRY 2002, V45(7), P1518 HCAPLUS
- (6) Sumitomo Metal Ind Ltd; JP 08325250 A 1996 HCAPLUS

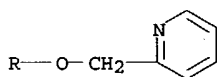
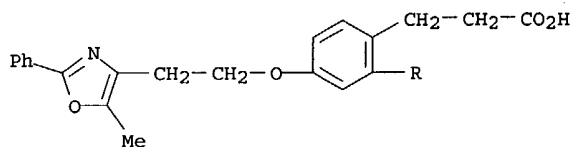
IT 612508-97-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-methyl-2-phenyloxazole moiety-containing antidiabetic agents)

RN 612508-97-1 HCAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



=> d all hitstr 143 tot

L43 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:589247 HCAPLUS

DN 141:140463

ED Entered STN: 23 Jul 2004

TI Preparation of heterocyclic compounds as selective phosphodiesterase V inhibitors

IN Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei

PA Japan

SO U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Ser. No. 258,545.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K031-53

ICS A61K031-4965; A61K031-513; A61K031-426; A61K031-421

NCL 514242000; 514256000; 514255050; 514355000; 514365000; 514374000;

514399000; 544182000; 544318000; 544406000

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004142930	A1	20040722	US 2003-699804	20031104 <--
	JP 2002012587	A2	20020115	JP 2000-277652	20000913 <--
	WO 2001083460	A1	20011108	WO 2001-JP2034	20010315 <--
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
	US 2003229089	A1	20031211	US 2002-258545	20021025 <--
PRAI	JP 2000-130371	A	20000428	<--	
	JP 2000-277652	A	20000913	<--	
	WO 2001-JP2034	W	20010315	<--	
	US 2002-258545	A2	20021025	<--	

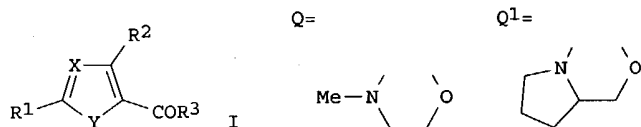
Search done by Noble Jarrell

JP 1999-261852 A 19990916 <--

CLASS	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
	US 2004142930	ICM	A61K031-53
		ICS	A61K031-4965; A61K031-513; A61K031-426; A61K031-421
		NCL	514242000; 514256000; 514255050; 514355000; 514365000; 514374000; 514399000; 544182000; 544318000; 544406000
	US 2003229089	ECLA	C07D239/48C5; C07D401/12+239B1213; C07D401/12+239B+213; C07D401/14+239B+217+213; C07D401/14+239+239B+211; C07D401/14+239B+233+213; C07D401/14+239B+215+207; C07D401/14+239B+213+211; C07D401/1+239B+213+209; C07D401/14+239B+213+207; C07D401/14+239B+211+207; C07D403/04+239B+207; C07D403/0+241B+239B; C07D403/14+239B+239B+207; C07D403/14+239B+237B+207; C07D403/14+239B+231+207; C07D040/14+241B+239B+297; C07D403/14+24B+239B+239B; C07D403/14+241B+239B+207; C07D403/14+241B+239B+239B; C07D403/14+265D+239B+207; C07D413/04+265D+239B; C07D413/14+265D+239B+207; C07D413/14+265D+239B211; C07D413/14+261+239B+207; C07D413/14+265D+239B; C07D417/14+277B+239B+207; C07D417/14+277+23B+207; C07D417/14+285B+239B+207; C07D471/04+221B+221B+2; C07D471/04+221B+209B; C07D471/04+239B+21B; C07D471/04+235B+221B; C07D471/04+231B+221B; C07D487/04+241C+235C; C07D513/04+277B+221B; C07F009/6558B

OS MARPAT 141:140463 <--

GI



- AB The title compds. (I) [X = CH, N; Y = NH, NR, S, O, CH:N, N:CH, N:N, CH:CHC(R5)N, CH:C(R5), N:C(R7); R1 = each (un)substituted lower alkoxy, amino, heterocyclyl containing N atom(s), HO, or heterocyclyloxy containing N atom(s), cyano; R2 = lower alkylamino or lower alkoxy each optionally substituted by an (un)substituted aryl, lower alkoxy group substituted by an aromatic heterocyclic ring containing N atom(s), lower alkylamino group substituted by a (un)substituted heterocyclic ring, (un)substituted arylamino; R3 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkyl, lower alkoxy, lower cycloalkoxy, heterocyclyloxy containing N atom(s), or NH2; R4-R7 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkoxy, or NH2; R4, R5, R6 or R7 may combine with R3 to form a lactone ring Q or Q1; when X = N, Y = CH:N, or N:CH, R2 = an amino group monosubstituted by an (un)substituted arylmethyl, and R3 = (un)substituted lower alkyl, amino monosubstituted by an (un)substituted heterocyclyl-lower alkyl containing N atom(s) in the ring, heterocyclylamino containing N atom(s) in the ring, or (un)substituted lower cycloalkylamino, R1 = each (un)substituted lower alkoxy, amino, heterocyclyloxy containing N atom(s) in the ring, or cyano group] or pharmacol. acceptable salts thereof are prepared These compds. have excellent selective PDE V inhibitory activity and therefore, are useful as therapeutic or prophylactic drugs for treating various diseases due to functional disorders on cGMP-signaling, such as erectile dysfunction, pulmonary hypertension, and diabetic gastroparesis. Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF and etherified with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.
- ST pulmonary hypertension treatment heterocyclic compd prepn; heterocyclic compd prepn erectile dysfunction; diabetic gastroparesis treatment heterocyclic compd prepn; benzoylbenzylaminopyrimidine prepn phosphodiesterase V inhibitor; phosphodiesterase V inhibitor heterocyclic compd prepn
- IT Stomach, disease
(gastroparesis, diabetic gastroparesis; preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)
- IT Sexual behavior

(impotence; preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT Heterocyclic compounds

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT Antihypertensives

(pulmonary hypertension; preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT Hypertension

(pulmonary; preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT 2402-99-5P, 3,5-Dibromopyridine N-oxide 6216-63-3P 23356-96-9P, L-Prolinol 34914-36-8P, 2-(Triphenylmethylthio)acetic acid 38496-18-3P, 2,6-Dichloronicotinic acid 40296-46-6P, 4,6-Dichloronicotinic acid ethyl ester 61830-09-9P, 2-Cyano-3,5-dibromopyridine 61830-40-8P, 3,5-Dibromopyridine-2-carboxylic acid 83878-89-1P 93416-51-4P, 5-Carboxy-2,4,6-trichloropyrimidine 130563-28-9P 148256-84-2P, 2,4-Dichloro-5-[hydroxy(3,4,5-trimethoxyphenyl)methyl]pyrimidine 196081-78-4DP, 2-Bromo-4-(2-hydroxyethyl)phenol, resin-bound 196081-78-4P, 2-Bromo-4-(2-hydroxyethyl)phenol 312736-49-5P, 2-Carboxy-3,5-dichloropyrazine 313339-35-4P, 4,6-Dichloro-5-carboxy-2-methylthiopyrimidine 330785-82-5P, 2-Methylsulfinyl-5-ethoxycarbonyl-4-(3-chloro-4-methoxybenzylamino)pyrimidine 330785-85-8P, 2-Methylthio-4-(3-chloro-4-methoxybenzylamino)-5-hydroxymethylpyrimidine 330786-09-9P, 2-Methoxycarbonyl-3,5-dichloropyrazine 372113-75-2P, 2-(2-Pyridylmethoxy)-5-carboxy-4-(3-chloro-4-methoxybenzylamino)pyrimidine 372115-64-5P, 4-Chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-2-[N-methyl-N-(3-chloro-4-methoxybenzyl)amino]pyrimidine 372115-65-6P, 2-Chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-[N-methyl-N-(3-chloro-4-methoxybenzyl)amino]pyrimidine 372116-53-5DP, resin-bound 372116-60-4DP, resin-bound 372117-74-3P, 2,4-Dichloro-5-(3,4,5-trimethoxyphenylcarbonyl)pyrimidine 372117-75-4P, 2-Chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine 372117-76-5P, 2-Methylsulfonyl-5-ethoxycarbonyl-4-(3-chloro-4-methoxybenzylamino)pyrimidine 372117-77-6P, 2-(2-Pyridylmethoxy)-5-(2-pyridylmethoxycarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine 372117-78-7P, 2-(2-Pyridylmethoxy)-5-(methoxycarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine 372117-81-2P 372117-82-3P, 2-Methylthio-4-(3-chloro-4-methoxybenzylamino)-5-[(hydroxy)(3-pyridyl)methyl]pyrimidine 372117-83-4P, 2,4-Dichloro-5-[(hydroxy)(2-pyridyl)methyl]pyrimidine 372117-84-5P, 2-Chloro-4-(3-chloro-4-methoxybenzylamino)-5-[(hydroxy)(2-pyridyl)methyl]pyrimidine 372117-85-6P 372117-86-7P, 6-Benzoyloxy-3-hydroxy-3-(3,4,5-trimethoxyphenyl)-2,3-dihydroisoindol-1-one 372117-87-8P, 5-Benzoyloxy-2-(3,4,5-trimethoxybenzoyl)aniline 372117-88-9P, 5-Hydroxy-2-(3,4,5-trimethoxybenzoyl)aniline 372117-89-0P, 5-(2-Pyridylmethoxy)-2-(3,4,5-trimethoxybenzoyl)aniline 372117-90-3P, (S)-4-(2-Hydroxymethyl-1-pyrrolidinyl)-2-nitrobenzoic acid methyl ester 372117-91-4P, (S)-4-(2-Hydroxymethyl-1-pyrrolidinyl)-2-aminobenzoic acid methyl ester 372117-92-5P, (S)-4-(2-Hydroxymethyl-1-pyrrolidinyl)-2-(3-chloro-4-methoxybenzylamino)benzoic acid methyl ester 372117-93-6P, (S)-4-(2-Hydroxymethyl-1-pyrrolidinyl)-2-(3-chloro-4-methoxybenzylamino)benzoic acid 372117-97-0P, 2-(3-Chloro-4-methoxybenzylamino)-6-chloronicotinic acid 372117-98-1P, 2-(3-Chloro-4-methoxybenzylamino)-6-chloronicotinic acid ethyl ester 372117-99-2P 372118-01-9P, 3-Methoxycarbonyl-4,6-dichloropyridazine 372118-02-0P, 3-Methoxycarbonyl-6-chloro-4-(3-chloro-4-methoxybenzylamino)pyridazine 372118-04-2P, 6-Chloro-4-(3-chloro-4-methoxybenzylamino)pyridazine-3-carboxylic acid 372118-05-3P 372118-06-4P, 3-Methylthio-5-(3-chloro-4-methoxybenzylamino)-6-ethoxycarbonyl-1,2,4-triazine 372118-07-5P, (S)-3-(2-Hydroxymethyl-1-pyrrolidinyl)-5-(3-chloro-4-methoxybenzylamino)-6-ethoxycarbonyl-1,2,4-triazine 372118-08-6P, (S)-3-(2-Hydroxymethyl-1-pyrrolidinyl)-5-(3-chloro-4-methoxybenzylamino)-6-carboxy-1,2,4-triazine 372118-09-7P, 5-Bromo-3-(3-chloro-4-methoxybenzylamino)pyridine-2-carboxylic acid 372118-12-2P, 4-Benzylthio-5-carboxy-2,6-dichloropyrimidine 372118-14-4P, 4-Benzylthio-5-methoxycarbonyl-2,6-dichloropyrimidine 372118-16-6P, 4,6-Dibenzylthio-5-methoxycarbonyl-2-chloropyrimidine

372118-19-9P 372118-21-3P, 4-Benzylthio-5-methoxycarbonyl-6-(4-hydroxypiperidin-1-yl)-2-(5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl)pyrimidine 372118-23-5P, 4-Benzylsulfinyl-5-methoxycarbonyl-6-(4-hydroxypiperidin-1-yl)-2-(5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl)pyrimidine 372118-25-7P, 4-(3-Chloro-4-methoxybenzylamino)-2,6-dichloropyrimidine-5-carboxylic acid 372118-27-9P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-2,6-dichloropyrimidine 372118-28-0P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-chloro-2-benzylthiopyrimidine 372118-29-1P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-(5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl)-2-benzylthiopyrimidine 372118-30-4P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-(5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl)-2-benzylsulfinylpyrimidine 372118-31-5P, 4-(3-Chloro-4-methoxybenzylamino)-5-carboxy-6-chloro-2-methylthiopyrimidine 372118-32-6P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-chloro-2-methylthiopyrimidine 372118-33-7P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-(4-hydroxypiperidin-1-yl)-2-methylthiopyrimidine 372118-34-8P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-(4-hydroxypiperidin-1-yl)-2-methylsulfinylpyrimidine 372118-35-9P 372118-36-0P, 4-(3-Chloro-4-methoxybenzylamino)-5-(2-benzylthioethoxycarbonyl)-6-chloro-2-methylthiopyrimidine 372118-37-1P, 4-(3-Chloro-4-methoxybenzylamino)-5-(2-benzylthioethoxycarbonyl)-6-(4-hydroxypiperidin-1-yl)-2-methylthiopyrimidine 372118-38-2P, 4-(3-Chloro-4-methoxybenzylamino)-5-(2-benzylthioethoxycarbonyl)-6-(4-hydroxypiperidin-1-yl)-2-methylsulfinylpyrimidine 372118-40-6P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-chloro-2-(4-hydroxypiperidin-1-yl)pyrimidine 372118-41-7P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-(4-hydroxypiperidin-1-yl)-2-chloropyrimidine 372118-42-8P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-chloro-2-(4-methylpiperazin-1-yl)pyrimidine 372118-43-9P, 4-(3-Chloro-4-methoxybenzylamino)-5-methoxycarbonyl-6-methoxy-2-methylthiopyrimidine 372118-44-0P, 4-(3-Chloro-4-methoxybenzylamino)-5-carboxy-6-methoxy-2-methylthiopyrimidine 372118-45-1P, 4-(3-Chloro-4-methoxybenzylamino)-5-[N-(2-pyrimidinylmethyl)carbamoyl]-6-methoxy-2-methylthiopyrimidine 372118-46-2P, 4-(3-Chloro-4-methoxybenzylamino)-5-[N-(2-pyrimidinylmethyl)carbamoyl]-6-methoxy-2-methylsulfinylpyrimidine 372118-47-3DP, resin bound 372118-48-4DP, resin-bound 372118-49-5DP, resin-bound 372118-50-8DP, resin-bound 372118-51-9DP, resin-bound 372118-52-0P 372118-53-1P, (S)-4-Amino-5-ethoxycarbonyl-2-(2-hydroxymethyl-1-pyrrolidinyl)thiazole 372118-54-2P 372118-55-3P 372118-56-4P 372118-57-5P 372118-58-6P 372118-59-7P 372118-60-0DP, resin-bound 372118-61-1DP, 2-Bromo-4-(2-acryloxyethyl)phenol, resin-bound 372118-63-3P, 4-Chloro-5-carboxy-6-methoxy-2-methylthiopyrimidine 372118-64-4P 372118-65-5DP, resin-bound 372118-66-6P, 2-Aminomethylpyrimidine maleate 372118-67-7P, 2-Aminomethylpyrimidine hydrochloride 454486-92-1P, 4-(3-Chloro-4-methoxybenzylamino)-5-[(hydroxy)(1-methyl-2-imidazolyl)methyl]-2-methylthiopyrimidine 726205-58-9P, N-(3-Chloro-4-methoxybenzyl)acetamide 726205-60-3P, 2-Chloro-4-(3-chloro-4-methoxybenzylamino)nicotinic acid ethyl ester 726205-61-4P, 2-Chloro-4-(3-chloro-4-methoxybenzylamino)nicotinic acid 726205-62-5P, 3-(2-Pyrimidinylmethylaminocarbonyl)-6-chloro-4-(3-chloro-4-methoxybenzylamino)pyridine 726205-63-6P, 4,6-Dihydroxypyridazine-1-carboxylic acid methyl ester 726205-64-7P, 3-Methoxycarbonyl-6-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-methoxybenzylamino)pyridazine 726205-65-8P, 5-Bromo-3-(3-chloro-4-methoxybenzylamino)-2-(2-pyrimidinylmethylaminocarbonyl)pyridine 726205-66-9P, 4-(3-Chloro-4-methoxybenzylamino)-5-(2-benzylthioethoxycarbonyl)-6-(4-hydroxypiperidin-1-yl)-2-(2-hydroxymethyl-1-pyrrolidinyl)pyrimidine 726205-67-0DP, 2-Bromo-4-[2-[3-(4-methoxy-3-chlorobenzylamino)propionyloxy]ethyl]phenol, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT 9068-52-4, Phosphodiesterase V

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT	330784-47-9P	330784-47-9P	330785-81-4P	330785-83-6P	330785-86-9P
	330785-87-0P	330785-99-4P	330786-00-0P	330786-03-3P	330786-04-4P
	330786-10-2P	330786-12-4P	330786-34-0P	372112-75-9P	372112-76-0P
	372112-77-1P	372112-78-2P	372112-79-3P	372112-80-6P	372112-81-7P

372112-82-8P	372112-83-9P	372112-84-0P	372112-85-1P	372112-86-2P
372112-92-0P	372112-94-2P	372113-01-4P	372113-02-5P	372113-03-6P
372113-04-7P	372113-05-8P	372113-06-9P	372113-07-0P	372113-08-1P
372113-09-2P	372113-10-5P	372113-11-6P	372113-12-7P	372113-14-9P
372113-16-1P	372113-17-2P	372113-18-3P	372113-19-4P	372113-20-7P
372113-21-8P	372113-22-9P	372113-23-0P	372113-24-1P	372113-25-2P
372113-26-3P	372113-27-4P	372113-28-5P	372113-29-6P	372113-30-9P
372113-31-0P	372113-32-1P	372113-33-2P	372113-34-3P	372113-35-4P
372113-36-5P	372113-37-6P	372113-38-7P	372113-39-8P	372113-40-1P
372113-41-2P	372113-42-3P	372113-43-4P	372113-44-5P	372113-45-6P
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372113-52-5P	372113-53-6P	372113-54-7P	372113-56-9P	372113-58-1P
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372113-66-1P	372113-68-3P	372113-69-4P	372113-70-7P	372113-71-8P
372113-72-9P	372113-73-0P	372113-77-4P	372113-78-5P	372113-80-9P
372113-81-0P	372113-82-1P	372113-83-2P	372113-84-3P	372113-85-4P
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372113-91-2P	372113-92-3P	372113-93-4P	372113-94-5P	372113-95-6P
372113-96-7P	372113-97-8P	372113-98-9P	372113-99-0P	372114-00-6P
372114-02-8P	372114-03-9P	372114-04-0P	372114-05-1P	372114-06-2P
372114-07-3P	372114-08-4P	372114-09-5P	372114-10-8P	372114-11-9P
372114-12-0P	372114-13-1P	372114-14-2P	372114-15-3P	372114-16-4P
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372114-43-7P	372114-44-8P	372114-45-9P	372114-46-0P	372114-47-1P
372114-48-2P	372114-49-3P	372114-50-6P	372114-51-7P	372114-52-8P
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372114-58-4P	372114-59-5P	372114-60-8P	372114-61-9P	372114-62-0P
372114-63-1P	372114-64-2P	372114-65-3P	372114-67-5P	372114-68-6P
372114-69-7P	372114-70-0P	372114-71-1P	372114-72-2P	372114-73-3P
372114-74-4P	372114-75-5P	372114-76-6P	372114-77-7P	372114-78-8P
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372114-94-8P	372114-95-9P	372114-96-0P	372114-97-1P	
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372115-19-0P	372115-20-3P	372115-21-4P	372115-23-6P	372115-24-7P
372115-25-8P	372115-26-9P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT	372115-27-0P	372115-28-1P	372115-29-2P	372115-30-5P	372115-31-6P
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	372115-39-4P	372115-40-7P	372115-41-8P	372115-42-9P	372115-43-0P
	372115-44-1P	372115-45-2P	372115-46-3P	372115-47-4P	372115-48-5P
	372115-49-6P	372115-50-9P	372115-51-0P	372115-52-1P	372115-53-2P
	372115-54-3P	372115-55-4P	372115-56-5P	372115-57-6P	372115-58-7P
	372115-59-8P	372115-60-1P	372115-61-2P	372115-62-3P	372115-63-4P
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	372116-34-2P	372116-35-3P	372116-36-4P	372116-37-5P	372116-38-6P
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372119-81-8P	687997-63-3P	726205-18-1P	726205-25-0P	726205-26-1P
726205-27-2P	726205-28-3P	726205-29-4P	726205-30-7P	726205-31-8P
726205-44-3P	726205-56-7P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

IT 64-17-5, Ethanol, reactions 68-11-1, Mercaptoacetic acid, reactions 74-88-4, Methyl iodide, reactions 76-84-6, Triphenylmethanol 86-81-7, 3,4,5-Trimethoxybenzaldehyde 100-53-8, Benzylthiol 107-30-2, Methoxymethyl chloride 108-24-7, Acetic acid anhydride 109-01-3, N-Methylpiperazine 109-83-1, 2-Methylaminoethanol 110-85-0, Piperazine, reactions 120-20-7, 2-(3,4-Dimethoxyphenyl)ethylamine 124-63-0, Methyl chloride 147-85-3, L-Proline, reactions 151-50-8, Potassium cyanide 498-63-5, 2-Hydroxymethylpyrrolidine 501-53-1, Carbobenzoxy chloride 501-94-0, 4-(2-Hydroxyethyl)phenol 586-98-1, 2-(Hydroxymethyl)pyridine 622-08-2, 2-Benzyloxyethanol 623-51-8, 2-Mercaptoacetic acid ethyl ester 625-92-3, 3,5-Dibromopyridine 626-55-1, 3-Bromopyridine 756-79-6, Dimethyl methylphosphonate 814-68-6, Acryloyl chloride 1121-60-4, Pyridine-2-aldehyde 1830-54-2, 2158-14-7 2402-78-0, 2,6-Dichloropyridine 2942-58-7, Diethyl cyanophosphonate 3731-51-9, 2-Pyridylmethylamine 3764-01-0, 2,4,6-Trichloropyrimidine 3934-20-1, 2,4-Dichloropyrimidine 4136-95-2, 2,4,6-Trichlorobenzoyl chloride 4774-14-5, 2,6-Dichloropyrazine 4903-09-7, 3-Chloro-4-methoxybenzaldehyde 5382-16-1, 4-Hydroxypiperidine 5909-24-0, 2-Methylthio-4-chloro-5-ethoxycarbonylpyrimidine 6299-25-8, 4,6-Dichloro-2-methylthiopyrimidine 6638-79-5 6959-47-3, 2-Picolyl chloride hydrochloride 6975-44-6, 4,6-Dihydroxynicotinic acid ethyl ester 7677-24-9, Trimethylsilyl cyanide 10191-60-3, Dimethyl N-cyanodithioiminocarbonate 13719-57-8, 3-Chloro-4-methoxybenzyl chloride 14080-23-0, 2-Cyanopyrimidine 14503-45-8, 3-Chloro-4-methoxyphenylmethanol 21078-49-9, 3-Nitro-4-methoxybenzylamine 31143-85-8, 3-Methylthio-5-hydroxy-6-ethoxycarbonyl-1,2,4-triazine 37517-81-0, Methyl chlorocarbonylacetate 41965-95-1, 3-Chloro-4-methoxybenzylamine hydrochloride 42087-80-9, 4-Chloro-2-nitrobenzoic acid methyl ester 42839-09-8, 2-Hydroxymethylpyrimidine 51081-36-8, 1-Methylimidazole lithium salt 75985-45-4, 2-Aminomethylpyrimidine 91476-80-1, 5,6,7,8-Tetrahydroimidazo[1,2-a]pyrazine 115514-77-7, 3-Chloro-4-methoxybenzylamine 147739-88-6 726205-59-0, 5-Benzoyloxy-2-(3,4,5-trimethoxybenzoyl)benzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

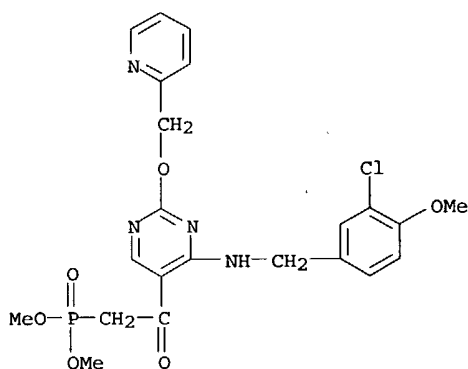
IT 372114-98-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

RN 372114-98-2 HCAPLUS

CN Phosphonic acid, [2-[4-[[[3-chloro-4-methoxyphenyl)methyl]amino]-2-(2-pyridinylmethoxy)-5-pyrimidinyl]-2-oxoethyl]-, dimethyl ester (9CI) (CA INDEX NAME)



L43 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2004:353133 HCAPLUS
 DN 140:357670
 ED Entered STN: 30 Apr 2004
 TI Preparation of amino acid derivatives for modulating angiotensin
 converting enzyme-2 (ACE-2)
 IN Acton, Susan L.; Ocain, Timothy D.; Gould, Alexandra E.; Dales, Natalie
 A.; Guan, Bing; Brown, James A.; Patane, Michael; Kadambi, Vivek J.;
 Solomon, Michael; Stricker-Krongrad, Alain
 PA USA
 SO U.S. Pat. Appl. Publ., 358 pp., Cont.-in-part of U.S. Ser. No. 870,382.
 CODEN: USXXCO
 DT Patent
 LA English
 IC ICM A61K031-00
 ICS A61K039-395
 NCL 514001000; 424146100
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004082496	A1	20040429	US 2001-999781	20011031 <--
	ZA 2001009378	A	20021114	ZA 2001-9378	20011114 <--
PRAI	US 1999-132034P	P	19990430	<--	
	US 1999-171052P	P	19991216	<--	
	US 2000-704216	B2	20001101	<--	
	US 2001-870382	A2	20010529	<--	
	US 2001-371741P	P	20011019	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004082496	ICM	A61K031-00
	ICS	A61K039-395
	NCL	514001000; 424146100
US 2004082496	ECLA	A61K031/00; A61K031/195; A61K031/196; A61K031/341; A61K031/36; A61K031/381; A61K031/40; A61K031/4035; A61K031/4164; A61K031/42; A61K031/421; A61K031/422; A61K031/426; A61K031/433; A61K031/44; A61K031/439; C07C229/16; C07C229/24; C07C229/26; C07C229/36; C07C271/20; C07C275/24; C07C323/52; C07D209/20; C07D213/55; C07D231/12B3; C07D233/54C2D5; C07D235/16; C07D249/08C2D; C07D277/06; C07D277/30; C07D277/40; C07D277/42; C07D333/24; C07D405/06+317+233; <--

OS MARPAT 140:357670
 AB ACE-2 modulating compds. Z-A-B-E (Z is a zinc coordinating moiety; E is an
 enzyme coordinating moiety; A is an auxiliary pocket binding moiety; B is
 a side chain binding moiety) were prepared for the treatment of body weight
 disorders. Thus, N-[(S)- or (R)-1-carboxy-3-phenylpropyl]-L-leucine was
 prepared by the solid-phase method and showed ACE-2 inhibitory activity.
 ST amino acid prepn ACE2 modulator prepn body wt disorder; angiotensin
 converting enzyme modulator amino acid prepn
 IT Peptides, preparation
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

- (ACE-2 target peptides; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT Antiarteriosclerotics
(antiatherosclerotics; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT Appetite
(bulimia; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT Body weight
(disorder; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT Atherosclerosis
Diabetes mellitus
Hydrolysis kinetics
Hypercholesterolemia
Lipodystrophy
(hydrolysis of peptides by angiotensin converting enzyme-2 (ACE-2))
- IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperlipidemia; hydrolysis of peptides by angiotensin converting enzyme-2 (ACE-2))
- IT Anorexia
Anticholesteremic agents
Antidiabetic agents
Appetite depressants
Cachexia
Human
Hypolipemic agents
Obesity
(preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT Drug delivery systems
(prodrugs; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 429668-90-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compound with 3-phenyl-propionaldehyde; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 104-53-0P, 3-Phenyl-propionaldehyde
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compound with leucine derivative; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 58-82-2, Bradykinin 79805-24-6, .beta.-Casomorphin 80887-44-1, 1-8-Neurotensin (cattle) 217082-58-1, Apelin-13 252642-12-9 304853-26-7, Ghrelin
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 175522-19-7P 305336-69-0P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 62697-87-4P 109013-56-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 9041-90-1, Angiotensin I 11075-17-5, Carboxypeptidase A 23827-88-5, 2-8-Bradykinin 23828-06-0, 2-7-Bradykinin 55508-42-4, Neurotensin(1-13) 63529-99-7, Neurotensin(1-12) 189696-01-3 398585-07-4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))
- IT 305336-82-7 305336-84-9
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of amino acid derivs. for modulating angiotensin converting

enzyme-2 (ACE-2))

IT 305334-15-0P 305334-17-2P
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))

IT 429661-14-3P 429661-50-7P 429661-76-7P 429662-59-9P 429666-06-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))

IT 20197-09-5P 25303-09-7P 26473-47-2P 34522-32-2P 81110-16-9P
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 305331-54-8P 305331-56-0P 305331-58-2P 305331-60-6P 305331-62-8P
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid derivs. for modulating angiotensin converting enzyme-2 (ACE-2))

IT 305336-11-2P 305336-13-4P 305336-15-6P 305336-17-8P 305336-19-0P
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429661-38-1P	429661-39-2P	429661-40-5P	429661-41-6P	429661-42-7P
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429662-33-9P	429662-34-0P	429662-35-1P	429662-36-2P	429662-37-3P
429662-38-4P	429662-39-5P	429662-40-8P	429662-41-9P	429662-42-0P
429662-43-1P	429662-44-2P	429662-45-3P	429662-46-4P	429662-47-5P
429662-48-6P	429662-49-7P	429662-50-0P	429662-51-1P	429662-52-2P
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429663-23-0P	429663-24-1P	429663-31-0P	429663-32-1P	429663-37-6P
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429663-74-1P	429663-76-3P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of amino acid derivs. for modulating angiotensin converting
enzyme-2 (ACE-2))

IT	429663-79-6P	429663-81-0P	429663-83-2P	429663-86-5P	429663-88-7P
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	429667-17-4P	429667-19-6P	429667-21-0P	429667-23-2P	429667-25-4P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of amino acid derivs. for modulating angiotensin converting
 enzyme-2 (ACE-2))

IT 429670-46-2P 429670-48-4P 429670-49-5P 429670-50-8P 429670-52-0P
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 429671-19-2P 429671-20-5P 429671-22-7P 429671-24-9P 429671-26-1P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of amino acid derivs. for modulating angiotensin converting
 enzyme-2 (ACE-2))

IT 78-77-3, Isobutyl bromide 95-24-9 98-80-6 100-55-0,
 3-Hydroxymethylpyridine 100-82-3, 3-Fluorobenzylamine 103-63-9
 109-04-6, 2-Bromopyridine 507-09-5, Thiolacetic acid, reactions
 586-95-8, 4-Pyridinemethanol 587-33-7 611-17-6, 2-Chlorobenzyl bromide
 617-35-6, Ethyl pyruvate 626-55-1, 3-Bromopyridine 766-80-3,
 3-Chlorobenzyl bromide 949-67-7, L-Tyrosine ethyl ester 1117-77-7,
 Methyl 2-acetamidoacetate 1120-87-2, 4-Bromopyridine 1453-58-3,
 3-Methylpyrazole 1647-26-3 1679-18-1 1765-93-1 1899-24-7,
 5-Bromo-2-furaldehyde 2550-36-9, Bromomethylcyclohexane 3032-81-3,
 3,5-Dichlorophenyl iodide 3510-66-5, 2-Bromo-5-methylpyridine
 4301-14-8 4487-59-6 4926-28-7, 2-Bromo-4-methylpyridine 5332-24-1,
 3-Bromoquinoline 5669-19-2, 2-Benzylacrylic acid 5680-80-8, L-Serine
 methyl ester hydrochloride 5720-05-8 5720-07-0 6165-69-1 7536-58-5
 7778-01-0, 3,5-Dichlorobenzyl bromide 13922-41-3 14002-51-8,
 4-Biphenylcarbonyl chloride 16652-64-5, o-Benzyltyrosine 27129-86-8,
 3,5-Dimethylbenzyl bromide 29678-81-7 34582-32-6 39827-11-7,
 Benzo[b]thiophene-2-carbonyl chloride 51673-84-8, Glyoxal dimethyl
 acetal 52311-53-2, 2-Bromo-3-chloro-4-ethoxypyridine 55533-24-9
 59279-58-2 63503-60-6 67492-50-6 73183-34-3 75716-87-9
 77087-60-6 93267-04-0 94839-07-3 100516-54-9 107903-42-4
 113893-08-6 128796-39-4 129714-97-2, 3,5-Difluorobenzoyl chloride
 146953-70-0 148403-14-9 156545-07-2 162536-44-9 172975-69-8
 183070-44-2 192182-54-0 429661-15-4 429663-33-2 429665-92-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of amino acid derivs. for modulating angiotensin converting
 enzyme-2 (ACE-2))

IT 1621-91-6P, 3-Pyrazolecarboxylic acid 33900-28-6P 40298-04-2P
 51421-25-1P 71460-02-1P 72086-72-7P 80963-12-8P 89524-99-2P
 91702-98-6P 101925-55-7P 102846-11-7P 102846-14-0P 121842-76-0P
 122313-00-2P 124818-07-1P 125279-44-9P 130106-11-5P 144542-43-8P
 153396-63-5P 153460-97-0P 186551-69-9P 186551-70-2P 269082-40-8P
 429661-09-6P 429661-10-9P 429661-11-0P 429661-12-1P 429661-16-5P
 429663-10-5P 429663-13-8P 429663-14-9P 429663-15-0P 429663-16-1P
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 429666-40-0P 429678-15-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of amino acid derivs. for modulating angiotensin converting
 enzyme-2 (ACE-2))

IT 124818-15-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of amino acid derivs. for modulating angiotensin converting
 enzyme-2 (ACE-2))

IT 2488-14-4 4502-00-5 33325-40-5 35661-60-0D, resin-linked
 64920-29-2, Ethyl 2-oxo-4-phenylbutyrate
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction; preparation of amino acid derivs. for modulating angiotensin
 converting enzyme-2 (ACE-2))

IT 431544-30-8, 1: PN: WO0239997 SEQID: 1 unclaimed DNA 431544-33-1, 3: PN:
 WO0239997 SEQID: 11 unclaimed DNA 431544-34-2, 4: PN: WO0239997 SEQID:
 12 unclaimed DNA 431544-35-3, 5: PN: WO0239997 SEQID: 13 unclaimed DNA
 431544-36-4, 6: PN: WO0239997 SEQID: 14 unclaimed DNA
 RL: PRP (Properties)
 (unclaimed nucleotide sequence; preparation of amino acid derivs. for
 modulating angiotensin converting enzyme-2 (ACE-2))

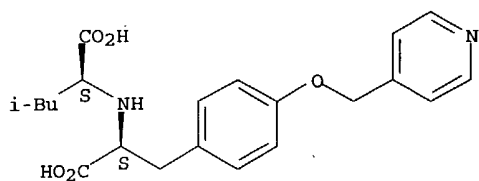
IT 431544-31-9
 RL: PRP (Properties)
 (unclaimed protein sequence; preparation of amino acid derivs. for
 modulating angiotensin converting enzyme-2 (ACE-2))

IT 484-42-4 4474-91-3 15958-92-6, 1-8-Bradykinin 34273-12-6
 71800-36-7, 1-9-Kallidin 72957-38-1, 1-13-Dynorphin A (swine)
 102029-74-3 103131-69-7, Kinetensin (human) 263393-18-6 263393-19-7
 263393-20-0 263393-21-1 263393-22-2 309246-47-7 431048-64-5
 431048-65-6
 RL: PRP (Properties)
 (unclaimed sequence; preparation of amino acid derivs. for modulating
 angiotensin converting enzyme-2 (ACE-2))

IT 429661-60-9P 429661-62-1P 429662-15-7P
 429669-66-9P 429669-70-5P 429670-59-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of amino acid derivs. for modulating angiotensin converting
 enzyme-2 (ACE-2))

RN 429661-60-9 HCAPLUS
 CN L-Tyrosine, N-[(1S)-1-carboxy-3-methylbutyl]-O-(4-pyridinylmethyl)- (9CI)
 (CA INDEX NAME)

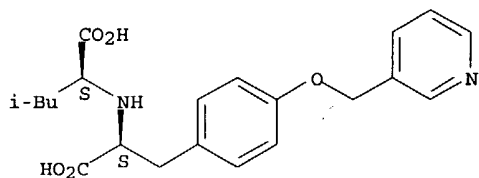
Absolute stereochemistry.



RN 429661-62-1 HCAPLUS

CN L-Tyrosine, N-[(1S)-1-carboxy-3-methylbutyl]-O-(3-pyridinylmethyl)- (9CI)
(CA INDEX NAME)

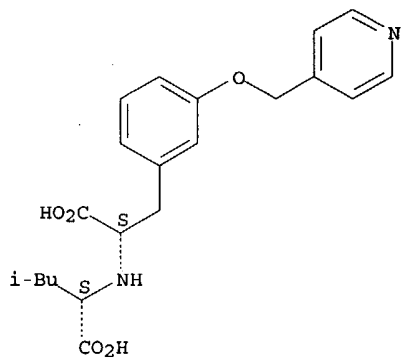
Absolute stereochemistry.



RN 429662-15-7 HCAPLUS

CN L-Phenylalanine, N-[(1S)-1-carboxy-3-methylbutyl]-3-(4-pyridinylmethoxy)-
(9CI) (CA INDEX NAME)

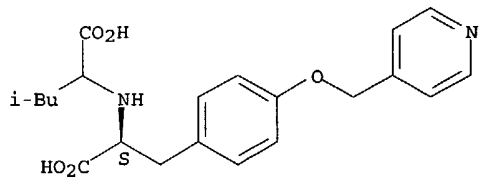
Absolute stereochemistry.



RN 429669-66-9 HCAPLUS

CN L-Tyrosine, N-(1-carboxy-3-methylbutyl)-O-(4-pyridinylmethyl)- (9CI) (CA
INDEX NAME)

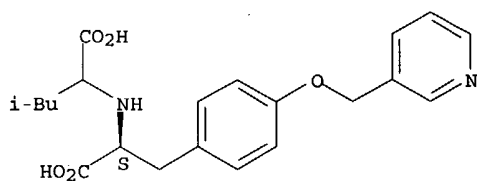
Absolute stereochemistry.



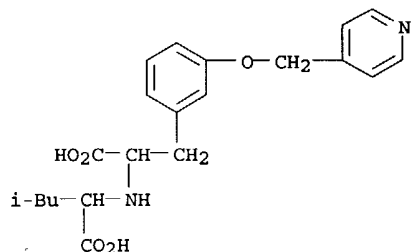
RN 429669-70-5 HCAPLUS

CN L-Tyrosine, N-(1-carboxy-3-methylbutyl)-O-(3-pyridinylmethyl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 429670-59-7 HCAPLUS
 CN Phenylalanine, N-(1-carboxy-3-methylbutyl)-3-(4-pyridinylmethoxy)- (9CI)
 (CA INDEX NAME)



L43 ANSWER 3 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:485719 HCAPLUS
 DN 139:53315
 ED Entered STN: 26 Jun 2003
 TI Preparation of N-sulfonylated dipeptide derivatives as inhibitors of
 leukocyte adhesion mediated by VLA-4
 IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Kreft,
 Anthony; Konradi, Andrei W.; Grant, Francine S.; Baudy, Reinhardt
 Bernhard; Sarantakis, Dimitrios
 PA USA
 SO U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 127,346, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-54
 ICS C07D217-02; C07D277-02; C07D279-12; C07D295-00
 NCL 514227500; 514307000; 514365000; 544059000; 544316000; 546147000;
 548146000; 560016000
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6583139	B1	20030624	US 2000-688820	20001017 <--
US 2004006093	A1	20040108	US 2003-382988	20030307 <--
PRAI US 1997-104592P	P	19970731	<--	
US 1998-127346	B1	19980731	<--	
US 2000-688820	A1	20001017	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6583139	ICM	A61K031-54
	ICS	C07D217-02; C07D277-02; C07D279-12; C07D295-00
	NCL	514227500; 514307000; 514365000; 544059000; 544316000; 546147000; 548146000; 560016000
US 2004006093	ECLA	A61K031/401; A61K031/4172; C07K014/00B; C07K014/195 <--

OS MARPAT 139:53315
 AB Disclosed are N-sulfonylated dipeptides R1SO2NR2CHR3-Q-CHR5CO2H [R1, R3 =
 (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 =
 H, (un)substituted cycloalkenyl, or any group given for R1; or R2 may form
 an (un)substituted heterocyclic ring with R1 or R3; R5 = CH2-X', where X'
 = H, OH, acylamino, (cyclo)alkyl, alkoxy, aryloxy, (hetero)aryl,
 aryloxyalkyl, carboxy, carboxyalkyl, etc.; Q = C(X)NR7; R7 = H, alkyl; X =
 O, S (with provisos)] which bind VLA-4. Certain of these compds. also
 inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated
 by VLA-4. Such compds. are useful in the treatment of inflammatory

diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, coupling of N-tosyl-L-proline with L-tyrosine Me ester, followed by reaction with (1-bromoethyl)benzene and saponification, afforded N-tosyl-L-prolyl-4-(.alpha.-methylbenzyloxy)-L-phenylalanine.

ST sulfonlated dipeptide prepn integrin VLA4 binding inhibitor; leukocyte adhesion inhibitor integrin mediated sulfonlated dipeptide prepn; peptide di sulfonlated prepn integrin VLA4 binding inhibitor; phenylalanine sulfonlated dipeptide prepn integrin VLA4 binding inhibitor

IT Mental disorder
(dementia; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Intestine, disease
(inflammatory; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Lung, disease
(injury, leukocyte mediated; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Heart, disease
(ischemia; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Neoplasm
(metastasis; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT AIDS (disease)
Alzheimer's disease
Anti-AIDS agents
Anti-Alzheimer's agents
Anti-ischemic agents
Antiartherosclerotics
Antiasthmatics
Antidiabetic agents
Antirheumatic agents
Antitumor agents
Asthma
Atherosclerosis
Dermatitis
Diabetes mellitus
Encephalitis
Human
Meningitis
Multiple sclerosis
Psoriasis
Rheumatoid arthritis
Transplant and Transplantation
(preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Dipeptides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Brain, disease
(stroke; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT Integrins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.alpha.4.beta.1; preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220149-83-7P 220303-22-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-sulfonlated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 4902-49-2P 220202-29-9P 220302-20-5P 220302-23-8P 220302-24-9P
220302-25-0P 220302-26-1P 220302-27-2P 220302-28-3P 220302-29-4P
220302-30-7P 220302-31-8P 220302-32-9P 220302-33-0P 220302-34-1P
220302-35-2P 220302-36-3P 220302-37-4P 220302-38-5P 220302-39-6P
220302-40-9P 220302-41-0P 220302-42-1P 220302-43-2P 220302-44-3P
220302-45-4P 220302-46-5P 220302-47-6P 220302-48-7P 220302-49-8P
220302-50-1P 220302-51-2P 220302-52-3P 220302-53-4P 220302-54-5P

220302-55-6P 220302-56-7P 220302-57-8P 220302-58-9P 220302-59-0P
 220302-61-4P 220302-63-6P 220302-64-7P 220302-65-8P 220302-67-0P
 220302-68-1P 220302-69-2P 220302-70-5P 220302-71-6P 220302-72-7P
 220302-73-8P 220302-74-9P 220302-75-0P 220302-76-1P 220302-77-2P
 220302-78-3P 220302-79-4P 220302-80-7P 220302-81-8P 220302-82-9P
 220302-83-0P 220302-84-1P 220302-85-2P 220302-86-3P
 220302-87-4P 220302-88-5P 220302-89-6P 220302-90-9P
 220302-91-0P 220302-92-1P 220302-93-2P 220302-94-3P 220302-95-4P
 220302-96-5P 220302-97-6P 220302-98-7P 220303-00-4P 220303-01-5P
 220303-02-6P 220303-03-7P 220303-04-8P 220303-05-9P 220303-06-0P
 220303-07-1P 220303-08-2P 220303-09-3P 220303-10-6P 220303-11-7P
 220303-12-8P 220303-13-9P 220303-14-0P 220303-15-1P 220303-16-2P
 220303-17-3P 220303-18-4P 220303-19-5P 220303-20-8P 220303-21-9P
 220303-23-1P 220303-24-2P 220303-25-3P 220303-26-4P 220303-28-6P
 220303-29-7P 220303-30-0P 220303-31-1P 220303-32-2P 220303-33-3P
 220303-34-4P 220303-35-5P 220303-36-6P 220303-37-7P 220303-38-8P
 220303-39-9P 220303-40-2P 220303-41-3P 220303-42-4P 220303-43-5P
 220303-44-6P 220303-45-7P 220303-46-8P 220303-47-9P 220303-48-0P
 220303-49-1P 220303-50-4P 220303-51-5P 220303-52-6P 220303-53-7P
 220303-54-8P 220303-55-9P 220303-56-0P 220303-57-1P 220303-58-2P
 220303-59-3P 220303-60-6P 220303-61-7P 220303-62-8P 220303-63-9P
 220337-23-5P 548464-65-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of N-sulfonylated dipeptide derivs. as inhibitors of leukocyte
 adhesion mediated by VLA-4)

IT 55-43-6 88-65-3, 2 Bromobenzoic acid 100-52-7, Benzaldehyde, reactions
 104-88-1, 4 Chlorobenzaldehyde, reactions 107-15-3, Ethylenediamine,
 reactions 110-62-3, Valeraldehyde 110-78-1, Propyl isocyanate
 500-22-1, 3 Pyridinecarboxaldehyde 585-71-7, 1 Bromoethylbenzene
 621-29-4, 3 Tollyl isocyanate 872-85-5, 4 Pyridinecarboxaldehyde
 1068-90-2, Diethyl 2 acetamidomalonate 1080-06-4 2491-20-5, L Alanine
 methyl ester hydrochloride 2532-17-4, Sodium 2 iodobenzoate 2673-19-0
 3518-65-8, Chloromethanesulfonyl chloride 3886-08-6 5292-43-3, tert
 Butyl bromoacetate 6230-11-1 6234-01-1 6306-52-1, L Valine, methyl
 ester, hydrochloride 7517-19-3, L Leucine methyl ester hydrochloride
 7693-46-1, 4 Nitrophenyl chloroformate 10332-17-9, L Methionine methyl
 ester 16652-64-5 16874-12-7 17201-43-3, 4 Cyanobenzyl bromide
 18598-74-8, L Isoleucine methyl ester hydrochloride 18908-07-1, 3
 Methoxyphenyl isocyanate 27894-50-4 28188-41-2, 3 Cyanobenzyl bromide
 37784-17-1 40465-45-0, 4 Cyanophenyl isocyanate 51077-01-1
 51644-83-8 60594-65-2 61070-22-2 65717-64-8 71449-08-6
 72778-00-8 78879-20-6 81677-60-3 87004-78-2 93983-56-3
 94594-90-8 126173-94-2 151266-48-7 170383-92-3 220149-81-5
 220176-23-8 220303-64-0 220303-65-1 220303-66-2 220303-67-3
 220303-68-4 548464-68-2 548464-69-3 548464-70-6 548464-71-7
 548464-72-8 548464-73-9 548464-74-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-sulfonylated dipeptide derivs. as inhibitors of leukocyte
 adhesion mediated by VLA-4)

IT 176702-13-9P 220303-69-5P 220303-71-9P 220303-72-0P 548464-66-0P
 548464-67-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of N-sulfonylated dipeptide derivs. as inhibitors of leukocyte
 adhesion mediated by VLA-4)

RE.CNT 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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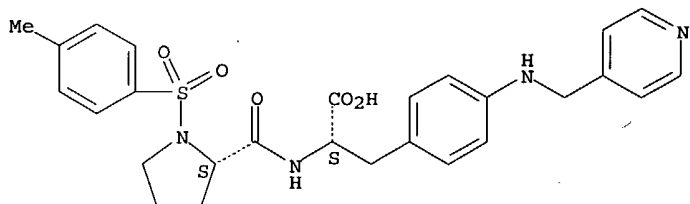
IT 220302-84-1P 220302-88-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-sulfonylated dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

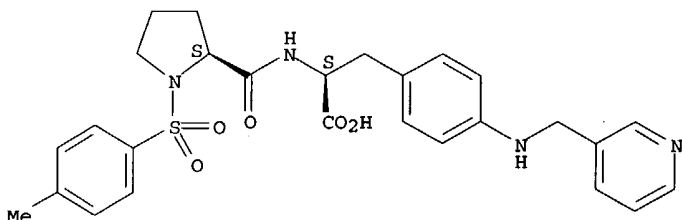
RN 220302-84-1 HCAPLUS
 CN L-Phenylalanine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-4-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220302-88-5 HCAPLUS
 CN L-Phenylalanine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-4-[(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 4 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:368616 HCAPLUS
 DN 138:368323
 ED Entered STN: 14 May 2003
 TI Amide library formation using a by-product-free activation/coupling sequence involving pentafluorophenyl ester intermediate
 IN Kolb, Hartmuth C.; Sun, Qun
 PA Lexicon Pharmaceuticals, USA
 SO U.S., 8 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM C07K001-06
 NCL 530345000; 530333000; 530334000; 530335000; 530336000; 530337000; 530338000; 530344000; 544180000; 544183000
 CC 21-2 (General Organic Chemistry)
 Section cross-reference(s): 34

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6562944	B1	20030513	US 2000-532490	20000322 <--
PRAI US 1999-127600P	P	19990323	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6562944	ICM	C07K001-06
	NCL	530345000; 530333000; 530334000; 530335000; 530336000; 530337000; 530338000; 530344000; 544180000; 544183000

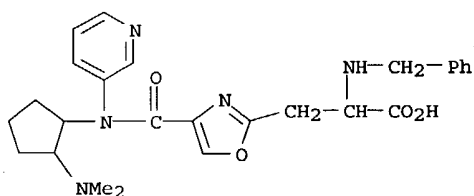
OS CASREACT 138:368323

AB Disclosed is an improved method for preparing an activated carboxylic acid as a pentafluorophenyl ester, an improved method for making a carboxamide from a pentafluorophenyl ester, and a carboxamide and carboxamide library prepared using both of these methods. In the method for making a PFP ester by treating a carboxylic acid with a fluorinated carboxylic PFP ester, the improvement comprises adding a 1st polymer-bound base and a catalytic amount of a 2nd polymer bound base. Polymeric reagents have the advantage that byproducts can be readily removed by filtration. This greatly simplifies the workup and it enhances the purity of the products. For example, the reaction mixture of 2 mmol 3,4-dimethylbenzoic acid with 10 mmol pentafluorophenyl trifluoroacetate using 10 mmol polymer-pyridine, 0.4

mmol polymer-4-dimethylaminopyridine, and THF/MeCN (1:1) was stirred overnight and then filtered to remove the polymers; the residue was washed with the solvent used in the reaction and the combined filtrates concentrated in vacuum; xylene (10 mL) was added, and the solution concentrated in vacuum (4x); the residue was dried under high vacuum overnight to give ester (590 mg, 93 %); NMR showed over 90 % purity of crude product. The improved method of preparing a carboxamide comprises generating a PFP ester using pentafluorophenyl diphenylphosphinate and DMF in the presence of a resin such as polyvinylpyridine and subsequently treating such ester with an amine in the presence of a base, e.g. a tertiary amine. For example, polymer-bound 2-(benzylamino)-3-(4-((3-(isoindolin-2-yl)-2,2-dimethylpropyl)carbamoyl)oxazol-2-yl)propanoic acid was prepared with 91% (LC) and 95% (MS) purity from (3-(isoindolin-2-yl)-2,2-dimethylpropyl)amine and polymer-bound 2-(2-(benzylamino)-3-hydroxy-3-oxopropyl)oxazole-4-carboxylic acid. Only the method of preparation of the ester is claimed.

- ST carboxylic acid activation pentafluorophenyl ester; amide library prepn by product free activation coupling
- IT Combinatorial library
Polymer-supported reagents
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT Amides, preparation
RL: CPN (Combinatorial preparation); IMF (Industrial manufacture); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation)
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT Carboxylic acids, reactions
RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT Esters, preparation
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT 7087-68-5D, Diisopropylethylamine, polymer-bound 524690-16-2
RL: CAT (Catalyst use); USES (Uses)
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT 524070-37-9DP, 2-(Benzylamino)-3-(4-((3-(isoindolin-2-yl)-2,2-dimethylpropyl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
524070-38-0DP, 2-(Benzylamino)-3-(4-((1-methyl-2-((pyrimidin-2-yl)thio)ethyl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
524070-39-1DP, 2-(Benzylamino)-3-(4-(4-(3-hydroxypropyl)piperazin-1-yl)carbonyl)oxazol-2-yl)propanoic acid, polymer-bound 524070-40-4DP, 2-(Benzylamino)-3-(4-((1-methyl-2-(4-methylpiperazin-1-yl)ethyl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
524070-41-5DP, 2-(Benzylamino)-3-(4-(3-(dimethylamino)azetidin-1-yl)carbonyl)oxazol-2-yl)propanoic acid, polymer-bound 524070-42-6DP, 2-(Benzylamino)-3-(4-((2-(dimethylamino)cyclopentyl)(pyridin-3-yl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound 524070-43-7DP, 2-(Benzylamino)-3-(4-(3-hydroxy-4-(morpholino)pyrrolidin-1-yl)carbonyl)oxazol-2-yl)propanoic acid, polymer-bound 524070-44-8DP, 2-(Benzylamino)-3-(4-((2-(4-chlorophenyl)oxazol-4-yl)methyl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
524070-45-9DP, 2-(Benzylamino)-3-(4-(cyclopropyl(2-hydroxyindan-1-yl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound 524070-46-0DP, 2-(Benzylamino)-3-(4-((4-(dimethylamino)tetrahydrofuran-3-yl)((furan-2-yl)methyl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
524070-47-1DP, 2-(Benzylamino)-3-(4-(((furan-2-yl)methyl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
RL: CPN (Combinatorial preparation); IMF (Industrial manufacture); CMBI (Combinatorial study); PREP (Preparation)
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT 9003-53-6D, Polystyrene, bound bases as bases and catalysts
RL: CRG (Combinatorial reagent); RGT (Reagent); CMBI (Combinatorial study); RACT (Reactant or reagent)
(amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
- IT 617-89-0, ((Furan-2-yl)methyl)amine 5317-32-8, 3-(Piperazin-1-yl)-1-propanol 54151-53-0, (1-Methyl-2-(4-methylpiperazin-1-yl)ethyl)amine 138022-85-2, 3-(Dimethylamino)azetidine 524070-30-2, (3-(Isoindolin-2-yl)-2,2-dimethylpropyl)amine 524070-31-3,

(1-Methyl-2-((pyrimidin-2-yl)thio)ethyl)amine 524070-32-4,
 (2-(Dimethylamino)cyclopentyl)(pyridin-3-yl)amine 524070-33-5,
 4-(Morpholino)pyrrolidin-3-ol 524070-34-6, ((2-(4-Chlorophenyl)oxazol-4-yl)methyl)amine 524070-35-7, Cyclopropyl(2-hydroxyindan-1-yl)amine 524070-36-8, (4-(Dimethylamino)tetrahydrofuran-3-yl)((furan-2-yl)methyl)amine 524070-48-2D, 2-(2-(Benzylamino)-3-hydroxy-3-oxopropyl)oxazole-4-carboxylic acid, polymer-bound
 RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)
 (amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 IT 524070-29-9P, Pentafluorophenyl 3,4-dimethylbenzoate
 RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 IT 100-46-9, Benzylamine, reactions 619-04-5, 3,4-Dimethylbenzoic acid 13286-59-4, trans-1-Amino-2-indanol 14533-84-7, Pentafluorophenyl trifluoroacetate
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 IT 138687-69-1, Pentafluorophenyl diphenylphosphinate
 RL: RGT (Reagent); RACT (Reactant or reagent)
 (amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 IT 9003-47-8, Polyvinylpyridine
 RL: RGT (Reagent); RACT (Reactant or reagent)
 (base; amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 IT 661-20-1D, Isocyanate, polystyrene-bound 4097-89-6D, Tris(2-aminoethyl)amine, polystyrene-bound
 RL: RGT (Reagent); RACT (Reactant or reagent)
 (excess reactant scavenger; amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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 IT 524070-42-6DP, 2-(Benzylamino)-3-(4-((2-(dimethylamino)cyclopentyl)(pyridin-3-yl)carbamoyl)oxazol-2-yl)propanoic acid, polymer-bound
 RL: CPN (Combinatorial preparation); IMF (Industrial manufacture); CMBI (Combinatorial study); PREP (Preparation)
 (amide library formation using byproduct-free activation/coupling sequence involving pentafluorophenyl ester intermediate)
 RN 524070-42-6 HCAPLUS
 CN 2-Oxazolepropanoic acid, 4-[[[2-(dimethylamino)cyclopentyl]-3-pyridinylamino]carbonyl]-.alpha.-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



L43 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:355834 HCAPLUS
 DN 138:362665
 ED Entered STN: 09 May 2003
 TI Immunostimulatory nucleic acids for the treatment of asthma and allergy
 IN Bratzler, Robert L.; Petersen, Deanna M.; Fouron, Yves
 PA USA
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 DT Patent
 LA English
 IC ICM A61K048-00
 NCL 514044000
 CC 1-7 (Pharmacology)

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003087848	A1	20030508	US 2001-776479	20010202 <--
	US 2004067902	A9	20040408		
	US 2004235774	A1	20041125	US 2004-831778	20040423 <--
PRAI	US 2000-179991P	P	20000203	<--	
	US 2001-776479	A1	20010202	<--	

CLASS

	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
	US 2003087848	ICM	A61K048-00
		NCL	514044000
	US 2003087848	ECLA	A61K031/7105 <--
OS	MARPAT 138:362665		
AB	The invention involves administration of an immunostimulatory nucleic acid alone or in combination with an asthma/allergy medicament for the treatment or prevention of asthma and allergy in subjects. The combination of drugs are administered in synergistic amts. or in various dosages or at various time schedules. The invention also relates to kits and compns. concerning the combination of drugs.		
ST	immunostimulatory nucleic acid asthma allergy treatment		
IT	Chemokine receptors RL: BSU (Biological study, unclassified); BIOL (Biological study) (CCR3, antagonists; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Chemokine receptors RL: BSU (Biological study, unclassified); BIOL (Biological study) (CCR5, antagonists; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Proteins RL: BSU (Biological study, unclassified); BIOL (Biological study) (FLAP (arachidonate lipoygenase-activating protein), inhibitors; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Antibodies and Immunoglobulins RL: BSU (Biological study, unclassified); BIOL (Biological study) (IgE, downregulators; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Antibodies and Immunoglobulins RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (IgG, Fc fragments, fusion proteins with interleukin 13 receptors; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)		
IT	Drug delivery systems (aerosols; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Leukotriene receptors Neurokinins Thromboxane receptors RL: BSU (Biological study, unclassified); BIOL (Biological study) (antagonists; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Antibodies and Immunoglobulins RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anti-IgE; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)		
IT	Antibodies and Immunoglobulins RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anti-interleukin 4; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)		
IT	Antibodies and Immunoglobulins RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anti-interleukin 5; immunostimulatory nucleic acids for treatment of		

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asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)

IT Antibodies and Immunoglobulins
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (anti-interleukin 9; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)

IT Interleukin 13
 Interleukin 9
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)

IT Interleukin 4 receptors
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)

IT Allergy
 Allergy inhibitors
 Anti-inflammatory agents
 Antiasthmatics
 Antihistamines
 Asthma
 Bronchodilators
 Drug interactions
 Immunomodulators
 Immunostimulants
 Immunosuppressants
 Leukotriene antagonists
 (immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Nucleic acids
 Steroids, biological studies
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Prostaglandins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inducers; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Interleukin receptors
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (interleukin 13, fusion proteins with IgG Fc fragments; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)

IT Interleukin 4
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (muteins; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with interleukins and antagonists and antibodies and receptors)

IT Nucleic acids
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (phosphorothioate-containing; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Ion channel openers
 (potassium; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Vaccines
 (tolerizing peptide; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Integrins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (.alpha.4.beta.1, inhibitors; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT Adrenoceptor agonists
 (.beta.2-; immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

IT 506-32-1, Arachidonic acid

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (antagonists; immunostimulatory nucleic acids for treatment of asthma
 and allergy in combination with other agents)

IT 69-89-6, Xanthine 82-95-1, Buclizine 124-94-7, Triamcinolone
 586-06-1, Orciprenaline 4419-39-0, Beclomethasone 13392-18-2,
 Fenoterol 18559-94-9, Salbutamol 23031-25-6, Terbutaline 50679-08-8,
 Terfenadine 51333-22-3, Budesonide 53902-12-8, Tranilast 58581-89-8,
 Azelastine 68844-77-9, Astemizole 73573-87-2, Formoterol 75970-99-9,
 Norastemizole 79516-68-0, Levocabastine 79794-75-5, Loratidine
 80012-43-7, Epinastine 83799-24-0, Fexofenadine 83881-51-0, Cetirizine
 89365-50-4, Salmeterol 90566-53-3, Fluticasone 90729-43-4, Ebastine
 100643-71-8, Desloratadine 108612-45-9, Mizolastine 125602-71-3
 161522-25-4, HSR 609 209268-36-0, S-5751 358985-10-1, CS 560
 524073-27-6 524073-28-7 524073-29-8 524073-30-1 524073-31-2
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 524073-62-9 524073-63-0 524073-64-1 524073-65-2 524073-66-3
 524073-67-4 524073-68-5 524073-69-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (immunostimulatory nucleic acids for treatment of asthma and allergy in
 combination with other agents)

IT 9036-21-9, Phosphodiesterase 4 80619-02-9, 5-Lipoxygenase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors; immunostimulatory nucleic acids for treatment of asthma
 and allergy in combination with other agents)

IT 2382-65-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (nucleic acids containing; immunostimulatory nucleic acids for treatment of
 asthma and allergy in combination with other agents)

IT 9001-92-7, Protease

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (protease, inhibitors; immunostimulatory nucleic acids for treatment of
 asthma and allergy in combination with other agents)

IT 57576-52-0, TXA2

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (synthesis inhibitors; immunostimulatory nucleic acids for treatment of
 asthma and allergy in combination with other agents)

IT 524076-74-2 524076-75-3 524076-76-4 524076-77-5 524076-78-6
 524076-79-7 524076-80-0 524076-81-1 524076-82-2 524076-83-3
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524078-79-3	524078-80-6	524078-81-7	524078-82-8	524078-83-9
524078-84-0	524078-85-1	524078-86-2	524078-87-3	524078-88-4
524078-89-5	524078-90-8	524078-91-9	524078-92-0	524078-93-1
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524079-04-7	524079-05-8	524079-06-9	524079-07-0	524079-08-1
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RL: PRP (Properties)

(unclaimed nucleotide sequence; immunostimulatory nucleic acids for the treatment of asthma and allergy)

IT	524079-14-9	524079-15-0	524079-16-1	524079-17-2	524079-18-3
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	524079-64-9	524079-65-0	524079-66-1	524079-67-2	524079-68-3
	524079-69-4	524079-70-7	524079-71-8	524079-72-9	524079-73-0
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	524079-79-6	524079-80-9	524079-81-0	524079-82-1	524079-83-2
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	524079-89-8	524079-90-1	524079-91-2	524079-92-3	524079-93-4
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	524081-50-3	524081-51-4	524081-52-5	524081-53-6	524081-54-7
	524081-55-8	524081-56-9	524081-57-0	524081-58-1	524081-59-2

RL: PRP (Properties)

(unclaimed nucleotide sequence; immunostimulatory nucleic acids for the treatment of asthma and allergy)

IT	524081-59-2	524081-60-5	524081-61-6	524081-62-7	524081-63-8
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524083-79-2	524083-80-5	524083-81-6	524083-82-7	524083-83-8
524083-84-9	524083-85-0	524083-86-1	524083-87-2	524083-88-3
524083-89-4	524083-90-7	524083-91-8	524083-92-9	524083-93-0
524083-94-1	524083-95-2	524083-96-3	524083-97-4	524083-98-5

RL: PRP (Properties)

(unclaimed nucleotide sequence; immunostimulatory nucleic acids for the treatment of asthma and allergy)

IT	524083-99-6	524084-00-2	524084-01-3	524084-02-4	524084-03-5
	524084-04-6	524084-05-7	524084-06-8	524084-07-9	524084-08-0
	524084-09-1	524084-10-4	524084-11-5	524084-12-6	524084-13-7
	524084-14-8	524084-15-9	524084-16-0	524084-17-1	524084-18-2
	524084-19-3	524084-20-6	524084-21-7	524084-22-8	524084-23-9
	524084-24-0	524084-25-1	524084-26-2	524084-27-3	524084-28-4
	524084-29-5	524084-30-8	524084-31-9	524084-32-0	524084-33-1
	524084-34-2	524084-35-3	524084-36-4	524084-37-5	524084-38-6
	524084-39-7	524084-40-0	524084-41-1	524084-42-2	524084-43-3
	524084-44-4	524084-45-5	524084-46-6	524084-47-7	524084-48-8
	524084-49-9	524084-50-2	524084-51-3	524084-52-4	524084-53-5
	524084-54-6	524084-55-7	524084-56-8	524084-57-9	524084-58-0
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	524084-69-3	524084-70-6			

RL: PRP (Properties)

(unclaimed nucleotide sequence; immunostimulatory nucleic acids for the treatment of asthma and allergy)

IT	67240-39-5	77064-59-6	81742-55-4	89947-10-4	141185-27-5
	143304-96-5	146086-63-7	195826-72-3	207496-41-1	207496-42-2
	207496-43-3	207496-44-4	207496-45-5	207496-46-6	207496-47-7
	207496-48-8	207496-49-9	289910-92-5	289910-95-8	331871-07-9
	331871-08-0	331871-09-1	331871-10-4	331871-11-5	331871-12-6
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	331871-24-0	331871-25-1	331871-26-2	331871-27-3	331871-28-4
	331871-31-9	331871-34-2	331871-36-4	331871-40-0	331871-43-3
	331871-46-6	331871-50-2	331871-52-4	331871-55-7	331871-57-9
	331871-59-1	331871-61-5	331871-63-7	331871-65-9	331871-67-1
	331871-70-6	331871-72-8	331871-74-0	331871-76-2	331871-79-5
	331871-81-9	331871-94-4	524080-88-4		

RL: PRP (Properties)

(unclaimed sequence; immunostimulatory nucleic acids for the treatment of asthma and allergy)

IT 125602-71-3

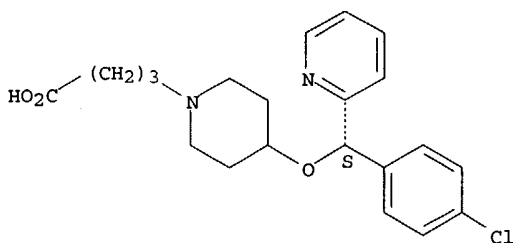
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(immunostimulatory nucleic acids for treatment of asthma and allergy in combination with other agents)

RN 125602-71-3 HCAPLUS

CN 1-Piperidinebutanoic acid, 4-[(S)-(4-chlorophenyl)-2-pyridinylmethoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L43 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:638332 HCAPLUS

DN 137:169789

ED Entered STN: 23 Aug 2002

TI Preparation of novel succinate compounds as peptide deformylase inhibitors

IN Patel, Dinesh; Jacobs, Jeffrey W.; Jain, Rakesh; Ni, Zhi-jie; Yuan, Zhengyu

PA Vicuron Pharmaceuticals Inc., USA

SO U.S. Pat. Appl. Publ., 84 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM C07D041-02

ICS C07D205-00

NCL 546207000

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 10, 63

FAN.CNT 1

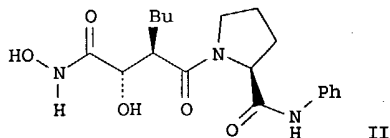
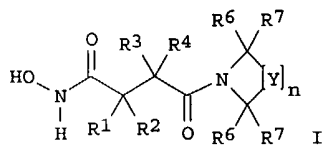
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002115863	A1	20020822	US 2000-738859	20001213 <--
	US 6797820	B2	20040928		
PRAI	US 2000-738859		20001213	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2002115863	ICM	C07D041-02
	ICS	C07D205-00
	NCL	546207000
US 2002115863	ECLA	C07D207/09; C07D207/14; C07D207/16; C07D211/60; C07D217/26; C07D295/18B1G; C07D401/12; C07D401/12; C07D401/12; C07D403/06; C07D403/12; C07D405/12; C07D405/12; C07D413/12; C07D417/12; C07D417/12; C07D417/12; C07D<--

OS MARPAT 137:169789

GI



- AB Title hydroxamates I [R1,R3 = H, halo, OH, etc.; R2, R4 = H, alkyl, heteroalkyl, etc.; n = 1-5; zero or one of Y = O, NR11 (R11 = alkyl, heteroalkyl, alkenyl, etc.), S, and all remaining Y = CR6R7; R6, R7 = H, OH, NH2, etc.] which inhibit peptide deformylase (PDF), an enzyme present in prokaryotes, and useful as antimicrobials and antibiotics, were prepared and formulated. E.g., a multi-step synthesis of II was given. MIC for various compds. I against H. influenza and S. aureus was approx. 64 .mu.g/mL or less. The compds. I display selective inhibition of peptidyl deformylase vs. other metalloproteinases such as matrix metalloproteinases (MMPs).
- ST amino acid succinate deriv prepn inhibitor peptide deformylase; antimicrobial amino acid succinate deriv; antibiotic amino acid succinate deriv
- IT Antibiotics
Antimicrobial agents
(preparation of novel succinate compds. as peptide deformylase inhibitors)
- IT Amino acids, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel succinate compds. as peptide deformylase inhibitors)
- IT 9032-86-4, Peptide deformylase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of novel succinate compds. as peptide deformylase inhibitors)
- IT
- | | | | | |
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| 345346-89-6P | 345346-91-0P | 345346-93-2P | 345346-95-4P | 345346-97-6P |
| 345346-99-8P | 345347-01-5P | 345348-25-6P | 345348-27-8P | |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel succinate compds. as peptide deformylase inhibitors)
 IT 62-53-3, Aniline, reactions 75-31-0, 2-Aminopropane, reactions 75-64-9, tert-Butylamine, reactions 92-67-1, 4-Phenylaniline 95-76-1, 3,4-Dichloroaniline 95-78-3, 2,5-Dimethylaniline 96-50-4, 2-Aminothiazole 98-09-9, Benzenesulfonyl chloride 100-46-9, Benzylamine, reactions 100-61-8, N-Methylaniline, reactions 102-56-7, 2,5-Dimethoxyaniline 107-10-8, n-Propylamine, reactions 107-11-9, Allylamine 107-85-7, 3-Methylbutylamine 108-52-1, 2-Amino-4-methylpyrimidine 108-91-8, Cyclohexylamine, reactions 109-01-3, N-Methylpiperazine 109-73-9, n-Butylamine, reactions 109-85-3, 2-Methoxyethylamine 110-58-7, Amylamine 110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-49-9 123-75-1, Pyrrolidine, reactions 136-95-8, 2-Aminobenzothiazole 139-59-3, 4-Phenoxyaniline 348-54-9, 2-Fluoroaniline 371-40-4, 4-Fluoroaniline 372-19-0, 3-Fluoroaniline 462-08-8, 3-Aminopyridine 503-29-7, Azetidine 504-29-0, 2-Aminopyridine 504-78-9, Thiazolidine 580-17-6, 3-Aminoquinoline 582-22-9, Benzeneethanamine, .beta.-methyl 591-54-8, 4-Aminopyrimidine 594-39-8, 1,1-Dimethylpropylamine 617-55-0 769-92-6, 4-tert-Butylaniline 870-63-3, 3-Methyl-1-bromo-2-butene 1072-67-9, 3-Amino-5-methylisoxazole 1535-73-5, 3-(Trifluoromethoxy)aniline 1603-91-4, 2-Amino-4-methylthiazole 2002-03-1, 2-Amino-5-phenyl-1,3,4-thiadiazole 2010-06-2, 2-Amino-4-phenylthiazole 2133-40-6, L-Proline methyl ester hydrochloride 2289-75-0, 2-Amino-4,5-dimethylthiazole 2516-47-4, (Aminomethyl)cyclopropane 2620-50-0, Piperonylamine 2812-46-6 2878-14-0, 2-Methylallylamine 2975-41-9, 2-Aminoindan 3399-73-3, 1-Cyclohexene-1-ethanamine 3586-12-7, 3-Phenoxyaniline 3731-53-1, 4-(Aminomethyl)pyridine 3863-11-4, 3,4-Difluoroaniline 4005-51-0, 2-Aminothiadiazole 4597-87-9, N-Methyl-2-aminopyridine 4784-77-4, Crotyl bromide 5049-61-6, Aminopyrazine 5497-76-7, L-Proline tert-butyl ester hydrochloride 5813-64-9, 2,2-Dimethylpropylamine 7305-71-7, 2-Amino-5-methylthiazole 7720-39-0, 2-Aminoimidazole 13325-10-5, 4-Aminobutanol 13360-63-9, N-Ethyl-N-butylamine 13552-21-1, 1-Amino-2-butanol 14068-53-2, 2-Amino-5-ethyl-1,3,4-thiadiazole 14268-66-7, 3,4-(Methylenedioxy)aniline 15761-39-4 20781-20-8, 2,4-Dimethoxybenzylamine 22013-33-8, 1,4-Benzodioxan-6-amine 23356-96-9, L-Prolinol 23687-26-5, 6-Aminoisoquinoline 24425-40-9, 5-Aminoindan 33208-98-9, L-Proline methylamide hydrochloride 34698-41-4, 1-Aminoindan 39136-63-5, 2-Amino-5-phenylthiazole 41324-66-7 41994-45-0 51207-66-0 60143-21-7 63126-47-6 73094-26-5 77497-74-6 89625-39-8 93527-54-9 99724-19-3 118143-76-3 149596-90-7 200866-61-1 345347-50-4 345347-68-4 345347-79-7 345347-89-9 345347-92-4 345347-95-7 345347-98-0 345348-00-7 345348-02-9 345348-04-1 345348-06-3 345348-08-5 345348-10-9 345348-13-2 345348-16-5 345348-18-7 345348-20-1 345348-23-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel succinate compds. as peptide deformylase inhibitors)
 IT 74360-79-5P 224321-02-2P 305806-25-1P 345347-05-9P 345347-06-0P 345347-08-2P 345347-10-6P 345347-12-8P 345347-14-0P 345347-16-2P 345347-18-4P 345347-20-8P 345347-22-0P 345347-23-1P 345347-25-3P 345347-28-6P 345347-29-7P 345347-33-3P 345347-34-4P 345347-35-5P 345347-37-7P 345347-39-9P 345347-41-3P 345347-43-5P 345347-44-6P 345347-46-8P 345347-48-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel succinate compds. as peptide deformylase inhibitors)
 IT 345347-03-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

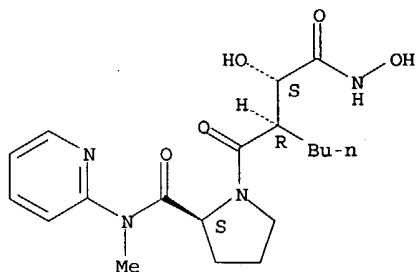
(preparation of novel succinate compds. as peptide deformylase inhibitors)
 IT 345346-22-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel succinate compds. as peptide deformylase inhibitors)
 RN 345346-22-7 HCAPLUS

CN 1-Pyrrolidinebutanamide, .beta.-butyl-N,.alpha.-dihydroxy-2-[(methyl-2-pyridinylamino)carbonyl]-.gamma.-oxo-, (.alpha.S,.beta.R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 7 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:874145 HCAPLUS
 DN 134:49131
 ED Entered STN: 14 Dec 2000
 TI Oxonol compound, light-sensitive material and process for the synthesis of oxonol compound
 IN Nishigaki, Junji; Deguchi, Yasuaki
 PA Fuji Photo Film Co., Ltd., Japan
 SO U.S., 72 pp., Cont.-in-part of U.S. Ser. No. 896,064, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM G03C001-815
 NCL 430512000
 CC 74-2 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)
 Section cross-reference(s): 27, 41

FAN.CNT 3

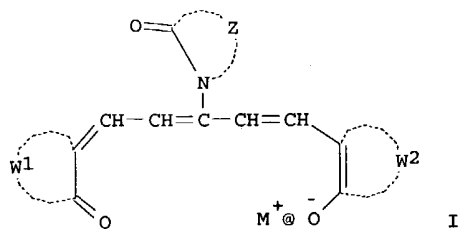
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PI	US 6159673	A	20001212	US 1999-233444	19990120 <--
	JP 10036691	A2	19980210	JP 1996-206527	19960717 <--
	JP 10060293	A2	19980303	JP 1996-235893	19960819 <--
	JP 10251532	A2	19980922	JP 1997-55315	19970310 <--
PRAI	JP 1996-206527	A	19960717	<--	
	JP 1996-235893	A	19960819	<--	
	JP 1997-55315	A	19970310	<--	
	US 1997-896064	B2	19970717	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6159673	ICM	G03C001-815
	NCL	430512000

OS MARPAT 134:49131

GI



AB The invention relates to oxonol compds., a light-sensitive material containing an oxonol compound and a process for the synthesis of an oxonol compound. A light-sensitive material, particularly a silver halide photog. material, usually contains a dye which functions as an anti-irradiation dye, an antihalation dye or a filter dye that absorbs light of a specific wavelength. Oxonol compds. have been known as representative photog. dyes. The oxonol compound is represented by (I) in which Z is an atomic group that forms a cyclic amide ring; each of W1 and W2 independently is an atomic group

that forms an acidic nucleus ring; and M is a cation. Other oxonol compds., a light-sensitive material containing an oxonol compound and a process for the synthesis of an oxonol compound are also disclosed.

ST synthesis oxonol photog dye

IT Cyanine dyes

Light-sensitive materials

Photographic couplers

Photographic emulsions

Photographic paper

Photographic sensitizers

(synthesis of oxonol compds. for use as light sensitive-dyes in color photog. papers)

IT 7300-95-0P 21084-55-9P 69076-65-9P 71190-35-7P 103038-08-0P
202481-87-6P 202481-88-7P 202481-89-8P 202481-90-1P 202481-91-2P
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203914-56-1P

RL: NUU (Other use, unclassified); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(preparation of methine dyes for oxonol as light-sensitive dye in silver halide photog. papers)

IT 5221-42-1P 35218-42-9P 75483-35-1P 82132-17-0P 202482-11-9P
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202482-22-2P 202482-23-3P 202482-24-4P 202482-25-5P 202482-26-6P
202482-31-3P 202482-32-4P 202482-33-5P 202482-41-5P 202482-42-6P
202482-43-7P 313045-43-1P 313045-44-2P 313045-45-3P 313045-46-4P
313045-47-5P 313045-48-6P 313045-49-7P 313045-50-0P

RL: NUU (Other use, unclassified); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation of pyridine derivs. for methine dyes for oxonol light-sensitive dyes in color photog. papers using)

IT 27268-32-2 41665-49-0 90895-26-4 90895-32-2 117633-60-0
125348-03-0 160911-24-0 175975-26-5 178888-89-6 313045-39-5
313045-40-8

RL: MOA (Modifier or additive use); NUU (Other use, unclassified); USES (Uses)
(silver halide photog. papers containing oxonol light-sensitive dyes and color sensitizing dyes of)

IT 504-24-5, 4-Pyridinamine

RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses)
(synthesis of methine dyes for oxonol as light-sensitive dye in silver halide photog. papers using)

IT 20951-01-3P 202482-34-6P 202482-35-7P
RL: NUU (Other use, unclassified); RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of methine dyes for oxonol as light-sensitive dye in silver halide photog. papers using)

IT 202482-44-8P 202482-45-9P 202482-46-0P 202482-47-1P 202482-49-3P
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313045-57-7P 313045-58-8P 313045-59-9P 313045-60-2P

RL: NUU (Other use, unclassified); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(synthesis of oxonol compds. for use as light sensitive-dyes in color photog. papers)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

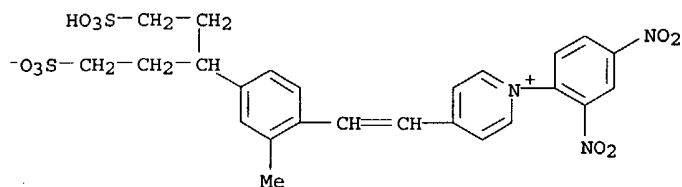
(1) Anon; JP 11119379 A2 1990 HCAPLUS

IT 203914-56-1P

RL: NUU (Other use, unclassified); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(preparation of methine dyes for oxonol as light-sensitive dye in silver halide photog. papers)

RN 203914-56-1 HCAPLUS

CN Pyridinium, 1-(2,4-dinitrophenyl)-4-[2-[2-methyl-4-[3-sulfo-1-(2-sulfoethyl)propyl]phenyl]ethenyl]-, inner salt (9CI) (CA INDEX NAME)



L43 ANSWER 8 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:495123 HCAPLUS
 DN 131:129760
 ED Entered STN: 10 Aug 1999
 TI Preparation of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors
 IN Levin, Jeremy Ian; Du, Mila T.; Venkatesan, Aranapakam Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong
 PA American Cyanamid Co., USA
 SO U.S., 68 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM C07D213-02
 ICS C07C311-08; A61K031-18; A61K031-44
 NCL 514351000
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5929097	A	19990727	US 1997-944593	19971006 <--
PRAI US 1996-28504P	P	19961016	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5929097	ICM	C07D213-02
	ICS	C07C311-08; A61K031-18; A61K031-44
	NCL	514351000

OS MARPAT 131:129760
 AB RSO2N(CH2R7)ZCONHOH [I; R = (un)substituted (hetero)aryl; R7 = H, alkyl, Ph, etc.; Z = (un)substituted phenylene or -naphthylene] were prepared
 Thus, 2-(H2N)C6H4CO2Me was amidated by 4-(MeO)C6H4SO2Cl and the N-benzylated product converted in 2 steps to I [R = C6H4(OMe)-4, R7 = Ph, Z = 1,2-phenylene]. Data for biol. activity of I were given.
 ST sulfonamidobenzenehydroxamate prepn matrix metalloproteinase TACE inhibitor
 IT Connective tissue
 (disease, treatment; preparation of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)
 IT 141907-41-7, Matrix metalloproteinase 151769-16-3
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (mediated disorders; treatment; preparation of sulfonamidobenzenehydroxamate s and analogs as matrix metalloproteinase and TACE inhibitors)

IT 206547-07-1P	206547-08-2P	206547-09-3P	206547-10-6P	206547-11-7P
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 206551-16-8P 206551-18-0P 206551-25-9P 206551-53-3P 234125-55-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

IT 71-36-3, 1-Butanol, reactions 75-26-3, 2-Bromopropane 91-13-4, .alpha.,.alpha.-Dibromo-o-xylene 98-58-8, 4-Bromobenzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-39-0, Benzyl bromide 100-46-9, Benzylamine, reactions 100-51-6, Benzyl alcohol, reactions 106-94-5 106-96-7, Propargyl bromide 108-59-8, Dimethyl malonate 109-01-3, 1-Methylpiperazine 109-64-8, 1,3-Dibromopropane 110-52-1, 1,4-Dibromobutane 110-91-8, Morpholine, reactions 111-83-1, 1-Bromooctane 123-08-0, 4-Hydroxybenzaldehyde 123-75-1, Pyrrolidine, reactions 134-20-3, Methyl anthranilate 288-32-4, Imidazole, reactions 349-88-2, 4-Fluorobenzenesulfonyl chloride 524-38-9, N-Hydroxyphthalimide 548-93-6, 3-Hydroxyanthranilic acid 589-15-1, 4-Bromobenzyl bromide 600-00-0, Ethyl 2-bromoisobutyrate 693-02-7, 1-Hexyne 765-03-7, 1-Dodecyne 771-61-9, Pentafluorophenol 776-04-5, 2-Trifluoromethylphenylboronic acid 814-68-6, 2-Propenoyl chloride 823-78-9, 3-Bromobenzyl bromide 824-98-6, 3-Methoxybenzyl chloride 873-76-7, 4-Chlorobenzyl alcohol 1129-26-6, 4-Methoxybenzenesulfonamide 1423-26-3, 3-Trifluoromethylphenylboronic acid 1663-39-4 1765-40-8, Pentafluorobenzyl bromide 1822-51-1, 4-Picolyl chloride hydrochloride 2008-75-5, 1-(2-Chloroethyl)piperidine hydrochloride 2133-40-6, L-Proline methyl ester hydrochloride 2417-72-3, Methyl 4-bromomethylbenzoate 2439-54-5 2680-03-7 2941-78-8, 2-Amino-5-methylbenzoic acid 2969-81-5, Ethyl 4-bromobutyrate 3085-68-5, N,N-Diallylacrylamide 3177-80-8, 2-Amino-3-methoxybenzoic acid 3433-80-5, 2-Bromobenzyl bromide 3731-51-9, 2-Pyridinemethanamine 4389-45-1, 2-Amino-3-methylbenzoic acid 4584-46-7, 2-Dimethylaminoethyl chloride hydrochloride 5035-82-5, Methyl 2-amino-3,4,5-trimethoxybenzoate 5117-12-4, N-Acryloylmorpholine 5292-43-3, tert-Butyl bromoacetate 5437-45-6, Benzyl bromoacetate 5454-83-1, Methyl 5-bromovalerate 5720-07-0, 4-Methoxyphenylboronic acid 5959-52-4, 3-Amino-2-naphthoic acid 6165-69-1, 3-Thienylboronic acid 6959-47-3, 2-Picolyl chloride hydrochloride 6959-48-4, 3-Picolyl chloride hydrochloride 6966-10-5, 3,4-Dimethylbenzyl alcohol 13331-23-2, 2-Furylboronic acid 13331-27-6, 3-Nitrophenylboronic acid 14660-52-7, Ethyl 5-bromovalerate 15540-91-7, 2-Amino-3,6-dimethylbenzoic acid 17303-83-2, 3-Formyl-2-thienylboronic acid 18595-13-6, Methyl 6-methylantranilate 18595-17-0, Methyl 4-methylantranilate 22223-49-0, Methyl 3-methylantranilate 26496-94-6, Ethyl 4-bromomethylbenzoate 27578-60-5, 1-(2-Aminoethyl)piperidine 29079-00-3, 4-Ethynyl-1,1'-biphenyl 32750-36-0, 5-Methyl-2-furancarboxaldehyde oxime 33403-97-3, 4-Ethylaminomethylpyridine 34846-44-1, 3-Bromomethylthiophene 40138-16-7, 2-Formylphenylboronic acid 54663-78-4, 2-Tributylstannylthiophene 59020-10-9, 3-Tributylstannylpyridine 61591-82-0, N-Ethyl-N-phenylacrylamide 77820-58-7, Methyl 3-chloroanthranilate 87199-16-4, 3-Formylphenylboronic acid 87199-17-5, 4-Formylphenylboronic acid 89031-84-5, 3-Bromo-1-tert-butyl dimethylsilyloxypropane 94839-07-3, 3,4-Methylenedioxyphenylboronic acid 98437-23-1, Benzo[b]thiophene-2-boronic acid 98968-67-3, Methyl 2-amino-4-chloro-3-methylbenzoate 139301-27-2, 4-Trifluoromethoxyphenylboronic acid 146070-35-1, 2-Fluoro-3-trifluoromethylbenzonitrile 151858-64-9, 5-(2-Pyridinyl)-2-thiophenesulfonyl chloride 156642-03-4 162607-18-3, 5-Chloro-2-thienylboronic acid 192330-49-7 206551-23-7, Methyl 2-amino-3,5-dimethylbenzoate 206551-32-8, Methyl 2-amino-3-bromo-5-methylbenzoate 206551-41-9, Methyl 3-bromo-2-fluorobenzoate 206551-43-1, 5-Acetyl-2-thienylboronic acid 234125-64-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

IT 524-38-9DP, N-Hydroxyphthalimide, resin bound 17672-21-8P 105357-18-4P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonamidobenzenhydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

IT 206550-11-0P 206550-14-3P 206550-15-4P 206550-16-5P 206550-19-8P
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206552-24-1P 206552-25-2P 206552-27-4P, Pentafluorophenyl

2-amino-3-methylbenzoate 206552-28-5DP, resin bound 206552-29-6DP,

resin bound 234125-56-5P 234125-57-6P 234125-58-7P 234125-59-8P

234125-60-1P 234125-61-2P 234125-62-3P 234125-63-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonamidobenzenhydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; EP 606046 1993 HCAPLUS

- (2) Anon; WO 9535275 1995 HCAPLUS
 (3) Anon; WO 9535276 1995 HCAPLUS
 (4) Anon; WO 9600214 1996 HCAPLUS
 (5) Anon; WO 9627583 1996 HCAPLUS
 (6) Anon; WO 9633172 1996 HCAPLUS
 (7) Anon; EP 757984 1997 HCAPLUS
 (8) Anon; EP 780386 1997 HCAPLUS
 (9) Anon; WO 9718194 1997 HCAPLUS
 (10) Anon; WO 9719068 1997 HCAPLUS
 (11) Anon; WO 9720824 1997 HCAPLUS
 (12) Anon; WO 9722587 1997 HCAPLUS
 (13) Anon; WO 9724117 1997 HCAPLUS
 (14) Anon; WO 9727174 1997 HCAPLUS
 (15) Kato; 1997, 1, P872 HCAPLUS
 (16) Macpherson; US 5455258 1995 HCAPLUS
 (17) Macpherson; US 5506242 1996 HCAPLUS
 (18) Macpherson; US 5552419 1996 HCAPLUS
 (19) Priewe; 1958, 9

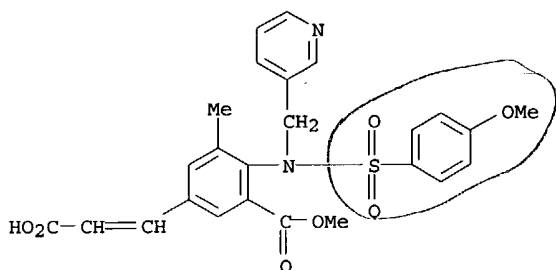
IT 206548-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of sulfonamidobenzenehydroxamates and analogs as matrix
 metalloproteinase and TACE inhibitors)

RN 206548-94-9 HCAPLUS

CN Benzoic acid, 5-(2-carboxyethenyl)-2-[[[4-methoxyphenyl)sulfonyl](3-
 pyridinylmethyl)amino]-3-methyl-, 1-methyl ester (9CI) (CA INDEX NAME)



L43 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:430062 HCAPLUS

DN 129:109327

ED Entered STN: 13 Jul 1998

TI Preparation of tryptophan tricyclic derivatives as matrix metalloprotease
 inhibitors

IN Castelhana, Arlindo Lucas; Liak, Teng Jiam; Horne, Stephen; Krantz,
 Alexander; Yuan, Zhengyu; Chen, Jian Jeffrey; Cannon, Paul David; Van
 Wart, Hal

PA Syntex (U.S.A.) Inc., USA

SO U.S., 43 pp., Cont.-in-part of U. S. Ser. No. 382,818.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-395

ICS C07D487-04

NCL 514080000

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7, 28, 63

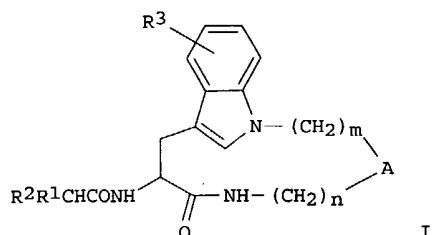
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5773428	A	19980630	US 1996-597062	19960205 <--
	US 6013792	A	20000111	US 1995-382818	19950203 <--
PRAI	US 1993-102655	B2	19930805	<--	
	US 1995-382818	A2	19950203	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5773428	ICM	A61K031-395
	ICS	C07D487-04
	NCL	514080000
US 5773428	ECLA	C07D487/04+255C+209C; C07D487/08+245C+209C;

US 6013792 ECLA C07D498/08+273C+209C; C07F009/6561 <--
 C07D487/04+255C+209C; C07D487/08+245C+209C;
 C07D487/08+255C+209C; C07D498/08+273C+209C; C07F009/661 <--
 OS MARPAT 129:109327
 GI



AB Compds. of formula [I; m = 2-6; n = 0, 1-4; when m = 2-4, n = 1-3; A = CH₂, O, NH, N-alkylimino; R₁ = CH₂R₄, CHR₇R₈, NHCHR₉R₁₀; wherein R₄ = SH, acylthio, CO₂H, CONH₂, N-hydroxyformylamino, etc.; R₇ = alkyl, HO, NH₂, alkylamino, arylamino, alkylsulfonylamino, alkoxycarbonyl, CONH₂, etc.; R₇ = N-(un)substituted aminomethyl; R₉ = H, alkyl, aralkyl; R₁₀ = CO₂H, alkoxycarbonyl, aralkoxycarbonyl, phosphonyl, etc.; R₂ = alkyl, alkenyl, CF₃, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, aralkoxyalkyl, aryl, aryloxyalkyl, aralkyl; R₃ = H, HO, halo, alkoxy, aralkoxy; when n = 0, m = 4-6; A = CHR₁₂; R₁₂ = CO₂H, alkoxycarbonyl, (un)substituted CONH₂] as single stereoisomers or mixts. thereof and their pharmaceutically acceptable salts, which inhibit matrix metalloproteases, such as interstitial collagenases, are prepared and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases, for example arthritic diseases or bone resorption diseases, such as osteoporosis. Thus, (3R,9S)-6-[4-[2-(methoxyethoxy)]phenyl]-3-(8-oxo-4-oxa-1,7-diazatricyclo[9.6.1.0^{12,17}]octa-deca-11(18),12,14,16-tetraen-9-ylcarbamoyl)hexanoic acid, which was prepared from Boc-Trp-OH, showed IC₅₀ of 0.7 nM human collagenase. Pharmaceutical formulation containing I were given.

ST tryptophan tricyclic deriv prepn treatment arthritis; matrix metalloprotease inhibitor; osteoporosis bone resorption

IT Antiarthritics
 Osteoporosis

(preparation of tryptophan tricyclic derivs. as matrix metalloprotease inhibitors for treatment of arthritis and osteoporosis)

IT 167224-01-3P	168681-72-9P	168681-75-2P	168681-82-1P	168681-83-2P
168681-85-4P	168681-87-6P	168682-11-9P	168682-19-7P	168958-08-5P
181759-21-7P	181759-22-8P	181759-58-0P	181759-60-4P	181759-61-5P
181759-63-7P	181759-64-8P	181759-65-9P	181759-67-1P	181759-68-2P
181759-69-3P	181759-70-6P	181759-71-7P	181759-76-2P	181759-77-3P
181759-78-4P	181759-79-5P	181759-80-8P	181759-81-9P	181759-82-0P
181759-83-1P	181759-84-2P	181759-85-3P	181759-87-5P	181759-88-6P
181759-89-7P	181759-90-0P	181759-91-1P	181759-92-2P	
181759-93-3P	181759-95-5P	181759-99-9P	181962-87-8P	209977-70-8P
209977-71-9P	209977-72-0P	209977-73-1P	209977-74-2P	209977-75-3P
209977-76-4P	209977-77-5P	209977-78-6P	209977-79-7P	209977-80-0P
209977-81-1P	209977-82-2P	209977-83-3P	209977-84-4P	209977-85-5P
209977-86-6P	209977-87-7P	209977-88-8P	209977-89-9P	209977-90-2P
209977-91-3P	209977-92-4P	209977-93-5P	209977-94-6P	209977-95-7P
209977-96-8P	209977-97-9P	209977-98-0P	209977-99-1P	210046-69-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tryptophan tricyclic derivs. as matrix metalloprotease inhibitors for treatment of arthritis and osteoporosis)

IT 9001-12-1, Collagenase 161384-17-4

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(preparation of tryptophan tricyclic derivs. as matrix metalloprotease inhibitors for treatment of arthritis and osteoporosis)

IT 50-00-0, Formaldehyde, reactions 74-88-4, Iodomethane, reactions 79-14-1, Glycolic acid, reactions 98-59-9, p-Toluenesulfonyl chloride

100-39-0, Benzyl bromide 108-24-7, Acetic anhydride 109-81-9
 110-57-6, trans-1,4-Dichlorobuten-2-ene 115-11-7, reactions 124-63-0,
 Methanesulfonyl chloride 328-38-1, D-Leucine 538-64-7, Dibenzyl
 fumarate 591-80-0, 4-Pentenoic acid 600-15-7, 2-Hydroxybutanoic acid
 622-33-3, O-Benzylhydroxylamine 626-02-8, m-Iodophenol 627-32-7,
 3-Iodopropanol 646-07-1, 4-Methylpentanoic acid 929-06-6,
 2-(2-Aminoethoxy)ethanol 2258-42-6, Acetic formic anhydride 2270-20-4,
 5-Phenylpentanoic acid 2637-37-8, 2-Quinolinethiol 4048-33-3,
 6-Amino-1-hexanol 4350-09-8, 5-Hydroxytryptophan 5292-43-3, tert-Butyl
 bromoacetate 6303-21-5, Phosphinic acid 13139-14-5 13608-94-1,
 4-Biphenyl-4-yl-1H-imidazole 17976-80-6, 6-Cyano-1-hexanol 21691-53-2
 52267-39-7, Benzyl methyl malonate 57218-62-9, Ethyl isobutylmalonate
 58632-95-4, Boc-ON 76789-49-6 90719-32-7, (S)-4-Benzyl-2-oxazolidinone
 108448-77-7 139265-97-7, 2-Quinolinethiol 157422-39-4 186969-59-5
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tryptophan tricyclic derivs. as matrix metalloprotease
 inhibitors for treatment of arthritis and osteoporosis)
 IT 20371-41-9P, 5-Phenylpentanoyl chloride 25044-10-4P 30379-58-9P,
 Benzyl glycolate 38136-29-7P, 4-Methylpentanoyl chloride 42990-28-3P
 87438-94-6P 87454-30-6P 87454-31-7P 104266-88-8P 104311-82-2P,
 6-Cyano-1-acetoxylhexane 112106-16-8P 112245-04-2P 119768-45-5P
 122225-33-6P 130464-88-9P 130516-25-5P, Benzyl 2-hydroxybutanoate
 156109-64-7P 167223-92-9P 167223-93-0P 167223-94-1P 167223-95-2P
 167223-96-3P 167223-99-6P 167224-06-8P 168681-45-6P 168681-46-7P
 168681-47-8P 168681-48-9P 168681-49-0P 168681-50-3P 168681-51-4P
 168681-52-5P 168681-53-6P 168681-54-7P 168681-55-8P 168681-56-9P
 168681-57-0P 168681-58-1P 168681-59-2P 168681-60-5P 168681-61-6P
 168681-65-0P 168681-66-1P 168681-68-3P 168681-69-4P 168681-76-3P
 168681-77-4P 168681-78-5P 168681-80-9P 168681-88-7P 168681-89-8P
 168681-91-2P 168681-92-3P 168681-96-7P 168681-99-0P 168682-00-6P
 168682-01-7P 168682-05-1P 168682-14-2P 168682-16-4P 168958-05-2P
 168958-06-3P 168958-07-4P 179533-67-6P 179533-97-2P 181759-12-6P
 181759-13-7P 181759-16-0P 181759-17-1P 181759-18-2P 181759-19-3P
 181759-20-6P 181759-24-0P 181759-40-0P 181759-74-0P 181759-86-4P
 181760-01-0P 181760-13-4P 181760-15-6P 181760-18-9P 181760-20-3P
 181760-23-6P 181760-26-9P 181760-36-1P 181760-39-4P 181760-42-9P
 181760-69-0P 181962-84-5P 181962-85-6P 181962-89-0P 209978-00-7P
 209978-01-8P 209978-06-3P 209978-09-6P 209978-10-9P 209978-11-0P
 209978-12-1P 209978-13-2P 209978-14-3P 209978-15-4P 209978-16-5P
 209978-17-6P 209978-18-7P 209978-19-8P 209978-20-1P 209978-21-2P
 209978-22-3P 209978-23-4P 209978-25-6P 209978-27-8P 209978-28-9P
 209978-30-3P 209978-31-4P 209978-32-5P 209978-33-6P 210047-21-5P
 210047-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of tryptophan tricyclic derivs. as matrix metalloprotease
 inhibitors for treatment of arthritis and osteoporosis)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anon; EP 0438223 A1 1991 HCAPLUS
- (2) Anon; WO 9206966 1991 HCAPLUS
- (3) Anon; WO 9221360 1992 HCAPLUS
- (4) Anon; WO 9309136 1993 HCAPLUS
- (5) Anon; WO A9504735 1994
- (6) Anon; 1995 HCAPLUS
- (7) McCullagh; US 4511504 1985 HCAPLUS
- (8) McCullagh; US 4568666 1986 HCAPLUS
- (9) Roberts; US 4771037 1988 HCAPLUS

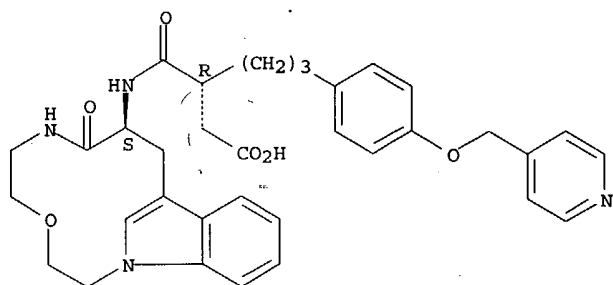
IT 181759-91-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tryptophan tricyclic derivs. as matrix metalloprotease
 inhibitors for treatment of arthritis and osteoporosis)

RN 181759-91-1 HCAPLUS

CN Benzenehexanoic acid, .beta.-[[[(9S)-2,3,5,6,7,8,9,10-octahydro-8-oxo-1,11-
 metheno-4,1,7-benzoxadiazacyclotridecin-9-yl]amino]carbonyl]-4-(4-
 pyridinylmethoxy)-, (.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 10 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:31206 HCAPLUS
 DN 128:114969
 ED Entered STN: 19 Jan 1998
 TI Preparation of tricyclic benzodiazepines as inhibitors of the GPIIb/IIIa receptor.
 IN Blackburn, Brent K.; Robarge, Kirk; Somers, Todd C.
 PA Genentech, Inc., USA
 SO U.S., 156 pp., Cont.-in-part of U.S. 5,493,020.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM C07D487-04
 ICS A61K031-55
 NCL 314220000
 CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

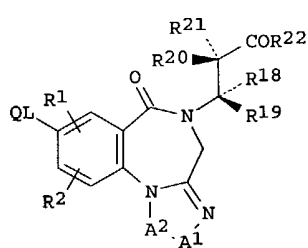
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5705890	A	19980106	US 1994-313069	19940926 <--
	US 5493020	A	19960220	US 1993-99019	19930729 <--
	WO 9504057	A1	19950209	WO 1994-US7989	19940715 <--
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5716951	A	19980210	US 1995-438143	19950508 <--
PRAI	US 1993-99019	A2	19930729	<--	
	WO 1994-US7989	W	19940715	<--	
	US 1994-313069	A3	19940926	<--	

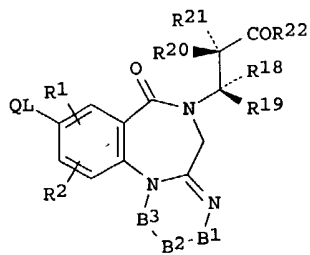
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5705890	ICM	C07D487-04
	ICS	A61K031-55
	NCL	314220000
US 5705890	ECLA	C07D487/04+243B+235B; C07D487/04+249B+243B; C07D487/04+257B+243B
US 5493020	ECLA	C07D487/04+243B+235B; C07D487/04+249B+243B; C07D487/04+257B+243B

OS MARPAT 128:114969
 GI



I



II

AB Title compds. [I, II; R1, R2 = H, halo, cyano, carboxamido, carboxy, carbamoyloxy, aminocarbonyl, formyloxy, formyl, azido, nitro, imidazolyl,

Search done by Noble Jarrell

ureido, thioureido, thiocyanato, OH, SH, sulfonamido, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, aryloxy, acylamino, alkylsulfonylamino, alkylthiocarbonyl, alkylthio, etc.; Q = (substituted) amino, amidino, aminoalkyleneamino, iminoalkyleneimino, guanidino, heterocyclyl; L = C3-9 alkylene where any methylene group can be replaced by alkene, alkyne, aryl, heteroatom-containing functional group; R18-R21 = H, alkyl, halo, alkyl, alkoxy, haloalkyl, cyano, carboxy, OH, alkoxy, carbonyl, alkylsulfonylalkyl; R22 = OH, alkoxy, alkenyloxy, aryloxy, alkylaminoalkoxy, etc.; A1 = R1CN, NR25; A2 = CR2, N, SO2, SO, S, O, CO, COR26, CNR25; B1 = CR1, N, NR25, CO; B2 = CR2, NR25, SO2, SO, S, O, CO; B3 = CR1, CHR2, CO; R25 = H, OH, alkoxy, alkyl, cyano, haloalkyl, (CH2)mR1; m = 1-3; R26 = H, alkyl, aryl, aralkyl], were prepared. Thus, I [QL = p-[H2N(HN:)C]C6H4C.tplbond.C; R1, R2, R18-R21 = H; R22 = OH; A2A1 = MeC:CH] (preparation given) inhibited platelet aggregation with IC50 = 0.093 .mu.M.

ST benzodiazepine tricyclic prepn GPIIb/IIIa receptor inhibitor; blood platelet aggregation inhibitor tricyclic benzodiazepine

IT Anticoagulants
Platelet aggregation inhibitors
(preparation of tricyclic benzodiazepines as inhibitors of the GPIIb/IIIa receptor)

IT Integrins
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.alpha.IIb.beta.3, inhibitors; preparation of tricyclic benzodiazepines as inhibitors of the GPIIb/IIIa receptor)

IT 167853-81-8P 167853-82-9P 167854-25-3P 167854-27-5P 167854-29-7P
167854-33-3P 167854-35-5P 167854-61-7P 167854-65-1P 167854-72-0P
167854-80-0P 167854-88-8P 167855-02-9P 167855-04-1P 167855-06-3P
167855-08-5P 167855-10-9P 167855-12-1P 167855-14-3P 167855-16-5P
167855-18-7P 167855-20-1P 167855-22-3P 167855-24-5P 167855-26-7P
167855-28-9P 167855-30-3P 167855-32-5P 167855-34-7P 167855-35-8P
167855-38-1P 167855-39-2P 167855-41-6P 167855-42-7P 167855-44-9P
167855-45-0P 167855-46-1P 167855-47-2P 201552-27-4P 201552-28-5P
201552-29-6P 201552-30-9P 201552-31-0P 201552-32-1P 201552-33-2P
201552-34-3P 201552-36-5P 201552-37-6P 201552-38-7P 201552-39-8P
201552-41-2P 201552-42-3P 201552-43-4P 201552-44-5P 201552-45-6P
201552-47-8P 201552-49-0P 201552-51-4P 201552-53-6P
201552-55-8P 201552-56-9P 201552-57-0P 201552-58-1P
201552-59-2P 201552-60-5P 201552-61-6P 201552-62-7P
201552-64-9P 201552-65-0P 201552-66-1P 201552-67-2P
201552-71-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic benzodiazepines as inhibitors of the GPIIb/IIIa receptor)

IT 134-20-3, Methyl anthranilate 598-21-0, Bromoacetyl bromide 2450-71-7, Propargylamine 3032-92-6, 4-Cyanophenylacetylene 151978-58-4
151979-09-8 167853-86-3 167853-91-0 201552-72-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic benzodiazepines as inhibitors of the GPIIb/IIIa receptor)

IT 167853-84-1P 167853-85-2P 167853-87-4P 167853-90-9P 167853-92-1P
167853-93-2P, 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 8-iodo-1-methyl-6-oxo, ethyl ester 167853-94-3P 167853-96-5P
167853-97-6P 167853-99-8P 167854-00-4P 167854-01-5P 167854-02-6P
167854-03-7P 167854-04-8P 167854-05-9P 167854-07-1P 167854-09-3P
167854-11-7P 167854-12-8P 167854-13-9P 167854-14-0P 167854-16-2P
167854-17-3P 167854-18-4P 167854-20-8P 167854-21-9P 201552-68-3P
201552-69-4P 201552-70-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic benzodiazepines as inhibitors of the GPIIb/IIIa receptor)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Ager; Journal of Medicinal Chemistry 1977, V20(8), P1035 HCAPLUS
(2) Anon; EP 519678 1992 HCAPLUS
(3) Anon; WO 9308174 1993 HCAPLUS
(4) Blackburn; US 5250679 1993 HCAPLUS
(5) Blackburn; US 5403836 1995 HCAPLUS
(6) Blackburn; US 5493020 1996 HCAPLUS
(7) Hawiger; Atherosclerosis Reviews 1990, V21, P165
(8) Hynes; Cell 1987, V48, P549 HCAPLUS

(9) Kieffer; Annu Rev Cell Biol 1990, V6, P329 HCAPLUS

(10) Roth; Immunology Today 1992, V13(3), P100 HCAPLUS

(11) Ruoslahti; J Clin Invest 1991, V87, P1 HCAPLUS

IT 201552-51-4P 201552-56-9P 201552-59-2P

201552-62-7P 201552-67-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic benzodiazepines as inhibitors of the GPIIIB/IIIa receptor)

RN 201552-51-4 HCAPLUS

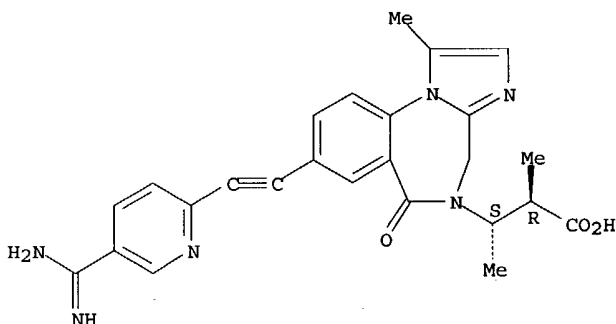
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-, [S-(R*,S*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 201552-50-3

CMF C25 H24 N6 O3

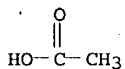
Absolute stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 201552-56-9 HCAPLUS

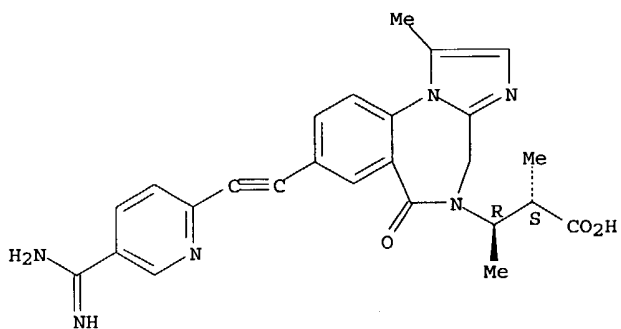
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-, [R-(R*,S*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-77-5

CMF C25 H24 N6 O3

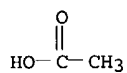
Absolute stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 201552-59-2 HCAPLUS

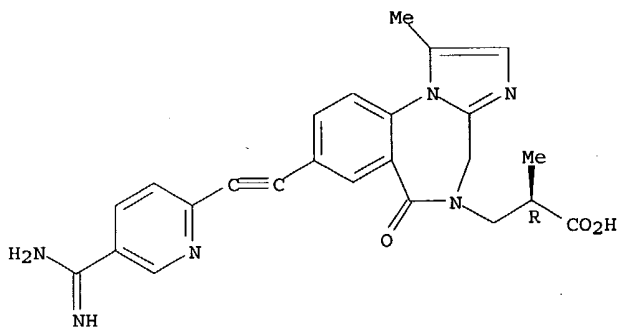
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,
(R)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-85-5

CMF C24 H22 N6 O3

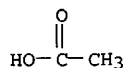
Absolute stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



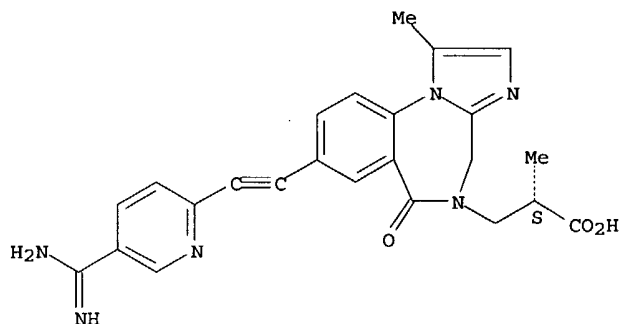
RN 201552-62-7 HCAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,
(S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

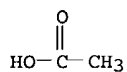
CRN 167854-93-5
CMF C24 H22 N6 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

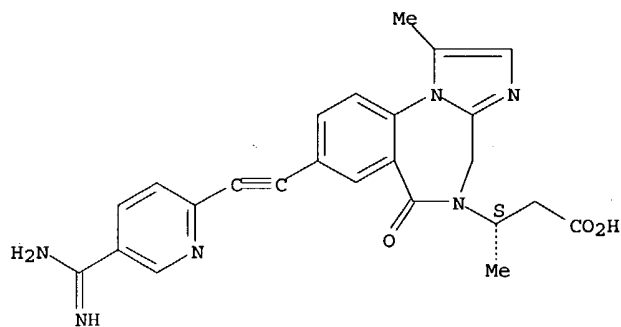


RN 201552-67-2 HCAPLUS
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.beta.,1-dimethyl-6-oxo-,
(S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

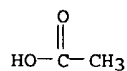
CRN 167854-99-1
CMF C24 H22 N6 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



L43 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:17961 HCAPLUS

Search done by Noble Jarrell

DN 128:82068
 ED Entered STN: 14 Jan 1998
 TI Heterolamellar photoelectrochemical films and device
 IN Thompson, Mark E.; Snover, Jonathan Lee; Joshi, Vijay; Vermeulen, Lori
 Ann; Tang, Xiaozhang; Suponeva, Elena; Byrd, Houston
 PA Trustees of Princeton University, USA
 SO U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 517,095.
 CODEN: USXXAM

DT Patent

LA English

IC ICM H01M006-30

NCL 429111000

CC 74-1 (Radiation Chemistry, Photochemistry, and Photographic and Other
 Reprographic Processes)
 Section cross-reference(s): 52, 67, 72, 78

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5695890	A	19971209	US 1996-582021	19960102 <--
	US 5480629	A	19960102	US 1994-287140	19940808 <--
	EP 1386884	A1	20040204	EP 2003-22394	19951227 <--
	R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	EP 1394185	A1	20040303	EP 2003-22404	19951227 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	WO 9724775	A1	19970710	WO 1997-US124	19970102 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9722411	A1	19970728	AU 1997-22411	19970102 <--
	EP 871985	A1	19981021	EP 1997-905559	19970102 <--
	R: DE, ES, FR, GB, IT, NL				
	CN 1206502	A	19990127	CN 1997-191475	19970102 <--
	CN 1133224	B	20031231		
	JP 2000515295	T2	20001114	JP 1997-524633	19970102 <--
	US 6187871	B1	20010213	US 1999-241471	19990202 <--
PRAI	US 1993-103968	A2	19930809	<--	
	US 1994-287140	A2	19940808	<--	
	US 1995-517095	A2	19950821	<--	
	EP 1995-944612	A3	19951227	<--	
	US 1996-582021	A	19960102	<--	
	WO 1997-US124	W	19970102	<--	

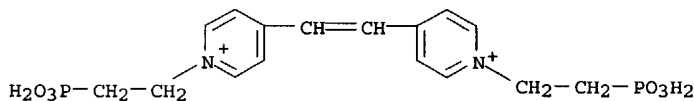
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5695890	ICM	H01M006-30
	NCL	429111000
US 5695890	ECLA	B01J031/02E4; C01B003/04B; C01B015/029; C07F009/58E; C08F008/44; C08F030/02; C08F030/04 <--
US 5480629	ECLA	C01B003/04B; C07F009/58E <--
EP 1386884	ECLA	B01J031/02E4; C01B015/029 <--
EP 1394185	ECLA	B01J031/02E4; C01B015/029; C08F008/40+26/06; C08F030/02; C08F030/04 <--
WO 9724775	ECLA	B01J031/18C; C25B001/00B; H01L051/20C; H01L051/30L; H01M014/00B <--
US 6187871	ECLA	B01J031/02E4; C01B015/029 <--

AB Multilayered compns. comprise a plurality of pillared metal complexes disposed on a supporting substrate, the pillars comprising divalent electron acceptor moieties with a phosphonate or arsenate at each end. Each layer of parallel pillars is separated by a layer of a Group IVA, IVB, IIIA, or IIIB metal or a lanthanide. The compns. can further comprise particles of at least one Group VIII metal at zero valence entrapped within each layer of the complex. The complexes can also incorporate "stalactites" and "stalagmites" of capped arsenato or phosphonato ligands interspersed with the pillars providing a series of interstices about each electron accepting group. The supporting substrate can be comprised of an organic polymer template. The complexes are useful for the conversion and storage of solar energy, for the production of photocurrents, and as catalysts for reduction reactions, for example, the production of hydrogen peroxide from oxygen and hydrogen gases, the production of H₂ gas from water, and the reduction of ketones to form alcs.

- ST heterolamellar photoelectrochem film metal arsenato complex; phosphonato metal complex heterolamellar photoelectrochem film
- IT Solar energy
(conversion; in photochem. generation of hydrogen from water in presence of metal arsenato or phosphonato complexes)
- IT Photoelectric devices
(heterolamellar photoelectrochem. films and devices containing metal arsenato or phosphonato complexes for)
- IT Catalysts
RL: CAT (Catalyst use); USES (Uses)
(metal arsenato or phosphonato complexes for hydrogen reaction with oxygen in preparation of hydrogen peroxide)
- IT Photolysis catalysts
(metal arsenato or phosphonato complexes for solar photolysis of water)
- IT Silica gel, preparation
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(reaction products with zirconium bipyridinium phosphonates; preparation and use as heterolamellar photoelectrochem. films and devices)
- IT Photolysis
(solar; of water in presence of metal arsenato or phosphonato complexes)
- IT 7722-84-1P, Hydrogen peroxide (H2O2), preparation
RL: PNU (Preparation, unclassified); PREP (Preparation)
(in reaction of oxygen with hydrogen in presence of metal arsenato or phosphonato complexes)
- IT 7440-57-5, Gold, uses 176795-04-3 200718-62-3 200718-64-5 200718-67-8
RL: DEV (Device component use); USES (Uses)
(photoelectrodes containing)
- IT 151538-79-3P 193765-74-1P 193765-75-2P 193765-76-3P 193765-77-4P 193765-78-5P 193765-79-6P 193765-80-9P
RL: RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and reaction in preparing metal complexes for heterolamellar photoelectrochem. films and devices)
- IT 7440-06-4P, Platinum, preparation
RL: CAT (Catalyst use); DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation and use as catalyst in metal arsenato or phosphonato complex heterolamellar photoelectrochem. films and devices)
- IT 7440-67-7DP, Zirconium, mixed complexes with bipyridinium phosphonates and phosphonic acid, preparation 13598-36-2DP, Phosphonic acid, mixed zirconium complexes with bipyridinium phosphonates 60676-86-0DP, Fused silica, reaction products with zirconium bipyridinium phosphonates 144909-02-4DP, reaction products with fused silica 151538-79-3DP, mixed zirconium complexes with phosphonic acid 153741-30-1P 153741-31-2P 153741-32-3P 153741-33-4P 153741-34-5P 153741-35-6P 153760-83-9P 153760-84-0P 158117-78-3P 164915-03-1P 193765-81-0DP, reaction products with fused silica and silica gel 193765-85-4DP, solid solution with palladium derivative, reaction products with silica gel 193765-85-4P 193765-86-5DP, solid solution with platinum derivative, reaction products with silica gel 193765-86-5P
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation and use as heterolamellar photoelectrochem. films and devices)
- IT 7699-43-6DP, reaction products with alkylated PVP 25232-41-1DP, Poly (4-vinylpyridine), reaction products with di-Et 4-bromobutyl phosphonate and ZrOCl2 63075-66-1DP, Diethyl 4-bromobutyl phosphonate, reaction products with poly(4-vinylpyridine) and ZrOCl2 176795-02-1P, 1,4-Bis(4-phosphonobutylamino)benzene 177987-09-6P 177987-10-9P
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation and use in preparing photoelectrodes)
- IT 106-50-3, 1,4-Benzenediamine, reactions 63075-66-1, Diethyl 4-bromobutyl phosphonate
RL: RCT (Reactant); TEM (Technical or engineered material use); RACT (Reactant or reagent); USES (Uses)
(reaction in preparing bis(phosphonobutylamino)benzene for manufacture of photoelectrodes)
- IT 682-30-4, Diethyl vinylphosphonate 3001-15-8 26834-21-9, Tritolylphosphine
RL: RCT (Reactant); TEM (Technical or engineered material use); RACT (Reactant or reagent); USES (Uses)
(reaction in preparing bis(phosphonoethyl)biphenyl for manufacture of

- photoelectrodes)
- IT 100-43-6
RL: RCT (Reactant); TEM (Technical or engineered material use); RACT (Reactant or reagent); USES (Uses)
(reaction in preparing bis(phosphonoethyl)bis(vinylpyridine)biphenyl dichloride for manufacture of photoelectrodes)
- IT 553-26-4, 4,4'-Bipyridine 5324-30-1, Diethyl 2-bromoethylphosphonate
RL: RCT (Reactant); TEM (Technical or engineered material use); RACT (Reactant or reagent); USES (Uses)
(reaction in preparing metal complexes for heterolamellar photoelectrochem. films and devices)
- IT 7782-44-7, Oxygen, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with hydrogen in preparing hydrogen peroxide in presence of metal arsenato or phosphonato complexes)
- IT 1333-74-0, Hydrogen, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with oxygen in preparing hydrogen peroxide in presence of metal arsenato or phosphonato complexes)
- IT 7732-18-5, Water, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(solar photolysis in photoelec. cells containing metal arsenato or phosphonato complexes)
- IT 193765-79-6P
RL: RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and reaction in preparing metal complexes for heterolamellar photoelectrochem. films and devices)
- RN 193765-79-6 HCAPLUS
- CN Pyridinium, 4,4'-(1,2-ethenediyl)bis[1-(2-phosphonoethyl)-, dichloride (9CI) (CA INDEX NAME)



● 2 Cl⁻

L43 ANSWER 12 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:475118 HCAPLUS
DN 127:199374
ED Entered STN: 30 Jul 1997
TI Methods of sensing with fluorescent conjugates of metal-chelating nitrogen heterocycles
IN Kuhn, Michael A.; Haugland, Richard P.; Hoyland, Brian Matthew
PA Molecular Probes, Inc., USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM G01N033-20
NCL 436074000
CC 79-3 (Inorganic Analytical Chemistry)
Section cross-reference(s): 9, 59, 61
FAN.CNT 11

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5648270	A	19970715	US 1995-384945	19950206 <--
	US 5723218	A	19980303	US 1995-484151	19950607 <--
	US 6013802	A	20000111	US 1997-798390	19970207 <--
PRAI	US 1990-509360	A3	19900416	<--	
	US 1990-629466	B2	19901218	<--	
	US 1991-786767	A3	19911101	<--	
	US 1992-843360	A2	19920225	<--	
	US 1992-882299	A2	19920513	<--	
	US 1993-28319	A2	19930308	<--	
	US 1993-38918	A3	19930329	<--	
	US 1993-45758	A2	19930408	<--	

Search done by Noble Jarrell

US 1994-246790	A2	19940520	<--
US 1994-246847	A2	19940520	<--
US 1994-247013	A2	19940520	<--
US 1994-247108	A2	19940520	<--
US 1995-375360	A2	19950119	<--
US 1995-384945	A2	19950206	<--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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US 5648270	ICM	G01N033-20	
	NCL	436074000	
US 5648270	ECLA	C09K011/06; G01N031/22; G01N033/84	<--
US 5723218	ECLA	C09K011/06; G01N033/533	<--
US 6013802	ECLA	C09K011/06; G01N031/22; G01N033/84	<--

OS MARPAT 127:199374

AB The present invention describes the use of a family of fluorescent indicators for metal cations. The indicators are fluorophore conjugates of pyridyl-based metal ion chelators. The indicators are very sensitive detection as quantification reagents for a variety of metals, in a variety of oxidation states, even in the presence of high concns. of Ca²⁺, Na⁺, or K⁺ or other ions, such as is found in seawater, making them highly useful for assaying physiol. samples, biol. samples, or environmental samples.

ST sensing fluorescent conjugate metal chelating nitrogen; heterocycle fluorescent conjugate metal chelating nitrogen

IT Formation constant
(determining the binding affinity of indicators for target ions)

IT Biological materials
Cations
Environmental analysis
Fiber optic sensors
Fluorescent indicators
Fluorometry

(metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT Chelates

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT Heterocyclic compounds

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(nitrogen; metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT 7732-18-5, Water, analysis

RL: AMX (Analytical matrix); ANST (Analytical study)
(metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT 7429-90-5, Aluminum, analysis 7429-91-6, Dysprosium, analysis
7439-89-6, Iron, analysis 7439-91-0, Lanthanum, analysis 7439-92-1, Lead, analysis 7439-96-5, Manganese, analysis 7439-97-6, Mercury, analysis 7439-98-7, Molybdenum, analysis 7440-02-0, Nickel, analysis 7440-05-3, Palladium, analysis 7440-06-4, Platinum, analysis 7440-18-8, Ruthenium, analysis 7440-20-2, Scandium, analysis 7440-22-4, Silver, analysis 7440-24-6, Strontium, analysis 7440-27-9, Terbium, analysis 7440-28-0, Thallium, analysis 7440-31-5, Tin, analysis 7440-36-0, Antimony, analysis 7440-38-2, Arsenic, analysis 7440-39-3, Barium, analysis 7440-43-9, Cadmium, analysis 7440-45-1, Cerium, analysis 7440-47-3, Chromium, analysis 7440-48-4, Cobalt, analysis 7440-50-8, Copper, analysis 7440-53-1, Europium, analysis 7440-55-3, Gallium, analysis 7440-57-5, Gold, analysis 7440-66-6, Zinc, analysis 7440-69-9, Bismuth, analysis 7440-74-6, Indium, analysis

RL: ANT (Analyte); ANST (Analytical study)

(metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT 54258-41-2DP, 5-Amino-1,10-phenanthroline, reaction with borazaindacene derivative 170516-42-4P 194143-69-6P 194143-70-9P 194143-71-0P

194143-72-1P 194143-73-2P 194143-74-3P 194143-77-6P 194143-78-7P
 194143-83-4P 194143-84-5P 194143-86-7P 194143-89-0P 194143-91-4P
 194245-36-8P 194245-37-9P 194283-75-5P 194368-32-6P
 RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
 (metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

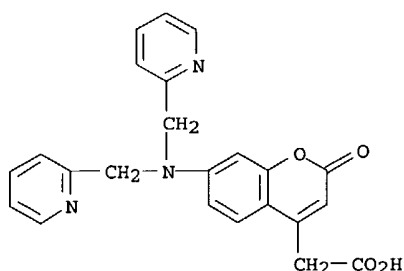
IT 7440-09-7, Potassium, analysis 7440-23-5, Sodium, analysis 7440-70-2, Calcium, analysis
 RL: ARU (Analytical role, unclassified); ANST (Analytical study)
 (metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT 106-50-3, 1,4-Benzenediamine, reactions 121-44-8, reactions 150-13-0, p-Aminobenzoic acid 543-27-1, Isobutyl chloroformate 605-65-2, Dansyl chloride 779-03-3, 9-Aminoanthracene 1245-13-2, Bicinchoninic acid 1606-67-3, 1-Aminopyrene 3326-32-7, Fluorescein-5-isothiocyanate 3747-74-8, 2-(Chloromethyl)quinoline hydrochloride 3786-54-7, 1-Aminomethylpyrene 4107-98-6 4377-33-7, 2-Picolyl chloride 4377-41-7, 2-(Chloromethyl)quinoline 6813-38-3, 2,2'-Bipyridine-4,4'-dicarboxylic acid 7087-68-5, N,N-Diisopropylethylamine 7613-10-7, 2-Anthraceneisothiocyanate 10025-87-3, Phosphoric trichloride 10199-89-0, 4-Chloro-7-nitrobenz-2-oxa-1,3-diazole 10328-92-4, N-Methylisatoic anhydride 25952-53-8 28061-20-3, Bathophenanthroline disulfonic acid 36840-64-9, 7-Diethylamino-3-(4-aminophenyl)-4-methylcoumarin 54258-41-2, 5-Amino-1,10-phenanthroline 57260-73-8 58632-95-4 61494-52-8, 1-Pyrenesulfonyl chloride 62796-29-6, LISSAMINE Rhodamine B sulfonyl chloride 82354-19-6 85157-21-7 91539-64-9, 4'-(Aminomethyl)-fluorescein hydrochloride 106754-95-4, 4'-(Aminomethyl)-fluorescein 107347-53-5, Tetramethylrhodamine isothiocyanate 107743-39-5 128143-89-5 138026-71-8D, di-Me propionyl chloride derivative compound with aminophenanthroline 138039-52-8 141770-91-4, 2-Chloromethyl-6-methoxyquinoline 145873-77-4 194143-67-4 194143-79-8 194245-35-7 194245-39-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT 71026-66-9P 103410-06-6P 138588-53-1P, 5-(Aminomethyl)-fluorescein 193944-66-0P, [2,2':6',2''-Terpyridin]-4'-amine 194143-75-4P 194143-76-5P 194143-80-1P 194143-82-3P 194143-85-6P 194143-87-8P 194143-88-9P 194143-90-3P 194143-92-5P 194143-93-6P 194143-94-7P 194245-38-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

IT 194143-93-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (metal cations determination in physiol. or biol. or environmental samples in presence of Ca²⁺, Na⁺, or K⁺ by fluorometry using fluorescent indicators based on fluorescent conjugates of metal-chelating nitrogen heterocycles)

RN 194143-93-6 HCAPLUS
 CN 2H-1-Benzopyran-4-acetic acid, 7-[bis(2-pyridinylmethyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



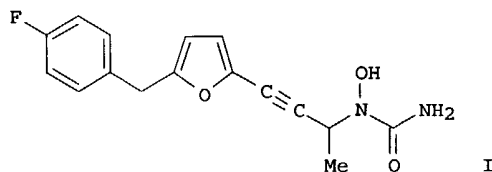
L43 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1997:231462 HCAPLUS
 DN 126:317376
 ED Entered STN: 10 Apr 1997
 TI Preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis
 IN Basha, Anwer; Brooks, Clint D. W.; Bhatia, Pramila; Craig, Richard A.; Ratajczyk, James D.; Stewart, Andrew O.
 PA Abbott Laboratories, USA
 SO U.S., 25 pp., Cont.-in-part of U.S. 5,288,751.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-42
 ICS A61K031-425; C07D263-30; C07D277-20
 NCL 514365000
 CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5616596	A	19970401	US 1995-416807	19950413 <--
	US 5288751	A	19940222	US 1992-973100	19921106 <--
	WO 9411342	A1	19940526	WO 1993-US10675	19931105 <--
	W: AU, CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 1992-973100	A2	19921106 <--		
	WO 1993-US10675	W	19931105 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5616596	ICM	A61K031-42
	ICS	A61K031-425; C07D263-30; C07D277-20
	NCL	514365000

OS MARPAT 126:317376
 GI



AB The title compds. A-L-Z-C.tplbond.C-B-N(OM)C(O)NH2 [M = H, a pharmaceutically acceptable cation, a pharmaceutically acceptable metabolically cleavable group; B = C1-12 divalent alkylene; Z = (un)substituted thiazolyl, furyl, thienyl; L = C1-6 alkylene, C2-6 alkynylene, C(O), etc.; A = (un)substituted carbocyclic aryl], having activity to inhibit lipoxigenase, were prepared Thus, reaction of 4-[5-(4-fluorophenylmethyl)furyl]-3-butyne-2-ol with N,O-bis-phenyloxycarbonylhydroxylamine in the presence of Ph3P and diisopropyl azodicarboxylate in THF followed by ammonolysis of the

resulting N,O-bis(phenoxycarbonyl)-N-{3-[5-(4-fluorophenylmethyl)fur-2-yl]-1-methyl-2-propynyl}hydroxylamine afforded I which showed IC50 of 0.06 .mu.M against stimulated LTB4 formation in human whole blood.

ST leukotriene biosynthesis inhibitor heteroarylalkynylhydroxyurea arylalkynylhydroxyurea prep; lipoxigenase inhibitor heteroarylalkynylhydroxyurea arylalkynylhydroxyurea prep

IT Leukotriene antagonists
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

IT 80619-02-9, 5-Lipoxygenase
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(inhibitors; preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

IT 154355-65-4P 154355-66-5P 154355-67-6P 154355-68-7P
154355-69-8P 154355-70-1P 154355-71-2P 154355-72-3P
154355-73-4P 154355-74-5P 154355-75-6P 154355-76-7P 154355-77-8P
154355-78-9P 173095-23-3P 189328-46-9P 189328-47-0P 189328-48-1P
189328-49-2P 189328-50-5P 189328-51-6P 189328-52-7P 189328-53-8P
189328-54-9P 189328-55-0P 189328-56-1P 189328-57-2P 189328-58-3P
189328-59-4P 189328-60-7P 189328-61-8P 189328-63-0P 189328-65-2P
189328-67-4P 189328-69-6P 189328-71-0P 189328-73-2P 189328-75-4P
189328-77-6P 189328-79-8P 189328-80-1P 189328-81-2P 189328-82-3P
189328-83-4P 189328-84-5P 189328-85-6P 189328-86-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

IT 71160-24-2, LTB4
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

IT 98-01-1, Furfuraldehyde, reactions 98-03-3, Thiophene-2-carboxaldehyde 100-39-0, Benzyl bromide 104-81-4, 4-Methylbenzyl bromide 110-00-9, Furan 110-02-1, Thiophene 122-51-0, Triethyl orthoformate 122-52-1, Triethylphosphite 352-11-4, 4-Fluorobenzyl chloride 371-41-5, 4-Fluorophenol 403-43-0, 4-Fluorobenzoyl chloride 459-46-1, 4-Fluorobenzyl bromide 459-57-4, 4-Fluorobenzaldehyde 500-22-1, 3-Pyridinecarboxaldehyde 504-61-0, trans-Crotyl alcohol 636-72-6, 2-Thiophenemethanol 872-85-5, 4-Pyridinecarboxaldehyde 873-76-7, 4-Chlorobenzyl alcohol 1003-09-4, 2-Bromothiophene 1121-60-4, 2-Pyridinecarboxaldehyde 2028-63-9, 3-Butyn-2-ol 2687-43-6, O-Benzylhydroxylamine hydrochloride 2786-07-4, 2-Thienyllithium 2914-69-4 2969-81-5, Ethyl 4-bromobutyrate 3132-99-8, 3-Bromobenzaldehyde 3141-27-3, 2,5-Dibromothiophene 3218-36-8, 4-Biphenylcarboxaldehyde 3437-95-4, 2-Iodothiophene 3541-37-5, Benzo[b]thiophene-2-carboxaldehyde 4341-34-8 5470-96-2, 2-Quinolinecarboxaldehyde 6959-47-3, 2-Picolyl chloride hydrochloride 6959-48-4, 3-Picolyl chloride hydrochloride 7589-27-7, 4-Fluorophenethyl alcohol 7709-58-2, 4-Chloromethylthiazole hydrochloride 17969-22-1 27757-85-3, 2-Thiophenemethylamine 35661-40-6 39098-97-0, 2-Thiopheneacetyl chloride 65337-11-3 71637-34-8, 3-Thiophenemethanol 96222-34-3 131610-09-8 141580-65-6 154355-90-5 174400-00-1 174400-02-3 174400-05-6 174400-07-8 176506-94-8 189328-97-0 189328-98-1 189328-99-2 189329-00-8 189329-01-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

IT 622-95-7P, 4-Chlorobenzyl bromide 2682-86-2P 4461-29-4P, 2-Thiopheneacetamide 18298-42-5P 21314-77-2P 58197-03-8P, 2-Thiopheneethanethioamide 63877-96-3P 73647-37-7P 75148-49-1P
110823-85-3P 116332-54-8P 141783-42-8P 147936-57-0P 154355-80-3P
154355-81-4P 154355-82-5P 154355-83-6P 154355-84-7P 154355-85-8P
154355-86-9P 154355-87-0P 154355-88-1P 154355-89-2P 154355-91-6P
154355-92-7P 173095-30-2P 173095-32-4P 174400-01-2P 174400-03-4P
174400-04-5P 174400-06-7P 174400-08-9P 174400-09-0P 174400-10-3P
176966-00-0P 189328-87-8P 189328-88-9P 189328-89-0P 189328-90-3P
189328-91-4P 189328-92-5P 189328-93-6P 189328-94-7P 189328-95-8P
189328-96-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

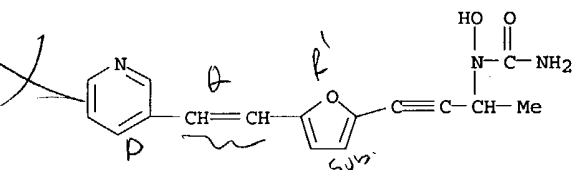
IT 154355-68-7P 154355-71-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted arylalkynyl- and heteroarylalkynyl-N-hydroxyureas as inhibitors of leukotriene biosynthesis)

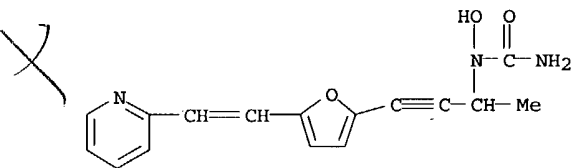
RN 154355-68-7 HCAPLUS

CN Urea, N-hydroxy-N-[1-methyl-3-[5-[2-(3-pyridinyl)ethenyl]-2-furanyl]-2-propynyl]- (9CI) (CA INDEX NAME)



RN 154355-71-2 HCAPLUS

CN Urea, N-hydroxy-N-[1-methyl-3-[5-[2-(2-pyridinyl)ethenyl]-2-furanyl]-2-propynyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 14 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:661173 HCAPLUS

DN 124:8801

ED Entered STN: 08 Jul 1995

TI Substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivatives as inhibitors of PLA2 and lipoxigenase

IN Musser, John H.; Kreft, Anthony F., III; Failli, Amedeo A.; Demerson, Christopher A.; Shah, Uresh S.; Nelson, James A.

PA American Home Products Corporation, USA

SO U.S., 35 pp. Cont.-in-part of U.S. 5,229,516.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07D417-00

NCL 548159000

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5420289	A	19950530	US 1993-29199	19930310 <--
	CA 2090042	AA	19910428	CA 1990-2090042	19901027 <--
	US 5229516	A	19930720	US 1992-911434	19920710 <--
PRAI	US 1989-428260	B2	19891027	<--	
	US 1990-596134	B2	19901011	<--	
	US 1992-911434	A2	19920710	<--	
	CA 1990-2070422	A3	19901027	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5420289	ICM	C07D417-00
	NCL	548159000

OS MARPAT 124:8801

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to substituted indole derivs. A(CH₂)_nOB wherein A = I or II wherein R₁ is hydrogen, lower alkyl, Ph or Ph substituted with trifluoromethyl; R₂ is hydrogen or lower alkyl; or R₁ and R₂ taken together form a benzene ring; R₃ is hydrogen or lower alkyl; n is 1-2; B is III-VII wherein R₄ is, e.g., CO₂R₂, m is 0-3; R₅ is A(CH₂)_nOC₆H₄ or Ph or Ph substituted by halo, lower alkylthio, lower alkylsulfinyl or lower alkylsulfonyl; R₆ is A(CH₂)_nO or halo; R₇ is lower alkyl; Y is CH₂ or O; R₈ is lower alkyl or (CH₂)_mCO₂R₃; R₉ is COR₁₀ or (CH₂)_oR₁₀, o is 1-4; R₁₀ is lower alkyl, Ph, Ph substituted with carboxy, halo, lower alkyl, loweralkylthio or loweralkylsulfinyl; naphthyl, pyridyl, furanyl, quinolinyl, or 2-R₁₄-thiazolyl; R₁₁ is lower alkyl or phenyl; R₁₂ is hydrogen or loweralkylcarbonyl R₁₃ is hydrogen, hydroxy, lower alkyl or lower alkoxy; R₁₄ is Ph or halophenyl; Z₂ is hydrogen, lower alkyl or N(CH₃)OH; and the pharmacol. acceptable salts thereof possessing lipoxigenase inhibitory, phospholipase A₂ inhibitory and leukotriene antagonist activity, which are useful as anti-inflammatory, antiallergic and cytoprotective agents. Thus, e.g., condensation of 2-methyl-5-(2-quinolinylmethoxy)indene-3-acetic acid Et ester (preparation given, mixture of endo and exo isomers) with p-chlorobenzaldehyde afforded 3-[(4-chlorophenyl)methylene]-2-methyl-6-(2-quinolinylmethoxy)-3H-indene-1-acetic acid [VIII, Q = 2-quinolinylmethyl, mixture of Z (major) and E (minor) isomers]. The specificity of action of PLA₂ inhibitors can be determined by the activity of test compds. to inhibit the synthesis of LTB₄ by rat glycogen-elicited polymorphonuclear leukocytes (PMN) in the presence of exogenous substrate: VIII demonstrated 96% inhibition at 10 mM. VIII also inhibited the synthesis of the arachidonic acid cyclooxygenase oxidation product PGE₂ with 81% inhibition at 10 mM. VIII inhibited the release of arachidonic acid from an arachidonic acid-containing substrate by the action of phospholipase A₂ enzyme from human synovial fluid with IC₅₀ = 9.7 mM. Further assays demonstrated that the compds. of the invention exerted an inhibitory effect on both the lipoxigenase pathway and the cyclooxygenase pathway and have significant leukotriene (LTD₄) antagonist activity. The compds. of the invention inhibited the acute inflammatory response and inhibited 5-lipoxigenase in human whole blood.

ST phospholipase A₂ inhibitor indenealkanoic acid; lipoxigenase inhibitor indenealkanoic acid; leukotriene antagonist indenealkanoic acid; inflammation inhibitor indenealkanoic acid; cyclooxygenase inhibitor indenealkanoic acid; indenealkanoic acid phospholipase A₂ inhibitor; indolealkanoic acid phospholipase A₂ inhibitor; pyranoindolealkanoic acid phospholipase A₂ inhibitor; carbazolealkanoic acid tetrahydro phospholipase A₂ inhibitor

IT Inflammation inhibitors
(substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA₂ and lipoxigenase)

IT	135872-63-8P	135872-64-9P	135872-70-7P	135872-74-1P	135872-98-9P
	135873-01-7P	135873-32-4P	154588-43-9P	170562-75-1P	170562-76-2P
	170562-77-3P	170562-78-4P	170562-79-5P	170562-86-4P	170562-89-7P
	170562-91-1P	170562-96-6P	170562-98-8P	170563-02-7P	170563-04-9P
	170563-07-2P	170563-10-7P	170563-12-9P	170563-13-0P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

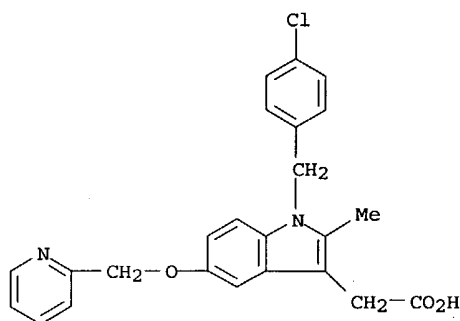
(substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA₂ and lipoxigenase)

IT	135872-59-2P	135872-60-5P	135872-61-6P	135872-62-7P	135872-66-1P
	135872-67-2P	135872-68-3P	135872-69-4P	135872-71-8P	135872-72-9P
	135872-73-0P	135872-75-2P	135872-78-5P	135872-79-6P	135872-80-9P
	135872-81-0P	135872-82-1P	135872-83-2P	135872-84-3P	135872-85-4P
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	135873-03-9P	142013-16-9P	152246-86-1P	154588-40-6P	154588-41-7P
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	170563-11-8P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Search done by Noble Jarrell

- (substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxigenase)
- IT 363-24-6, PGE2 506-32-1, Arachidonic acid 9001-84-7, Phospholipase A2 39391-18-9, Cyclooxygenase 63551-74-6, Lipoxigenase 71160-24-2, LTB4 73836-78-9, LTD4 80619-02-9, 5-Lipoxigenase
- RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
- (substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxigenase)
- IT 135873-12-0P
- RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxigenase)
- IT 54-16-0, 5-Hydroxy-1H-indole-3-acetic acid, reactions 67-64-1, Acetone, reactions 96-22-0, Diethyl ketone 98-10-2, Benzenesulfonamide 100-39-0, Benzyl bromide 104-83-6, 4-Chlorobenzyl chloride 104-88-1, p-Chlorobenzaldehyde, reactions 122-01-0, 4-Chlorobenzoyl chloride 123-08-0, 4-Hydroxybenzaldehyde 123-11-5, 4-Methoxybenzaldehyde, reactions 141-97-9, Ethyl acetoacetate 459-46-1, 4-Fluorobenzyl bromide 589-15-1, 4-Bromobenzyl bromide 628-17-1, Pentyl iodide 637-59-2, 1-Bromo-3-phenylpropane 638-45-9, Hexyl iodide 824-98-6, 3-Methoxybenzyl chloride 867-13-0, Triethyl phosphonoacetate 874-87-3, 4-(Methylthio)benzyl chloride 939-26-4, 2-(Bromomethyl)naphthalene 1642-81-5, 4-(Chloromethyl)benzoic acid 2506-41-4, 2-(Chloromethyl)naphthalene 2687-43-6, O-Benzylhydroxylamine hydrochloride 3249-68-1, Ethyl butyrylacetate 3446-89-7, (4-Methylthio)benzaldehyde 3471-32-7, 4-Methoxyphenylhydrazine 3747-74-8, 2-(Chloromethyl)quinoline hydrochloride 4282-40-0, Heptyl iodide 4377-33-7, 2-(Chloromethyl)pyridine 4377-41-7, 2-(Chloromethyl)quinoline 4771-31-7, 4-(Chloromethyl)-2-phenylthiazole 7598-91-6 17969-22-1 19692-45-6, 4-(tert-Butyl)benzyl chloride 30494-97-4, 4-(Chloromethyl)-2-phenyloxazole 32004-66-3 37859-43-1, 2-(Chloromethyl)-benzothiazole 41339-61-1, 5-Benzyloxytryptophol 41340-36-7, 7-Ethyltryptophol 50995-51-2 50995-53-4, 5-Hydroxy-2-methyl-1H-indole-3-acetic acid 51388-20-6, 4-Benzyloxyaniline hydrochloride 58711-32-3 104065-67-0, Methyl 3-methoxy-2-pentenoate 105105-88-2 124993-41-5, 4-(2-Quinolinylmethoxy)benzyl chloride 135873-35-7
- RL: RCT (Reactant); RACT (Reactant or reagent)
- (substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxigenase)
- IT 5464-10-8P, 6-Methoxy-2-methyl-1-indanone 40527-52-4P, p-Methoxy-.alpha.-methylcinnamic acid 41339-83-7P 41340-03-8P 42821-29-4P 52068-30-1P, 4-Benzyloxyphenylhydrazine hydrochloride 52427-11-9P, p-Methoxy-.alpha.-methylhydrocinnamic acid 60424-12-6P, 6-Hydroxy-2-methyl-1-indanone 65561-32-2P 101901-06-8P 114720-06-8P, 7-Ethyl-5-hydroxytryptophol 114720-21-7P 114737-75-6P, 7-Ethyl-2,3-dihydrotryptophol 120159-59-3P, 4-[(2-Quinoliny)methoxy]benzaldehyde 135873-04-0P 135873-06-2P
- | | | | | |
|--------------|--------------|--------------|--------------|--------------|
| 135873-07-3P | 135873-08-4P | 135873-09-5P | 135873-10-8P | 135873-13-1P |
| 135873-14-2P | 135873-15-3P | 135873-16-4P | 135873-17-5P | 135873-18-6P |
| 135873-19-7P | 135873-20-0P | 135873-21-1P | 135873-22-2P | 135873-23-3P |
| 135873-24-4P | 135873-25-5P | 135873-26-6P | 135873-27-7P | 135873-28-8P |
| 135873-30-2P | 135873-31-3P | 135873-34-6P | 135892-91-0P | 145900-63-6P |
| 154588-37-1P | 154588-38-2P | 154588-39-3P | 154588-45-1P | 154588-46-2P |
| 170562-74-0P | 170562-80-8P | 170562-94-4P | 170563-00-5P | 170563-06-1P |
| 170563-09-4P | | | | |
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxigenase)
- IT 135872-97-8P
- RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (substituted indole-, indene-, pyranindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxigenase)
- RN 135872-97-8 HCAPLUS
- CN 1H-Indole-3-acetic acid, 1-[(4-chlorophenyl)methyl]-2-methyl-5-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



L43 ANSWER 15 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:420803 HCAPLUS
 DN 123:55699
 ED Entered STN: 17 Mar 1995
 TI (Azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis
 IN Frenette, Richard; Gillard, John W.; Hutchinson, John H.; Prasit,
 Petpiboon; Therien, Michel
 PA Merck Frosst Canada, Inc., Can.
 SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 768,140, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM C07D401-12
 ICS C07D403-12; A61K031-44
 NCL 514337000
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63

FAN.CNT 2

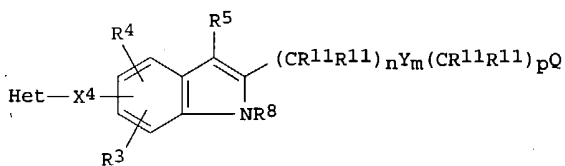
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5389650	A	19950214	US 1992-951635	19920925 <--
	CA 2079373	C	20030805	CA 1992-2079373	19920929 <--
	JP 07002840	A2	19950106	JP 1992-286644	19920930 <--
PRAI	US 1991-768140	B2	19910930	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5389650	ICM	C07D401-12
	ICS	C07D403-12; A61K031-44
	NCL	514337000

OS MARPAT 123:55699

GI



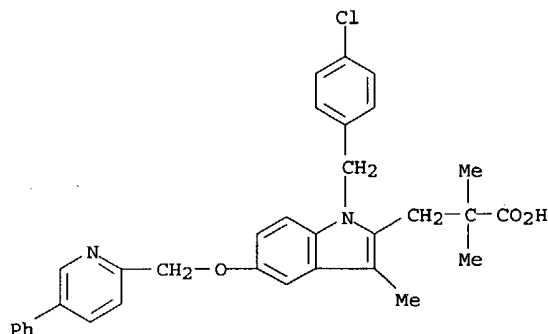
I

AB Compds. having the formula I wherein: Het is ArR1R2 ; Ar is 2-, 3- or 4-pyridyl; R1, R2, R3, and R4 are each hydrogen; R5 is X2R7; R6 and R9 are independently alkyl, alkenyl, (CH2)uPh(R10)2 or (CH2)uTh(R10)2 (Th = thienyl group); R7 is R6; R8 is R9; R10 is hydrogen or halogen; each R11 is independently hydrogen or lower alkyl, or two R11's on same carbon atom are joined to form a cycloalkyl ring of 3 to 6 carbon atoms; R12 is hydrogen, lower alkyl or CH2R21; R21 is Ph substituted with 1 or 2 R22 groups; R22 is hydrogen, halogen, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfonyl, lower alkylcarbonyl, CF3, CN, NO2 or N3; m is 0; n is 1 to 3; p is 0 to 3 when m is 0; u is 0 in R6 and 1 in R9; X2 is CR11R11 or S; X4 is CH2Y1; Y1 is O; Q is CO2R12; or a pharmaceutically

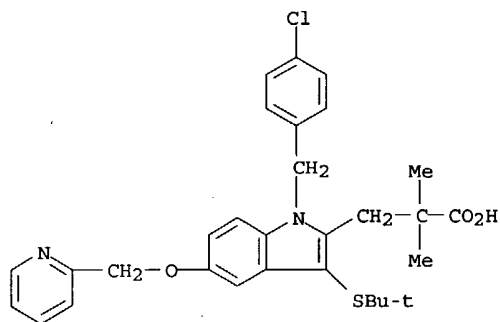
Search done by Noble Jarrell

acceptable salt thereof, are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating diarrhea, hypertension, angina, platelet aggregation, cerebral spasm, premature labor, spontaneous abortion, dysmenorrhea, and migraine. Pharmaceutical formulations were given. Thus, e.g., 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-methoxyindol-2-yl]-2,2-dimethylpropanoic acid Me ester was demethylated to 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-hydroxyindol-2-yl]-2,2-dimethylpropanoic acid; the latter was converted to its allyl ester and reacted with 2-picolyl chloride to afford 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-(pyridin-2-ylmethoxy)indol-2-yl]-2,2-dimethylpropanoic acid allyl ester; saponification of the latter afforded title compound 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-(pyridin-2-ylmethoxy)indol-2-yl]-2,2-dimethylpropanoic acid.

- ST leukotriene biosynthesis inhibitor azaarylmethoxyindole; indole
azaarylmethoxy leukotriene biosynthesis inhibitor
- IT Leukotrienes
Slow-reacting substances, anaphylactic
RL: BSU (Biological study, unclassified); BIOL (Biological study)
((azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis)
- IT 146775-22-6P 148929-01-5P 148929-02-6P
148929-03-7P 148929-04-8P 148929-09-3P
148929-10-6P 148929-11-7P 148929-12-8P 148929-13-9P
148929-14-0P 148929-15-1P 148929-16-2P
148929-17-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis)
- IT 106-95-6, Allyl bromide, reactions 109-08-0, 2-Methylpyrazine
4377-33-7, 2-Picolyl chloride 75342-33-5, 5-Methoxy-2-picolyl chloride
103253-35-6 126268-58-4, 5-Phenyl-2-picolyl bromide 136694-15-0
136694-17-2 146775-28-2
RL: RCT (Reactant); RACT (Reactant or reagent)
((azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis)
- IT 39204-47-2P, 2-Chloromethylpyrazine 148693-70-3P 148693-71-4P
148693-72-5P 148929-18-4P 157730-65-9P 157730-66-0P 157730-67-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
((azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis)
- IT 146775-22-6P 148929-01-5P 148929-02-6P
148929-03-7P 148929-04-8P 148929-09-3P
148929-11-7P 148929-12-8P 148929-14-0P
148929-15-1P 148929-16-2P 148929-17-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((azaarylmethoxy)indoles as inhibitors of leukotriene biosynthesis)
- RN 146775-22-6 HCAPLUS
- CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-.alpha.,.alpha.,3-trimethyl-5-[(5-phenyl-2-pyridinyl)methoxy]- (9CI) (CA INDEX NAME)

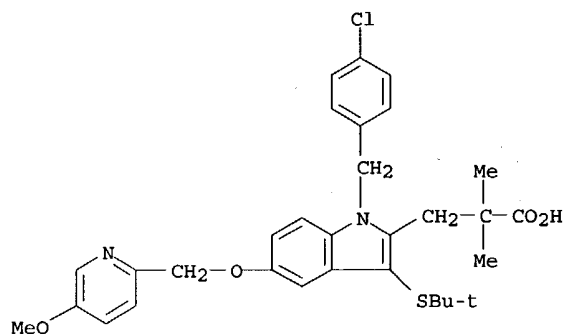


- RN 148929-01-5 HCAPLUS
- CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-5-(2-pyridinylmethoxy)- (9CI)
(CA INDEX NAME)



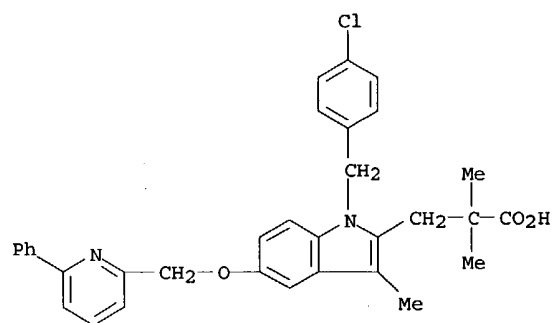
RN 148929-02-6 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-5-[(5-methoxy-2-pyridinyl)methoxy]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



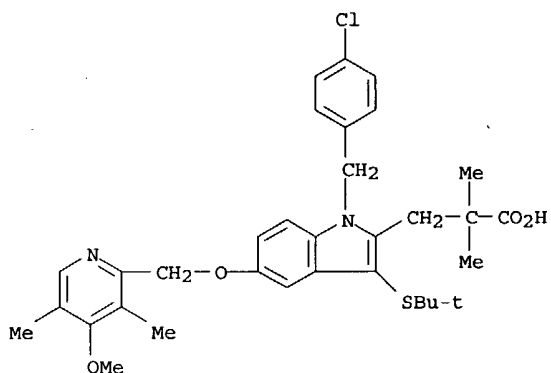
RN 148929-03-7 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-.alpha.,.alpha.-3-trimethyl-5-[(6-phenyl-2-pyridinyl)methoxy]- (9CI) (CA INDEX NAME)



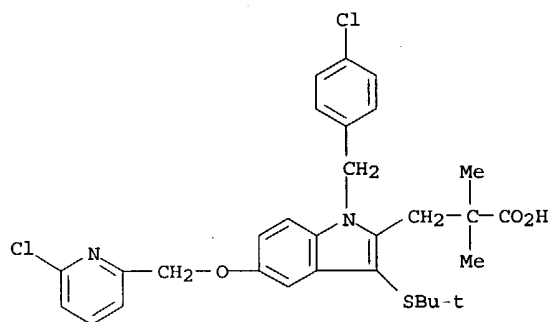
RN 148929-04-8 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-5-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methoxy]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



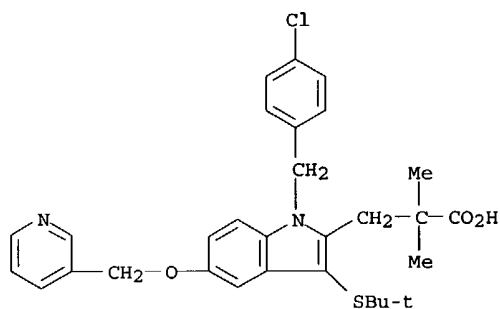
RN 148929-09-3 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-5-[(6-chloro-2-pyridinyl)methoxy]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



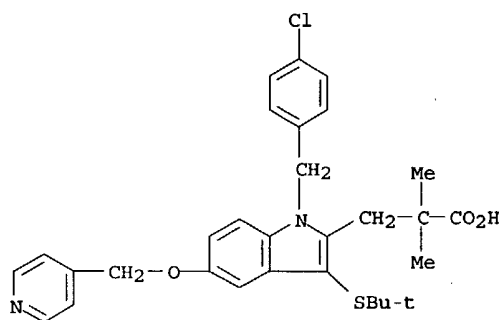
RN 148929-11-7 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-5-(3-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



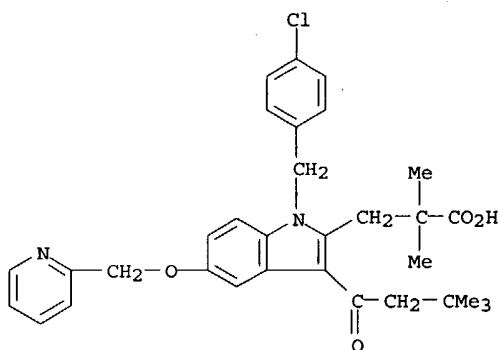
RN 148929-12-8 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-5-(4-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



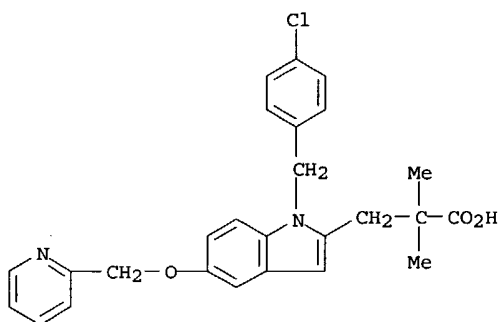
RN 148929-14-0 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-(3,3-dimethyl-1-oxobutyl)-.alpha..alpha.-dimethyl-5-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



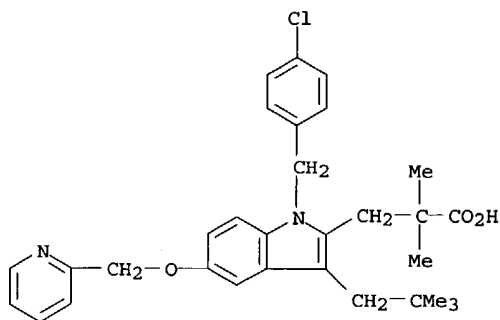
RN 148929-15-1 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-.alpha..alpha.-dimethyl-5-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



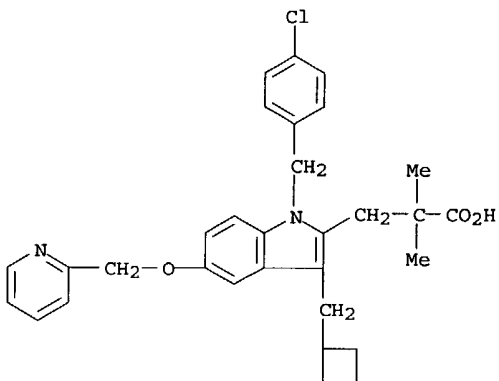
RN 148929-16-2 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-(2,2-dimethylpropyl)-.alpha..alpha.-dimethyl-5-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 148929-17-3 HCAPLUS

CN 1H-indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-(cyclobutylmethyl)-.alpha.,.alpha.-dimethyl-5-(2-pyridinylmethoxy)- (9CI)
(CA INDEX NAME)



L43 ANSWER 16 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:229456 HCAPLUS

DN 123:198620

ED Entered STN: 07 Dec 1994

TI Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis

IN Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John

PA Merck Frosst Canada, Inc., Can.

SO U.S., 28 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-38

ICS A61K031-335; A61K031-385; A61K031-35

NCL 514432000

CC 27-13 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 2, 63

FAN.CNT 1

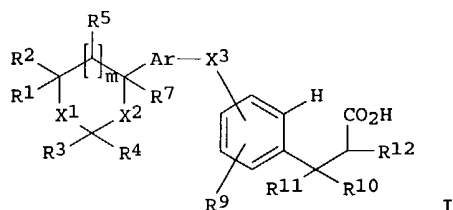
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5360815	A	19941101	US 1993-81506	19930623 <--
CA 2125830	AA	19941224	CA 1994-2125830	19940614 <--
PRAI US 1993-81506		19930623		<--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5360815	ICM	A61K031-38
	ICS	A61K031-335; A61K031-385; A61K031-35
	NCL	514432000

OS MARPAT 123:198620

GI



AB Compds. having the formula I wherein: R1 is H, OH, lower alkyl, or lower alkoxy; R2 is H, lower alkyl or together with R1 forms a double bonded oxygen; R3 is H, lower alkyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or R1 is joined to R3 to form a carbon bridge of 2 or 3 carbon atoms, or a mono-oxa carbon bridge of 1 or 2 carbon atoms, said bridge optionally containing a double bond; R4 is H or lower alkyl; R5 is H, OH, lower alkyl, or lower alkoxy; R6 is H or lower alkyl, or two R6 groups attached to the same carbon may form a saturated ring of 3 to 8 members; R7 is H, OH, lower alkyl, lower alkoxy, cycloalkyl lower alkoxy, lower alkylthio, or lower alkylcarbonyloxy; R8, R9, and R13 is each independently H, halogen, lower alkyl, hydroxy, lower alkoxy, lower alkylthio, CF₃, CN, or COR₁₄; R10 is, e.g., H, lower alkyl, or aryl-(R13)₂, wherein aryl is a 5-membered aromatic ring wherein one carbon atom is replaced by O or S and 0-3 carbon atoms are replaced by N; R11, R12 are each, e.g., H, lower alkyl; R14 = H, lower alkyl; X1 = O, S, SO, SO₂, CH₂; X2 = O, S, CHR₆; X3 = e.g., O(CR₆)₂; Ar = phenylene-R₈2; m = 1, n = 1, 2; or pharmaceutically acceptable salts are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques. Pharmaceutical formulations were given. Thus, e.g., reaction of 7-hydroxycoumarin with 3-[4-(4-methoxy)tetrahydropyranyl]benzyl bromide afforded 7-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]coumarin; saponification of the lactone afforded 3-[4-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]-2-hydroxyphenyl]propenoic acid disodium salt.

ST heteroaryl cinnamic acid inhibitor leukotriene biosynthesis; asthma treatment heteroaryl cinnamic acid; allergy treatment heteroaryl cinnamic acid; inflammation treatment heteroaryl cinnamic acid; cytoprotectant heteroaryl cinnamic acid; angina treatment heteroaryl cinnamic acid; cerebral spasm treatment heteroaryl cinnamic acid; glomerular nephritis treatment heteroaryl cinnamic acid; hepatitis treatment heteroaryl cinnamic acid; endotoxemia treatment heteroaryl cinnamic acid; uveitis treatment heteroaryl cinnamic acid; allograft rejection treatment heteroaryl cinnamic acid; atherosclerotic plaque treatment heteroaryl cinnamic acid

IT Leukotrienes

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)

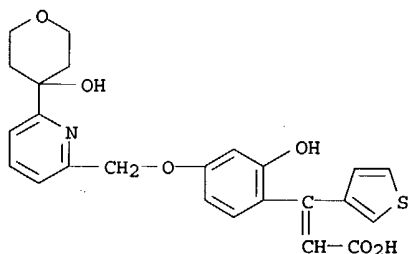
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	167841-16-9P	167841-19-2P	167841-20-5P	167841-23-8P	167841-24-9P
	167841-25-0P	167841-26-1P	167841-28-3P	167841-30-7P	
	167841-32-9P	167841-34-1P	167841-35-2P	167841-38-5P	
	167841-41-0P	167841-44-3P	167841-45-4P	167841-47-6P	167841-49-8P
	167841-51-2P	167841-53-4P	167841-55-6P	167841-57-8P	167841-59-0P
	167841-60-3P	167841-61-4P	167841-62-5P	167841-63-6P	167841-64-7P
	167841-65-8P	167841-66-9P	167841-67-0P	167841-68-1P	167841-69-2P
	167841-70-5P	167841-71-6P	167841-72-7P	167841-73-8P	167841-74-9P
	167841-75-0P	167841-76-1P	167841-77-2P	167841-78-3P	167841-79-4P
	167841-80-7P	167841-81-8P	167841-82-9P	167841-83-0P	167841-84-1P
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	167841-90-9P	167841-91-0P	167841-92-1P	167937-60-2P	167937-61-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)

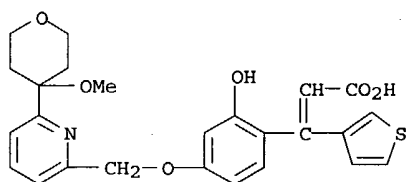
IT	88-13-1, 3-Thiophenecarboxylic acid	90-33-5, 7-Hydroxy-4-methylcoumarin
	93-35-6, 7-Hydroxycoumarin	94-02-0, Ethyl benzoylacetate
	107-92-6, Butyric acid, reactions	108-46-3, 1,3-Benzenediol, reactions
	110-87-2, 3,4-Dihydro-2H-pyran	131-56-6, 2,4-Dihydroxybenzophenone
	141-78-6,	

Acetic acid ethyl ester, reactions 498-07-7, 1,6-Anhydro-.beta.-D-glucose 591-17-3, 3-Bromotoluene 620-22-4 626-05-1, 2,6-Dibromopyridine 693-95-8, 4-Methylthiazole 1004-36-0, 2,6-Dimethyl-.gamma.-pyrone 1193-20-0, 2-Methyltetrahydropyran-4-one 2605-67-6, Methyl (triphenylphosphoranylidene)acetate 7051-34-5, Cyclopropylmethyl bromide 15852-73-0, 3-Bromobenzyl alcohol 18692-77-8, 4-Hydroxy-7-methylcoumarin 19492-02-5, 6-Chloro-7-hydroxy-4-methylcoumarin 22037-28-1, 3-Bromofuran 29943-42-8, Tetrahydropyran-4-one 33674-96-3 36878-91-8 37669-64-0, (5-Bromopyridin-3-yl)methanol 53087-13-1 67609-48-7 70677-94-0, 1,4-Bis(benzyloxy)-2-butene 130722-44-0 131747-45-0 167841-97-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)
 IT 2555-30-8P, 7-Hydroxy-4-phenylcoumarin 4390-92-5P 14241-58-8P 14505-80-7P 17100-67-3P 20204-80-2P 30923-34-3P 41507-35-1P, 3-Thiophenecarbonyl chloride 51772-30-6P 53090-46-3P 60656-87-3P, Benzyloxyacetaldehyde 124038-07-9P 130723-23-8P 144800-91-9P 144800-99-7P 144801-21-8P 144801-24-1P 145127-34-0P 145127-35-1P 145127-39-5P 145127-40-8P 153607-76-2P 153607-77-3P 153607-78-4P 153607-79-5P 153607-80-8P 153635-21-3P 155447-06-6P 155447-07-7P 155447-10-2P 155819-70-8P 155933-92-9P 155933-93-0P 156151-77-8P 156151-78-9P 156151-79-0P 156151-81-4P 156151-82-5P 156151-85-8P 156152-10-2P 156407-31-7P 158741-46-9P 158741-47-0P 161446-57-7P 167763-81-7P 167763-82-8P 167763-83-9P 167840-96-2P 167840-97-3P 167840-98-4P 167840-99-5P 167841-00-1P 167841-01-2P 167841-02-3P 167841-03-4P 167841-04-5P 167841-05-6P 167841-06-7P 167841-10-3P 167841-12-5P 167841-13-6P 167841-15-8P 167841-17-0P 167841-18-1P 167841-21-6P 167841-22-7P 167841-27-2P 167841-29-4P 167841-31-8P 167841-33-0P 167841-36-3P 167841-37-4P 167841-39-6P 167841-40-9P 167841-42-1P 167841-43-2P 167841-46-5P 167841-48-7P 167841-50-1P 167841-52-3P 167841-54-5P 167841-56-7P 167841-58-9P 167841-93-2P 167841-94-3P 167841-95-4P 167841-96-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)
 IT 156151-83-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)
 IT 167841-28-3P 167841-30-7P 167841-35-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis)
 RN 167841-28-3 HCAPLUS
 CN 2-Propenoic acid, 3-[2-hydroxy-4-[[6-(tetrahydro-4-hydroxy-2H-pyran-4-yl)-2-pyridinyl]methoxy]phenyl]-3-(3-thienyl)-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

RN 167841-30-7 HCAPLUS
 CN 2-Propenoic acid, 3-[2-hydroxy-4-[[6-(tetrahydro-4-methoxy-2H-pyran-4-yl)-2-pyridinyl]methoxy]phenyl]-3-(3-thienyl)-, disodium salt (9CI) (CA INDEX NAME)

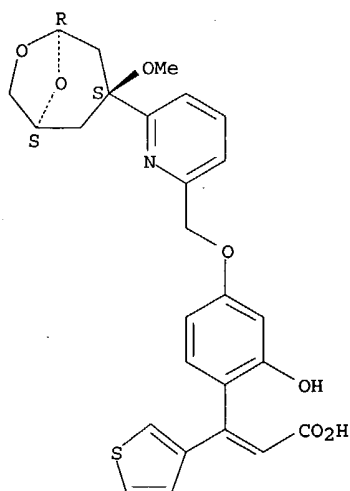


● 2 Na

RN 167841-35-2 HCAPLUS

CN .beta.-D-threo-Hexopyranose, 1,6-anhydro-3-C-[6-[[4-[2-carboxy-1-(3-thienyl)ethenyl]-3-hydroxyphenoxy]methyl]-2-pyridinyl]-2,4-dideoxy-3-O-methyl-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



● 2 Na

L43 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:227606 HCAPLUS

DN 123:55714

ED Entered STN: 06 Dec 1994

TI Aryl and heteroarylmethoxyphenyl inhibitors of leukotriene biosynthesis

IN Brooks, Dee W.; Kolasa, Teodozy J.

PA Abbott Laboratories, USA

SO U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 969,898, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07D215-14

ICS C07D213-30; A61K031-47; A61K031-44

NCL 514311000

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 25, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5358955	A	19941025	US 1993-71737	19930602 <--
	CA 2136076	AA	19940511	CA 1993-2136076	19931012 <--
	WO 9410148	A1	19940511	WO 1993-US9752	19931012 <--
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP	666849	A1	19950816	EP 1993-923854	19931012 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP	08502749	T2	19960326	JP 1993-511096	19931012 <--

Search done by Noble Jarrell

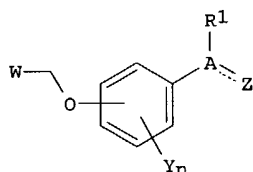
PRAI US 1992-969898 B2 19921030 <--
 US 1993-71737 A 19930602 <--
 WO 1993-US9752 W 19931012 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5358955	ICM	C07D215-14
	ICS	C07D213-30; A61K031-47; A61K031-44
	NCL	514311000

OS MARPAT 123:55714

GI

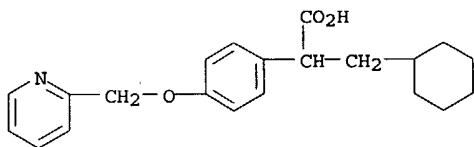


- AB The present invention relates to a compound of the formula I or a pharmaceutically acceptable salt thereof (wherein W is selected from optionally substituted pyridyl, naphthyl, and quinolyl; dotted line represents optional valence bond; e.g., for single bond, Z = e.g., CO2NR2R3, and for double bond, Z = e.g., :NOCHR4CO2NR2R3; A = C1-6-alkylene; R1 = e.g., C3-8-cycloalkyl) which inhibits lipooxygenase enzyme activity and leukotriene biosynthesis and is useful in the treatment of inflammatory disease states; also disclosed are leukotriene biosynthesis inhibiting compns. and a method for inhibiting lipooxygenase enzyme activity and leukotriene biosynthesis. In vitro inhibitory potencies against stimulated LTB4 polymorphonuclear leukocytes: IC50 (.mu.mol) in the range 0.033-1.65. Inhibition of the biosynthesis of leukotrienes in vivo after oral administration of compound was determined using a rat peritoneal anaphylaxis model: compds. of this invention prevent the formation of leukotrienes in this model in a range of 1-200 .mu.mol/kg. Pharmaceutical compns. were given.
- ST leukotriene biosynthesis inhibitor heteroarylmethoxyphenyl arylmethoxyphenyl; lipooxygenase enzyme inhibitor heteroarylmethoxyphenyl arylmethoxyphenyl
- IT Leukotrienes
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (biosynthesis inhibition; aryl and heteroarylmethoxyphenyl inhibitors of leukotriene biosynthesis)
- IT 158606-72-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (aryl and heteroarylmethoxyphenyl inhibitors of leukotriene biosynthesis)
- IT 158606-73-6P 158606-74-7P 158606-77-0P 158606-79-2P 158606-84-9P
 158606-85-0P 158606-88-3P 164578-83-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (aryl and heteroarylmethoxyphenyl inhibitors of leukotriene biosynthesis)
- IT 100-83-4, 3-Hydroxybenzaldehyde 108-85-0, Cyclohexyl bromide 123-08-0
 137-43-9, Bromocyclopentane 524-38-9, N-Hydroxyphthalimide 623-51-8,
 Ethyl thioglycolate 939-26-4, 2-(Bromomethyl)naphthalene 2404-35-5,
 Cycloheptyl bromide 3747-74-8, 2-Chloromethylquinoline hydrochloride
 6959-47-3, 2-Chloromethylpyridine hydrochloride 13633-25-5,
 1-Bromo-4-phenylbutane 14199-15-6, Methyl 4-hydroxyphenylacetate
 64473-35-4 164578-88-5
 RL: RCT (Reactant); RACT (Reactant or reagent) (aryl and heteroarylmethoxyphenyl inhibitors of leukotriene biosynthesis)
- IT 76529-98-1P, 2-Methoxy-2-(4-hydroxyphenyl)acetic acid methyl ester
 103119-21-7P 120159-59-3P, 4-(2-Quinoliny-methoxy)benzaldehyde
 123723-93-3P, Methyl 4-(quinolin-2-yl-methoxy)phenylacetate 127481-38-3P
 128253-06-5P 128253-07-6P 128253-08-7P 128253-09-8P 128253-11-2P
 128253-12-3P 128253-13-4P 128253-14-5P 143055-94-1P 158606-69-0P
 158606-70-3P 158606-71-4P, 4-(2-Pyridylmethoxy)phenylacetic acid methyl

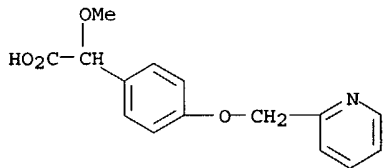
Search done by Noble Jarrell

ester 158606-89-4P 158606-90-7P 158606-91-8P 158606-95-2P
 158606-96-3P 158606-97-4P 158606-98-5P 158606-99-6P 158607-00-2P
 158607-01-3P 158607-02-4P 158607-03-5P 158607-04-6P
 164578-81-8P 164578-84-1P 164578-85-2P 164578-86-3P 164578-87-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

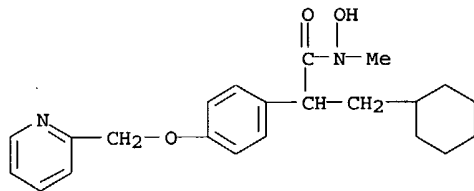
(aryl and heteroarylmethoxyphenyl inhibitors of leukotriene
 biosynthesis)
 IT 2550-36-9P, (Bromomethyl)cyclohexane 158606-75-8P 158606-78-1P
 158606-80-5P 158606-81-6P 158606-82-7P 158606-83-8P
 158606-87-2P 164578-82-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (aryl and heteroarylmethoxyphenyl inhibitors of leukotriene
 biosynthesis)
 IT 9029-60-1, Lipoxxygenase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors; aryl and heteroarylmethoxyphenyl inhibitors of leukotriene
 biosynthesis)
 IT 158607-02-4P 158607-04-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (aryl and heteroarylmethoxyphenyl inhibitors of leukotriene
 biosynthesis)
 RN 158607-02-4 HCAPLUS
 CN Benzeneacetic acid, .alpha.-(cyclohexylmethyl)-4-(2-pyridinylmethoxy)-
 (9CI) (CA INDEX NAME)



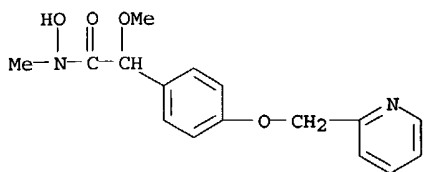
RN 158607-04-6 HCAPLUS
 CN Benzeneacetic acid, .alpha.-methoxy-4-(2-pyridinylmethoxy)- (9CI) (CA
 INDEX NAME)



IT 158606-82-7P 158606-83-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (aryl and heteroarylmethoxyphenyl inhibitors of leukotriene
 biosynthesis)
 RN 158606-82-7 HCAPLUS
 CN Benzeneacetamide, N-hydroxy-.alpha.-(cyclohexylmethyl)-N-methyl-4-(2-
 pyridinylmethoxy)- (9CI) (CA INDEX NAME)



RN 158606-83-8 HCAPLUS
 CN Benzeneacetamide, N-hydroxy-.alpha.-methoxy-N-methyl-4-(2-
 pyridinylmethoxy)- (9CI) (CA INDEX NAME)

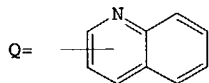


L43 ANSWER 18 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:298483 HCAPLUS
 DN 120:298483
 ED Entered STN: 11 Jun 1994
 TI Substituted indole-, indene-, pyranindole- and tetrahydrocarbazole-alkanoic acid derivatives as inhibitors of phospholipase A2 and lipoxigenase
 IN Musser, John H.; Kreft, Anthony F., III; Failli, Amedeo A.; Demerson, Christopher A.; Shah, Uresh S.; Nelson, James A.
 PA American Home Products Corp., USA
 SO U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 596,134, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM C07D215-14
 ICS C07D401-12; C07D405-14
 NCL 546172000
 CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5229516	A	19930720	US 1992-911434	19920710 <--
	CA 2070422	AA	19910428	CA 1990-2070422	19901027 <--
	CA 2090042	AA	19910428	CA 1990-2090042	19901027 <--
	HU 63407	A2	19930830	HU 1992-1383	19901027 <--
	US 5420289	A	19950530	US 1993-29199	19930310 <--
	WO 9401407	A2	19940120	WO 1993-US6441	19930707 <--
	WO 9401407	A3	19940303		
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9346694	A1	19940131	AU 1993-46694	19930707 <--
PRAI	US 1989-428260	B2	19891027	<--	
	US 1990-596134	B2	19901011	<--	
	CA 1990-2070422	A3	19901027	<--	
	US 1992-911434	A2	19920710	<--	
	WO 1993-US6441	A	19930707	<--	

CLASS
 PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES
 US 5229516 ICM C07D215-14
 ICS C07D401-12; C07D405-14
 NCL 546172000

OS MARPAT 120:298483
 GI



AB The title compds. A(CH₂)_nOB [A = Q; B = (un)substituted indenonyl, (un)substituted indolyl, etc.; n = 1-2], useful as antiinflammatory agents which possess leukotriene antagonistic activity, are prepared Thus, 3-[(4-chlorophenyl)methylene]-[2-methyl-6-(2-quinolinylmethoxy)]-3H-indene-1-acetic acid (Z configuration), prepared from 4-methoxybenzaldehyde in 7 steps, demonstrated 81% inhibition of PGE₂ at 10 .mu.M.
 ST heterocycloalkanoate prepn lipoxigenase phospholipase inhibition; antiinflammatory prepn heterocycloalkanoate; leukotriene antagonist

heterocycloalkanoate prepn; quinolinylmethoxyindene acetate prepn
 lipoxigenase phospholipase inhibition

IT Leukotrienes
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (inhibitors for, substituted heterocyclo- and indenealkanoates for)

IT Inflammation inhibitors
 (substituted heterocyclo- and indenealkanoates)

IT 363-24-6, PGE2 745-62-0 9001-84-7, Phospholipase A2 72025-60-6, LTC4
 73836-78-9, LTD4 80619-02-9, 5-Lipoxygenase
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (inhibition of, substituted heterocyclo- and indenealkanoates for)

IT 135872-65-0 135872-74-1 135872-78-5 135872-94-5 135873-24-4
 135873-25-5 152246-86-1 154588-50-8 154588-51-9 154588-53-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (lipoxygenase and phospholipase A2 inhibitory activity of)

IT 135872-60-5P 135872-67-2P 135872-68-3P 135872-69-4P 135872-70-7P
 135872-71-8P 135872-72-9P 135872-73-0P 135872-74-1P 135872-75-2P
 135872-78-5P 135872-79-6P 135872-80-9P 135872-81-0P 135872-83-2P
 135872-84-3P 135872-85-4P 135872-86-5P 135872-88-7P 135872-89-8P
 135872-90-1P 135872-91-2P 135872-92-3P 135872-93-4P 135872-95-6P
 135872-96-7P 135872-97-8P 135872-98-9P 135872-99-0P
 135873-00-6P 135873-01-7P 142013-16-9P 152246-86-1P 154588-40-6P
 154588-41-7P 154588-42-8P 154588-44-0P 154588-47-3P 154588-48-4P
 154588-49-5P 154588-54-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and lipoxygenase and phospholipase A2 inhibitory activity of)

IT 135872-62-7P 135872-63-8P 135872-64-9P 135872-66-1P 135872-94-5P
 154588-43-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and lipoxygenase and phospholipase A2 inhibitory activity of,
 reaction of)

IT 13048-81-2P 41339-83-7P 41340-03-8P 42821-29-4P 52068-30-1P
 52427-11-9P 60424-12-6P 65561-32-2P 101901-06-8P 114720-06-8P
 114720-21-7P 114737-75-6P 120159-59-3P, 4-[(2-Quinolinyl)-methoxy]-
 benzaldehyde 135872-61-6P 135873-04-0P 135873-07-3P 135873-08-4P
 135873-09-5P 135873-10-8P 135873-12-0P 135873-13-1P 135873-14-2P
 135873-15-3P 135873-16-4P 135873-17-5P 135873-18-6P 135873-19-7P
 135873-20-0P 135873-21-1P 135873-22-2P 135873-23-3P 135873-24-4P
 135873-25-5P 135873-26-6P 135873-27-7P 135873-28-8P 135873-30-2P
 135873-31-3P 135892-91-0P 145900-63-6P 154588-37-1P 154588-38-2P
 154588-39-3P 154588-45-1P 154588-46-2P 154588-52-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, in preparation of lipoxygenase and phospholipase A2
 inhibitors)

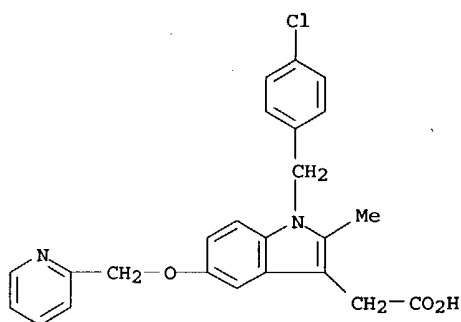
IT 26386-88-9P, Diphenylphosphoryl azide
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

IT 77-86-1 98-10-2, Benzenesulfonamide 100-39-0, Benzylbromide
 100-44-7, Benzyl chloride, reactions 104-83-6, 4-Chlorobenzylchloride
 104-88-1, 4-Chlorobenzaldehyde, reactions 118-75-2, Chloranil, reactions
 122-01-0, 4-Chlorobenzoyl chloride 123-08-0, 4-Hydroxybenzaldehyde
 123-11-5, 4-Methoxybenzaldehyde, reactions 137-40-6, Sodium propionate
 372-09-8, Cyanoacetic acid 459-46-1, 4-Fluorobenzyl bromide 589-15-1,
 4-Bromobenzyl bromide 628-17-1, Pentyl iodide 638-45-9, Hexyl iodide
 939-26-4, 2-Bromomethylnaphthalene 1642-81-5, 4-(Chloromethyl)benzoic
 acid 3446-89-7, 4-Methylthiobenzaldehyde 3471-32-7,
 4-Methoxyphenylhydrazine 3747-74-8, 2-Chloromethylquinoline
 hydrochloride 4282-40-0, Heptyl iodide 4377-33-7, 2-
 (Chloromethyl)pyridine 4377-41-7, 2-Chloromethylquinoline 4771-31-7,
 4-(Chloromethyl)-2-phenylthiazole 5464-10-8 6373-46-2,
 4-Benzylloxylaniline 7598-91-6 16029-98-4, Iodotrimethyl silane
 18107-18-1, Trimethylsilyldiazomethane 34846-90-7, Methyl
 3-methoxy-2-pentenolate 37859-43-1, 2-(Chloromethyl)benzothiazole
 41339-61-1 41340-36-7, 7-Ethyltryptophol 50995-53-4 52068-30-1
 56602-33-6 58711-32-3 105105-88-2 120159-59-3 124993-41-5
 135873-03-9 135873-23-3 135873-35-7 154588-55-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of lipoxygenase and phospholipase A2
 inhibitors)

IT 135872-97-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and lipoxygenase and phospholipase A2 inhibitory activity of)

RN 135872-97-8 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-[(4-chlorophenyl)methyl]-2-methyl-5-(2-
 pyridinylmethoxy)- (9CI) (CA INDEX NAME)



L43 ANSWER 19 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:244671 HCAPLUS
 DN 120:244671
 ED Entered STN: 14 May 1994
 TI Preparation of indolylalkanoates as leukotriene biosynthesis inhibitors
 IN Brooks, Dee W.; Woods, Keith W.; Rodriques, Karen E.
 PA Abbott Laboratories, USA
 SO U.S., 12 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-405
 ICS C07D277-04
 NCL 514365000
 CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1

FAN.CNT 1

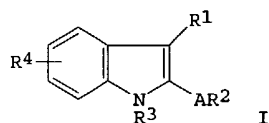
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5288743	A	19940222	US 1992-979138	19921120 <--
	WO 9412179	A1	19940609	WO 1993-US10992	19931112 <--
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 1992-979138		19921120	<--	

CLASS

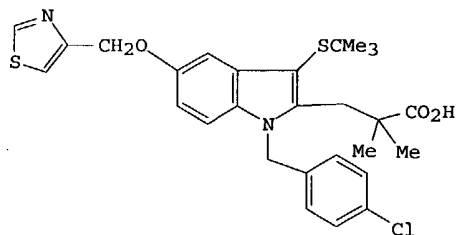
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5288743	ICM	A61K031-405
	ICS	C07D277-04
	NCL	514365000

OS MARPAT 120:244671

GI



I

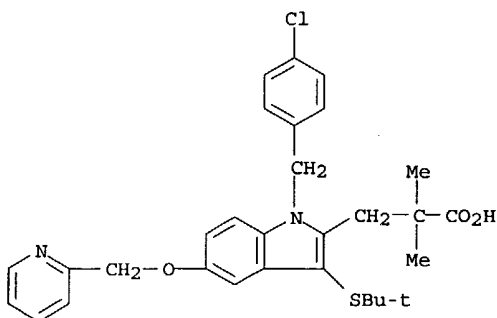


II

AB Title compds. [I; A = (cyclo)alkylene; R1 = H, alkylthio, PhS, alkoxy,

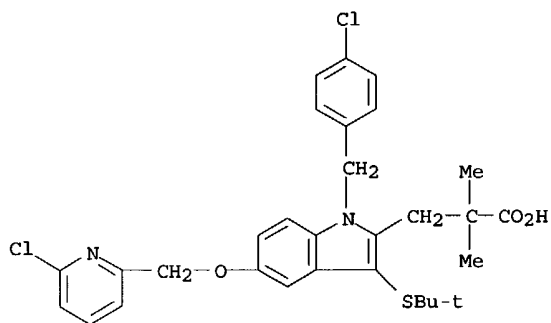
Search done by Noble Jarrell

- pyridyl, etc.; R2 = CO₂H, (ar)alkoxycarbonyl, CONH₂, etc.; R3 = phenylalkyl, heteroarylalkyl, etc.; R4 = alkoxyaryl, (hetero)aryloxy, etc.] were prepared. Thus, 4-(MeO)C₆H₄N(NH₂)CH₂C₆H₄Cl-4 was cyclocondensed with Me₂CSSCH₂COCH₂CMe₂CO₂Et (preparation each given) and the O-demethylated product condensed with 4-chloromethylthiazole (preparation given) to give, after saponification, title compound II which had IC₅₀ of 0.0044 and 0.04. μ M against Ca ionophore-induced LTB₄ biosynthesis in human polymorphonuclear leukocytes and human whole blood, resp.
- ST indolylalkanoate prepn leukotriene biosynthesis inhibitor
- IT Leukotrienes
- RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
- (biosynthesis of, indolylalkanoates as)
- IT 115-08-2P, Thioformamide 7709-58-2P, 4-Chloromethylthiazole hydrochloride 20955-94-6P 45438-73-1P, 2-Bromomethylthiophene 78846-88-5P, 6-Chloro-2-chloromethylpyridine 86209-84-9P, 2-Acetoxymethyl-6-chloropyridine 102137-46-2P, 4-(2-Pyridylmethoxy)aniline 105350-45-6P 118427-36-4P 136558-12-8P 136558-13-9P 136694-17-2P 154325-73-2P, N-(4-Chlorobenzyl)-4-methoxyaniline hydrochloride 154325-74-3P 154325-75-4P 154325-76-5P 154325-77-6P 154325-78-7P 154325-79-8P 154325-80-1P 154325-81-2P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and reaction of, in preparation of leukotriene biosynthesis inhibitor)
- IT 148929-01-5P 148929-09-3P 148929-11-7P
- 148929-12-8P 149167-94-2P 149167-98-6P 154325-69-6P 154325-70-9P 154325-71-0P 154325-72-1P
- RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of, as leukotriene biosynthesis inhibitor)
- IT 75-66-1, tert-Butylthiol 78-88-6, 2,3-Dichloro-1-propene 97-62-1, Ethyl isobutyrate 103-90-2, 4-Acetamidophenol 104-83-6, 4-Chlorobenzyl chloride 104-88-1, 4-Chlorobenzaldehyde, reactions 104-94-9, 4-Methoxyaniline 109-04-6, 2-Bromopyridine 534-07-6, 1,3-Dichloroacetone 636-72-6, 2-Hydroxymethylthiophene 939-26-4, 2-Bromomethylnaphthalene 1822-51-1, 4-Picolyl chloride hydrochloride 6959-47-3, 2-Picolyl chloride hydrochloride 18368-63-3, 6-Chloro-2-methylpyridine 19501-58-7, 4-Methoxyphenylhydrazine hydrochloride 23784-96-5, 2-Chloro-5-chloromethylthiophene 39901-94-5, 3-Picolyl chloride hydrochloride 74502-83-3, 2-Chloromethyl-4,6-dimethylpyrimidine 78846-88-5
- RL: RCT (Reactant); RACT (Reactant or reagent)
- (reaction of, in preparation of leukotriene biosynthesis inhibitor)
- IT 148929-01-5P 148929-09-3P 148929-11-7P
- 148929-12-8P
- RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of, as leukotriene biosynthesis inhibitor)
- RN 148929-01-5 HCAPLUS
- CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-5-(2-pyridinylmethoxy)- (9CI)
- (CA INDEX NAME)



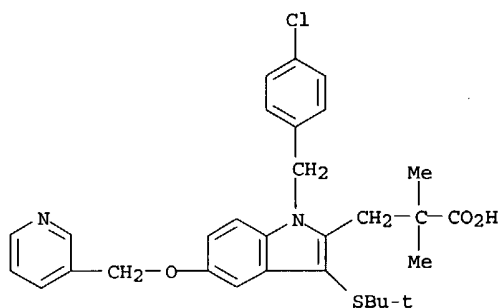
- RN 148929-09-3 HCAPLUS
- CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-5-[(6-chloro-2-pyridinyl)methoxy]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-

(9CI) (CA INDEX NAME)



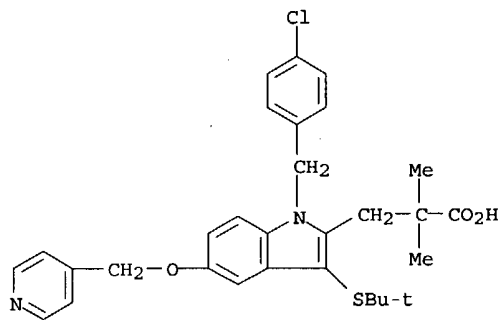
RN 148929-11-7 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-5-(3-pyridinylmethoxy)- (9CI)
(CA INDEX NAME)



RN 148929-12-8 HCAPLUS

CN 1H-Indole-2-propanoic acid, 1-[(4-chlorophenyl)methyl]-3-[(1,1-dimethylethyl)thio]-.alpha.,.alpha.-dimethyl-5-(4-pyridinylmethoxy)- (9CI)
(CA INDEX NAME)



L43 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:244653 HCAPLUS

DN 120:244653

ED Entered STN: 14 May 1994

TI Preparation of N-[(phenylalkynyl)furylalkynyl]- and - thienylalkynyl]-N-hydroxyureas and analogs as inhibitors of leukotriene biosynthesis

IN Brooks, Dee W.; Stewart, Andrew O.; Basha, Anwer; Bhatia, Pramila; Ratajczyk, James D.

PA Abbott Laboratories, USA

SO U.S., 15 pp.

CODEN: USXXAM

DT Patent

Search done by Noble Jarrell

LA English
 IC ICM C07D307-36
 ICS C07D333-22; A61K031-34; A61K031-38
 NCL 514438000
 CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1

FAN.CNT 2

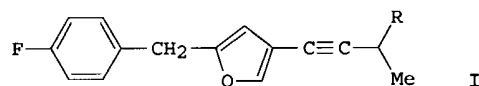
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5288751	A	19940222	US 1992-973100	19921106 <--
	CA 2136077	AA	19940526	CA 1993-2136077	19931105 <--
	WO 9411342	A1	19940526	WO 1993-US10675	19931105 <--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9456660	A1	19940608	AU 1994-56660	19931105 <--
	AU 673040	B2	19961024		
	EP 667855	A1	19950823	EP 1994-902209	19931105 <--
	EP 667855	B1	19990324		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08503200	T2	19960409	JP 1993-511496	19931105 <--
	AT 178049	E	19990415	AT 1994-902209	19931105 <--
	ES 2131185	T3	19990716	ES 1994-902209	19931105 <--
	IL 107505	A1	20000726	IL 1993-107505	19931105 <--
	US 5616596	A	19970401	US 1995-416807	19950413 <--
PRAI	US 1992-973100	A	19921106	<--	
	WO 1993-US10675	W	19931105	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5288751	ICM	C07D307-36
	ICS	C07D333-22; A61K031-34; A61K031-38
	NCL	514438000

OS MARPAT 120:244653

GI



AB ALZC.tplbond.CBN(OM)CONH2 [A = (substituted) carbocyclic aryl; B = alkylene; L = (O- or CO-interrupted)alkylene, CO, C(:NOH), etc.; M = H, cation, metabolically labile group; Z = phenylene, furylene, thienylene, etc.] were prepared. Thus, 4-FC6H4CH2Br was condensed with furan and the brominated product condensed with HC.tplbond.CCH(OH)Me to give butynol I (R = OH) which was condensed with PhO2CNHOCO2Ph to give, after aqueous NH3 treatment, I [R = N(OH)CONH2]. The latter gave 68% inhibition of leukotriene biosynthesis in a rat peritoneal anaphylaxis model at 30.mu.mol/kg orally.

ST hydroxyurea aralkylfurylalkynyl leukotriene biosynthesis inhibitor

IT Leukotrienes

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (biosynthesis of, inhibitors of, N-[(phenylalkyl)furylalkynyl- and -thienylalkynyl]-N-hydroxyureas and analogs as)

IT 2682-86-2P, Diethyl 3-pyridylmethylphosphonate 18298-42-5P
 63877-96-3P, 2-(4-Fluorophenylmethyl)thiophene 75148-49-1P,
 3-Bromobenzaldehyde diethyl acetal 154355-80-3P, 2-(4-Fluorobenzyl)furan
 154355-81-4P 154355-82-5P, 3-Iodobenzaldehyde diethyl acetal
 154355-83-6P 154355-84-7P 154355-85-8P 154355-86-9P 154355-87-0P
 154355-88-1P 154355-89-2P

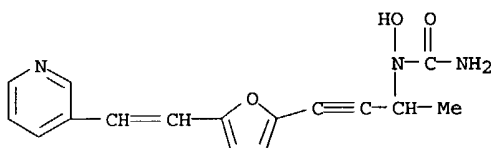
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of leukotriene biosynthesis inhibitor)

IT 154355-65-4P 154355-66-5P 154355-67-6P 154355-68-7P
 154355-69-8P 154355-70-1P 154355-71-2P 154355-72-3P
 154355-73-4P 154355-74-5P 154355-75-6P 154355-76-7P 154355-77-8P
 154355-78-9P 154355-79-0P

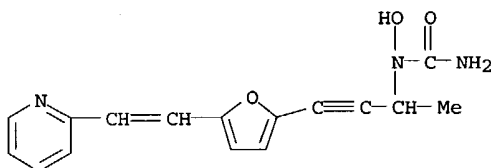
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as leukotriene biosynthesis inhibitor)

Search done by Noble Jarrell

IT 98-01-1, Furfuraldehyde, reactions 98-03-3, Thiophene-2-carboxaldehyde
 100-39-0, Benzyl bromide 104-81-4, 4-Methylbenzyl bromide 110-00-9,
 Furan 110-02-1, Thiophene 403-43-0, 4-Fluorobenzoyl chloride
 459-46-1, 4-Fluorobenzyl bromide 2028-63-9 2687-43-6,
 O-Benzylhydroxylamine hydrochloride 3141-27-3, 2,5-Dibromothiophene
 6959-47-3, 2-Picolyl chloride hydrochloride 39901-94-5, 3-Picolyl
 chloride hydrochloride 52698-81-4, -Bromobenzaldehyde 116332-54-8,
 N-Methoxy-N-methyl-4-fluorobenzaldehyde 141580-65-6,
 N,O-Bis(phenoxycarbonyl)hydroxylamine 154355-90-5 154355-91-6,
 N-(2-Butynyl)-N-hydroxyurea 154355-92-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of leukotriene biosynthesis inhibitor)
 IT 154355-68-7P 154355-71-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as leukotriene biosynthesis inhibitor)
 RN 154355-68-7 HCAPLUS
 CN Urea, N-hydroxy-N-[1-methyl-3-[5-[2-(3-pyridinyl)ethenyl]-2-furanyl]-2-
 propynyl]- (9CI) (CA INDEX NAME)



RN 154355-71-2 HCAPLUS
 CN Urea, N-hydroxy-N-[1-methyl-3-[5-[2-(2-pyridinyl)ethenyl]-2-furanyl]-2-
 propynyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 21 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1993:595675 HCAPLUS
 DN 119:195675
 ED Entered STN: 13 Nov 1993
 TI Preparation of 3-aryl-2-hydroxypropionic acid derivatives as
 antihypertensives
 IN Hulin, Bernard
 PA Pfizer Inc., USA
 SO U.S., 18 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-35
 NCL 514456000
 CC 1-8 (Pharmacology)
 Section cross-reference(s): 25, 27, 28

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5232945	A	19930803	US 1992-916580	19920720 <--
PRAI US 1992-916580		19920720	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5232945	ICM	A61K031-35
	NCL	514456000

OS MARPAT 119:195675

AB 3-Aryl-2-hydroxypropionic acid derivs. are prepared for treating
 hypertension.

ST arylhydroxypropionate antihypertensive; hydroxypropionate aryl deriv
antihypertensive; hypotensive arylhydroxypropionate; hypertension
treatment arylhydroxypropionate

IT Antihypertensives
(arylhydroxypropionic acid derivs. as, preparation of)

IT 150563-57-8 150563-58-9 150563-59-0
RL: BIOL (Biological study)
(as antihypertensive)

IT 140223-26-3 140223-27-4 150563-63-6 150563-64-7
RL: PROC (Process)
(optical resolution of, in preparing antihypertensive)

IT 30057-79-5P 61439-59-6P 109210-00-6P 132646-34-5P 140129-27-7P
140129-50-6P 140129-51-7P 140129-52-8P 140129-53-9P 140129-97-1P
140129-98-2P 140130-00-3P 140130-08-1P 140130-10-5P 140130-11-6P
140130-12-7P 140130-13-8P 140130-14-9P 140130-15-0P 140130-17-2P
140130-18-3P 140130-20-7P 140130-21-8P 140130-22-9P 140130-23-0P
140130-24-1P 140130-25-2P 140130-26-3P 140130-27-4P 140130-29-6P
140130-30-9P 140130-31-0P 140130-32-1P 140130-33-2P 140130-34-3P
140130-37-6P 140130-38-7P 140130-39-8P 140130-40-1P 140130-41-2P
140130-42-3P 140157-68-2P 150563-65-8P 150563-66-9P 150563-72-7P
150563-73-8P 150563-76-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, in preparing antihypertensive)

IT 125531-53-5P 140129-24-4P 140129-25-5P 140129-49-3P 150563-60-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

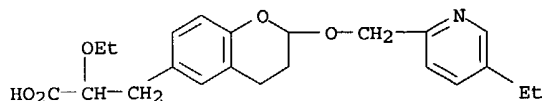
IT 140129-26-6P 140129-29-9P 140129-30-2P 140129-31-3P 140129-32-4P
140129-33-5P 140129-34-6P 140129-35-7P 140129-36-8P 140129-37-9P
140129-38-0P 140129-39-1P 140129-40-4P 140129-41-5P 140129-42-6P
140129-43-7P 140129-47-1P 140129-48-2P 140129-55-1P 140129-56-2P
140129-57-3P 140129-58-4P 140129-59-5P 140129-60-8P 140129-61-9P
140129-62-0P 140129-63-1P 140129-64-2P 140129-65-3P 140129-66-4P
140129-67-5P 140129-68-6P 140129-69-7P 140129-70-0P 140129-71-1P
140129-72-2P 140129-73-3P 140129-74-4P 140129-75-5P 140129-76-6P
140129-77-7P 140129-78-8P 140129-79-9P 140129-80-2P 140129-81-3P
140129-82-4P 140129-86-8P 140129-87-9P 140129-88-0P 140129-91-5P
140129-92-6P 140129-93-7P 140129-94-8P 140129-95-9P 140129-96-0P
140130-05-8P 140130-06-9P 140130-07-0P 140157-67-1P 150563-61-4P
150563-61-4P 150563-62-5P 150563-67-0P 150563-68-1P 150563-69-2P
150563-70-5P 150563-74-9P 150563-75-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparing antihypertensive)

IT 60-12-8, Phenethyl alcohol 74-88-4, Methyl iodide, reactions 93-61-8,
N-Methylformanilide 97-51-8, 5-Nitrosalicylaldehyde 100-39-0, Benzyl
bromide 100-58-3, Phenylmagnesium bromide 107-03-9, Propyl mercaptan
107-08-4, Propyl iodide 107-13-1, 2-Propenenitrile, reactions
108-24-7, Acetic anhydride 140-88-5 141-84-4, Rhodanine 456-41-7
507-09-5, Thiolacetic acid, reactions 540-80-7, tert-Butyl nitrite
603-35-0, Triphenylphosphine, reactions 865-47-4 1738-36-9,
Methoxyacetonitrile 1761-61-1 4009-98-7, Methoxymethyltriphenylphospho
nium chloride 4254-67-5 4397-53-9, 4-Benzoyloxybenzaldehyde
5188-07-8, Sodium thiomethoxide 6192-52-5, p-Toluenesulfonic acid
monohydrate 6813-61-2 7677-24-9 54678-23-8 60032-63-5,
4-Hydroxy-3-iodobenzaldehyde 90719-32-7 103788-59-6 103788-62-1,
4-Bromoacetyl-5-methyl-2-phenyl-oxazole 103788-87-0 120355-99-9
137590-30-8 140130-07-0 140157-69-3 150563-71-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparing antihypertensive)

IT 150563-74-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparing antihypertensive)

RN 150563-74-9 HCAPLUS

CN 2H-1-Benzopyran-6-propanoic acid, .alpha.-ethoxy-2-[(5-ethyl-2-
pyridinyl)methoxy]-3,4-dihydro- (9CI) (CA INDEX NAME)



L43 ANSWER 22 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1992:407816 HCAPLUS
 DN 117:7816
 ED Entered STN: 11 Jul 1992
 TI Preparation of quinoline-substituted naphthalenepropionic acid derivatives
 as anti-inflammatory/antiallergic agents
 IN Kreft, Anthony F., III; Musser, John H.; Bicksler, James J.; Giberson,
 John W.; Kubrak, Dennis M.; Banker, Annette L.
 PA American Home Products Corp., USA
 SO U.S., 13 pp. Cont.-in-part of U.S. 4,690,892.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM C07D215-36
 ICS C07D215-38
 NCL 546172000
 CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1

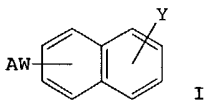
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5084575	A	19920128	US 1990-578367	19900906 <--
	AT 55374	E	19900815	AT 1988-306888	19880726 <--
	CA 1330999	A1	19940726	CA 1988-573481	19880729 <--
	CA 1331000	A1	19940726	CA 1988-574353	19880810 <--
	US 4960892	A	19901002	US 1989-351119	19890512 <--
	CA 2089262	AA	19920307	CA 1991-2089262	19910905 <--
	WO 9204325	A1	19920319	WO 1991-US6379	19910905 <--
	W: AU, CA, JP, KR				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9186171	A1	19920330	AU 1991-86171	19910905 <--
	AU 654292	B2	19941103		
	EP 547148	A1	19930623	EP 1991-916919	19910905 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06500997	T2	19940127	JP 1991-515890	19910905 <--
	US 5208344	A	19930504	US 1991-807526	19911213 <--
	US 5250693	A	19931005	US 1991-806518	19911213 <--
PRAI	US 1987-80122	B2	19870731	<--	
	US 1988-202975	B2	19880610	<--	
	US 1989-351119	A2	19890512	<--	
	EP 1988-306888	A	19880726	<--	
	US 1990-578367	A	19900906	<--	
	WO 1991-US6379	A	19910905	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5084575	ICM	C07D215-36
	ICS	C07D215-38
	NCL	546172000

OS MARPAT 117:7816
 GI



AB Title compds. I [A = quinolinyl; W = CR₂O, CH:CH, CH:CHCH₂O; R = H, alkyl; Y = R₃COCHMe, H₂NCON(OH)CR₂, HONHCONHCR₂; R₃ = RONR, R₄O₂SNH, R₄ = (substituted) Ph] and salts thereof are prepared To 6-hydroxy-.alpha.-methyl-2-naphthaleneacetic acid in MeOH was added MeONa, the solvent was replaced by DMF, and 2-(chloromethyl)quinoline was added to give the ether ester, which was hydrolyzed with NaOH to give I (A = 2-quinolyl, W = CH₂O in 6-position, Y = 2-HO₂CCHMe in 2-position) (II). II at 50 mg/kg (peroral) showed 42% inhibition of inflammation in the rat carrageenan paw edema test.

ST quinolinyl-naphthaleneacetate prepn antiallergy antiinflammatory

IT Allergy inhibitors
 Bronchodilators
 Cytoprotective agents
 Inflammation inhibitors

(substituted quinolinyl naphthaleneacetates)

IT Bronchodilators
(antiasthmatics, substituted quinolinyl naphthaleneacetates)

IT 363-24-6, PGE2 54397-85-2, TxB2 71160-24-2, LTB4 73836-78-9, LTD4
80619-02-9, 5-Lipoxygenase
RL: USES (Uses)
(inhibitors, substituted quinolinyl naphthaleneacetates)

IT 123016-15-9P 123016-16-0P 123016-17-1P 123016-18-2P
123016-19-3P 123016-20-6P 123016-21-7P 123016-22-8P 123016-23-9P
123016-24-0P 123016-25-1P 123016-26-2P 123016-27-3P 123016-28-4P
123016-29-5P 123016-30-8P 133899-55-5P 141832-18-0P 141832-19-1P
141832-20-4P 141832-21-5P 141832-22-6P 141832-23-7P 141832-24-8P
141832-26-0P 141832-27-1P 141832-28-2P 141832-29-3P 141832-30-6P
187112-62-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic and antiinflammatory)

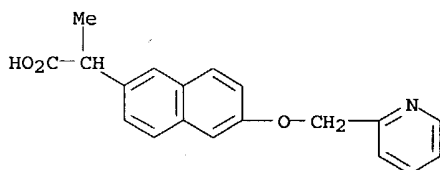
IT 10441-46-0P 69337-84-4P 141832-31-7P 141832-32-8P 141832-33-9P.
142705-67-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiallergics and antiinflammatories)

IT 70-55-3, p-Toluenesulfonamide 100-44-7, Benzyl chloride, reactions
542-69-8, 1-Iodobutane 628-17-1, 1-Iodopentane 638-45-9, 1-Iodohexane
870-63-3, 1-Bromo-3-methyl-2-butene 2506-41-4, 2-
(Chloromethyl)naphthalene 2567-14-8, 3,3-Dichloroallyl chloride
3900-45-6, 2-Acetyl-6-methoxynaphthalene 4229-44-1, Methylhydroxylamine
hydrochloride 4292-19-7, 1-Iodododecane 4377-33-7,
2-(Chloromethyl)pyridine 4377-41-7 4392-24-9, Cinnamyl bromide
4771-31-7 30012-51-2 37859-43-1, 2-(Chloromethyl)benzothiazole
52079-10-4 60756-73-2 115104-25-1, 2-(Bromomethyl)-7-chloroquinoline
123050-98-6 141832-34-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antiallergics and antiinflammatories)

IT 123016-18-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic and antiinflammatory)

RN 123016-18-2 HCAPLUS

CN 2-Naphthaleneacetic acid, .alpha.-methyl-6-(2-pyridinylmethoxy)- (9CI)
(CA INDEX NAME)



L43 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:228564 HCAPLUS

DN 114:228564

ED Entered STN: 15 Jun 1991

TI Preparation of naphthalenepropionic acids as antiinflammatory and
antiallergic agents

IN Kreft, Anthony F., III; Musser, John H.; Bicksler, James J.; Giberson,
John W.; Kubrak, Dennis M.

PA American Home Products Corp., USA

SO U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 202,975, abandoned.
CODEN: USXXAM

DT Patent

LA English

IC ICM C07D215-14

NCL 546152000

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4960892	A	19901002	US 1989-351119	19890512 <--
	AU 8819209	A1	19890202	AU 1988-19209	19880719 <--
	AU 611699	B2	19910620		
	EP 301813	A1	19890201	EP 1988-306888	19880726 <--
	EP 301813	B1	19900808		

Search done by Noble Jarrell

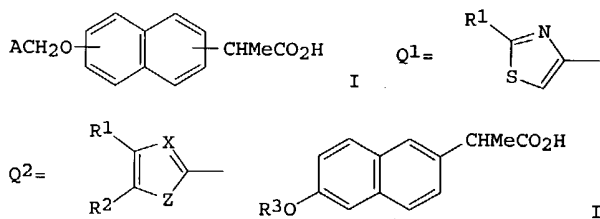
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AT 55374	E	19900815	AT 1988-306888	19880726 <--
ES 2032018	T3	19930101	ES 1988-306888	19880726 <--
JP 01100144	A2	19890418	JP 1988-189525	19880727 <--
DK 8804262	A	19890201	DK 1988-4262	19880729 <--
CA 1330999	A1	19940726	CA 1988-573481	19880729 <--
CA 1331000	A1	19940726	CA 1988-574353	19880810 <--
CA 1331001	A1	19940726	CA 1989-613074	19890926 <--
JP 02311463	A2	19901227	JP 1989-279615	19891026 <--
EP 396839	A2	19901114	EP 1989-311231	19891031 <--
EP 396839	A3	19910605		
EP 396839	B1	19940413		
R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
GB 2231570	A1	19901121	GB 1989-24501	19891031 <--
GB 2231570	B2	19920520		
ZA 8908291	A	19910626	ZA 1989-8291	19891031 <--
AT 104281	E	19940415	AT 1989-311231	19891031 <--
ES 2063143	T3	19950101	ES 1989-311231	19891031 <--
AU 8944361	A1	19901115	AU 1989-44361	19891103 <--
AU 629868	B2	19921015		
DK 9001175	A	19901113	DK 1990-1175	19900510 <--
DK 169545	B1	19941128		
US 5084575	A	19920128	US 1990-578367	19900906 <--
US 5208344	A	19930504	US 1991-807526	19911213 <--
US 5250693	A	19931005	US 1991-806518	19911213 <--
PRAI US 1987-80122	B2	19870731	<--	
US 1988-202975	B2	19880610	<--	
EP 1988-306888	A	19880726	<--	
US 1989-351119	A	19890512	<--	
EP 1989-311231	A	19891031	<--	
US 1990-578367	A2	19900906	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4960892	ICM	C07D215-14
	NCL	546152000

OS MARPAT 114:228564

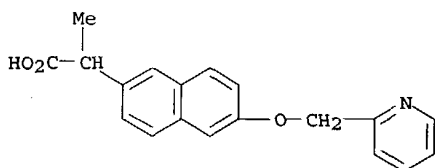
GI



- AB The title compds. (I; A = alkyl, substituted alkyl, alkynyl, heterocyclyl groups Q₁, Q₂; R₁ = H, alkyl, Ph; R₂ = H, alkyl; R₁R₂ = CH:CHCH:CH; X = N, CR; R = H, alkyl; X = CR:CR, CR:N, N:CR, NR, S, O) were prepared Thus, hydroxynaphthalenepropionate (S)-(+)-II (R₃ = H) was condensed with 2-(chloromethyl)quinoline and the product treated with (HOCH₂)₃CNH₂ to give (S)-(+)-II.H₂NC(CH₂OH)₃ (R₃ = 2-quinolinylmethyl) which had ED₅₀ of 1.3 mg/kg orally against reverse passive Arthus pleurisy reaction in rats.
- ST naphthalenepropionate prepn allergy inflammation inhibitor
- IT Bronchi
(constriction of, treatment of, naphthalenepropionates for)
- IT Allergy inhibitors
Inflammation inhibitors
(naphthalenepropionates)
- IT 72025-60-6 73836-78-9, Leukotriene D₄ 80619-02-9, 5-Lipoxygenase
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibitors of, naphthalenepropionates as)
- IT 123016-30-8P 123016-31-9P 123016-32-0P 123016-33-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, in preparation of inflammation and allergy inhibitors)
- IT 123016-15-9P 123016-16-0P 123016-17-1P 123016-18-2P
123016-19-3P 123016-20-6P 123016-21-7P 123016-22-8P 123016-23-9P

Search done by Noble Jarrell

123016-24-0P 123016-25-1P 123016-26-2P 123016-27-3P 123016-28-4P
 123016-29-5P 133899-55-5P 133899-56-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as inflammation and allergy inhibitor)
 IT 100-44-7, reactions 628-17-1 638-45-9 870-63-3 2050-77-3
 2506-41-4 2567-14-8 4377-33-7 4377-41-7 4760-35-4 4771-31-7
 37859-43-1 52079-10-4 60756-73-2 60756-73-2 123050-98-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of inflammation and allergy inhibitors)
 IT 133899-57-7P
 RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of, inhibition of, naphthalenepropionates for)
 IT 123016-18-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as inflammation and allergy inhibitor)
 RN 123016-18-2 HCAPLUS
 CN 2-Naphthaleneacetic acid, .alpha.-methyl-6-(2-pyridinylmethoxy)- (9CI)
 (CA INDEX NAME)



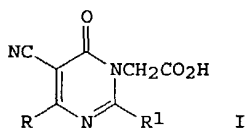
L43 ANSWER 24 OF 24 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1989:154318 HCAPLUS
 DN 110:154318
 ED Entered STN: 30 Apr 1989
 TI Preparation of 2,4-disubstituted-5-cyano-1,6-dihydro-6-oxo-1-pyrimidineacetic acids as aldose reductase inhibitors
 IN Bagli, Jehan F.; Ellingboe, John W.; Alessi, Thomas R.
 PA American Home Products Corp., USA
 SO U.S., 12 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 IC ICM A61K031-495
 ICS A61K031-505; C07D403-04; C07D401-04
 NCL 514252000
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4786638	A	19881122	US 1987-61831	19870612 <--
	US 4900829	A	19900213	US 1988-221588	19880720 <--
PRAI	US 1987-61831		19870612	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4786638	ICM	A61K031-495
	ICS	A61K031-505; C07D403-04; C07D401-04
	NCL	514252000

OS CASREACT 110:154318; MARPAT 110:154318
 GI



AB The title compds. [I; R = C1-3 alkyl, Ph, naphthalenylmethyl, R2S, R3R4N;
 R1 = C1-3 alkyl, C3-6 cycloalkyl, (halo)naphthalenyl; R2 = C1-6 alkyl,
 cyclohexylmethyl, PhCH2, halobenzyl; R3 = H; R4 = cyclohexylmethyl,

pyridinylmethyl, phenylalkyl; R3R4N = piperidino, 4-methylpiperidino, 4-methyl-1-piperazinyl, 4-benzyl-1-piperazinyl and their pharmaceutically acceptable salts were prepared as aldose reductase inhibitors, useful in treatment or prevention of complications of diabetes mellitus. Cyclohexanecarboxylic acid was converted successively to cyclohexanecarbonitrile and cyclohexanecarboxamide-HCl. The latter was cyclocondensed with (MeS)2C:C(CN)CO2Me to give the Me ester of I (R = MeS, R1 = cyclohexyl) which was saponified to give I (R, R1 unchanged) (II). II gave 89% inhibition of aldose reductase at 10-7M. Rats receiving 90 mg II/kg/day for 5 days in feed had a 47% reduction of galactitol content of the sciatic nerve.

ST diabetes complication treatment cyanooxopyridineacetate prepn; aldose reductase inhibitor cyanooxopyridineacetate prepn; pyrimidineacetate cyanooxo diabetes complication treatment

IT Diabetes mellitus
(complications of, treatment of, cyanooxopyrimidineacetates for)

IT Cataract
Kidney, disease or disorder
(diabetic, treatment of, cyanooxopyrimidineacetates for)

IT Nerve, disease or disorder
(diabetic neuropathy, treatment of, cyanooxopyrimidineacetates for)

IT Eye, disease or disorder
(diabetic retinopathy, treatment of, cyanooxopyrimidineacetates for)

IT 84532-82-1, 5-Bromo-1-naphthoyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation by, of benzimidate)

IT 5333-86-8, Ethyl benzimidate hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by bromonaphthoyl chloride)

IT 98-89-5, Cyclohexanecarboxylic acid
RL: PROC (Process)
(conversion of, to nitrile)

IT 3490-92-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with cyclohexanecarboxamide)

IT 107-91-5, 2-Cyanoacetamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with naphthoylbenzimidate derivative)

IT 9028-31-3, Aldose reductase
RL: USES (Uses)
(inhibitors, cyanooxopyrimidineacetates)

IT 119923-05-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of, by bromoacetate)

IT 94052-40-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminolysis of)

IT 766-05-2P, Cyclohexanecarbonitrile
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to amidine)

IT 119896-63-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with cyanoacetamide)

IT 2498-48-8P, Cyclohexanecarboxamide hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with cyanobis(methylthio)propenoate)

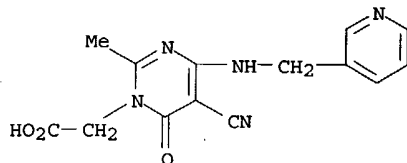
IT 119896-60-5P 119896-61-6P 119896-62-7P 119923-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

IT 119896-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and substitution reaction of, with bromoacetate)

IT 119896-40-1P 119896-41-2P 119896-42-3P 119896-43-4P 119896-44-5P
119896-45-6P 119896-46-7P 119896-47-8P 119896-48-9P 119896-49-0P
119896-50-3P 119896-51-4P 119896-52-5P 119896-53-6P
119896-54-7P 119896-55-8P 119896-56-9P 119896-57-0P 119896-58-1P
119923-03-4P 119923-04-5P 119942-63-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of diabetic complications)

IT 15908-63-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with bromoacetate)
IT 96-32-2, Methyl bromoacetate 3731-52-0, 3-Pyridinemethanamine
5292-43-3, tert-Butyl bromoacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with pyrimidines)
IT 119896-51-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of diabetic complications)
RN 119896-51-4 HCAPLUS
CN 1(6H)-Pyrimidineacetic acid, 5-cyano-2-methyl-6-oxo-4-[(3-
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



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